STIC Search Report
Biotech-Chem Library

STIC Database Tracking Number: 193217

TO: Ben Sackey **Location: REM 5B31/5C18** **Art Unit: 1626** **June 16, 2006** **Case Serial Number: 10/717237**	**From: P. Sheppard** **Location: Remsen Building** **Phone: (571) 272-2529** **sheppard@uspto.gov**

Search Notes

ACCESS DB # 193217

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Paula

Scientific and Technical Information Center

SEARCH REQUEST FORM

Requester's Full Name: Ben Sackett Examiner #: 73489 Date: 6/14/06

Art Unit: 1626 Phone Number: 2-0704 Serial Number: 10/717,237

Location (Bldg/Room#): Rem 5B31 (Mailbox #): Results Format Preferred (circle): PAPER DISK

****

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title of Invention: N-Aryl-2-oxazolidinone-5-carboxamides & their derivatives

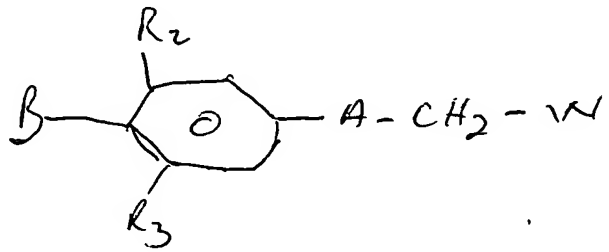
Inventors (please provide full names): Hester et al.

Earliest Priority Date: 2/6/03

Search Topic:

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

For Sequence Searches Only *Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*



wherein A is formulae (I), (II) (III) and (IV)

and B is a and b

and Z is a — f.

Thanks

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                DEL HIS Y
L1              STR
L3            632 SEA SSS FUL L1
L7              STR
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                D IBIB ABS HITSTR L20 1-16

     FILE HCAPLUS

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     FILE COVERS 1907 - 16 Jun 2006  VOL 144 ISS 26
     FILE LAST UPDATED: 15 Jun 2006  (20060615/ED)

     New CAS Information Use Policies, enter HELP USAGETERMS for details.

      This file contains CAS Registry Numbers for easy and accurate
      substance identification.

     FILE REGISTRY
     Property values tagged with IC are from the ZIC/VINITI data file
     provided by InfoChem.
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STRUCTURE FILE UPDATES: 15 JUN 2006 HIGHEST RN 887970-41-4
DICTIONARY FILE UPDATES: 15 JUN 2006 HIGHEST RN 887970-41-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

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* *
* The CA roles and document type information have been removed from *
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* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
* *
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Structure search iteration limits have been increased. See HELP SLIMITS for details.

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http://www.cas.org/ONLINE/UG/regprops.html

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FILE COVERS 1907 - 16 Jun 2006  VOL 144 ISS 26
FILE LAST UPDATED: 15 Jun 2006  (20060615/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

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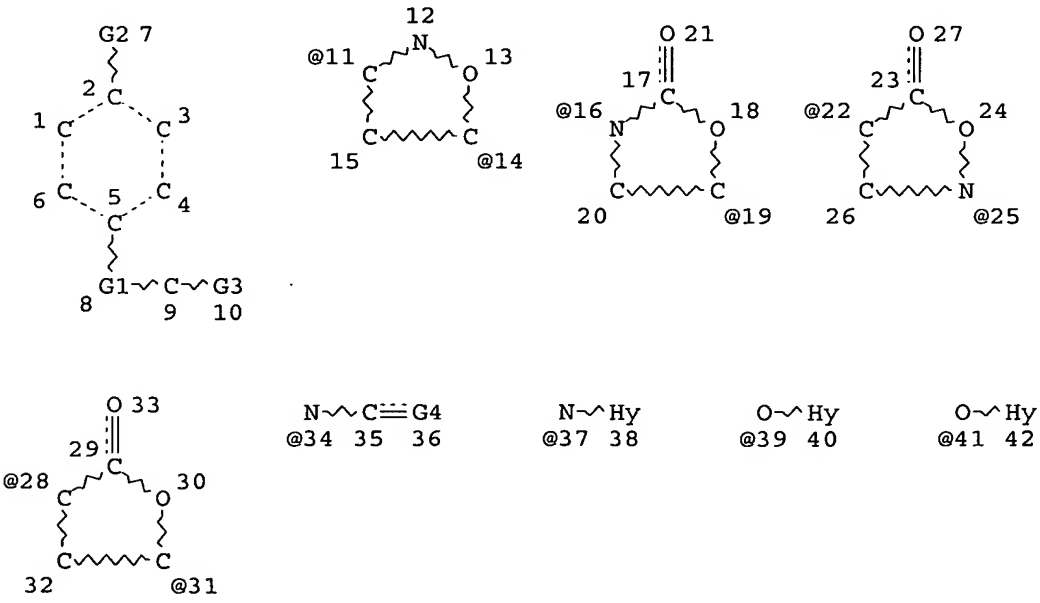

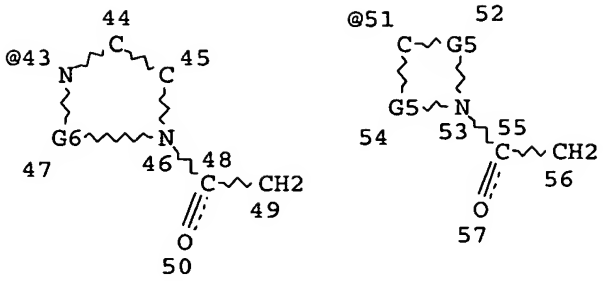

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REP G5=(0-4) C
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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

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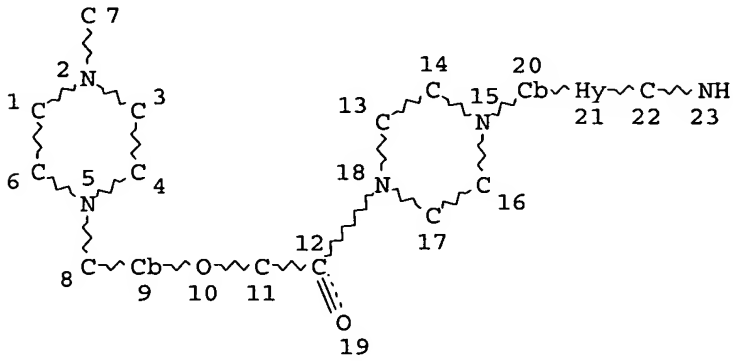

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NUMBER OF NODES IS 23

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L9 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:453033 HCAPLUS
DOCUMENT NUMBER: 141:23519
TITLE: Preparation of N-[4-(piperazin-1-yl)-phenyl]-2-oxazolidinone-5-carboxamide derivatives for therapeutic use as antibacterial agents
INVENTOR(S): Harris, Christina R.; Hester, Jackson Boling, Jr.
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 155 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004045616	A1	20040603	WO 2003-IB5355	20031119
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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US 2004142939	A1	20040722	US 2003-717237	20031119
EP 1565186	A1	20050824	EP 2003-772516	20031119
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003016483	A	20051011	BR 2003-16483	20031119
JP 2006509035	T2	20060316	JP 2004-570322	20031119
PRIORITY APPLN. INFO.:			US 2002-428025P P	20021121
			US 2003-445530P P	20030206
			WO 2003-IB5355 W	20031119

OTHER SOURCE(S): MARPAT 141:23519
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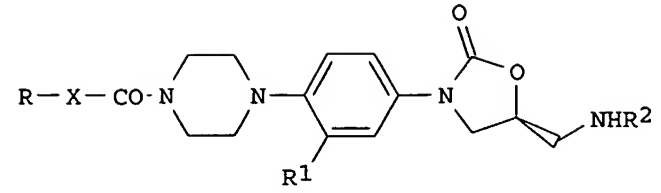

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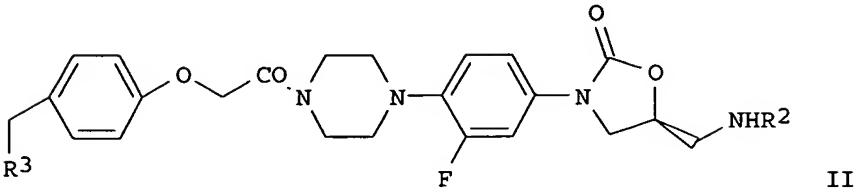


II

AB Oxazolidinone-5-carboxamide derivs., such as I [R = amine substituted Ph or phthalimido; R1 = H, F; R2 = acyl or thioacyl; X = alkylene or heteroalkyl linking group;], were prepared for use in pharmaceutical compns. as antibacterial agents. Thus, thioamide II (R2 = CSCH2Me, R3 = NEt2) was prepared via a reaction sequence which comprised an N-acylation reaction of [[(5S)-3-[3-fluoro-4-(1-piperazinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]carbamic acid 1,1-dimethylethyl ester with 4-(hydroxymethyl)phenoxyacetic acid to give alc. II (R2 = CO2Me3, R3 = OH), followed by conversion of the alc. to the corresponding bromide II (R2 = CO2Me3, R3 = Br), amination of the bromide with Et2NH to give monoprotected-amine II (R2 = CO2Me3, R3 = NEt2), deprotection to form amine II (R2 = H, R3 = NEt2) and, finally, thioacylation of the amine with MeCH2CS2Et to give the target thioamide. The prepared carboxamides were assayed for inhibitory activity against a panel of organisms, such as S. aureus, S. pneumonia and H. influenzae.

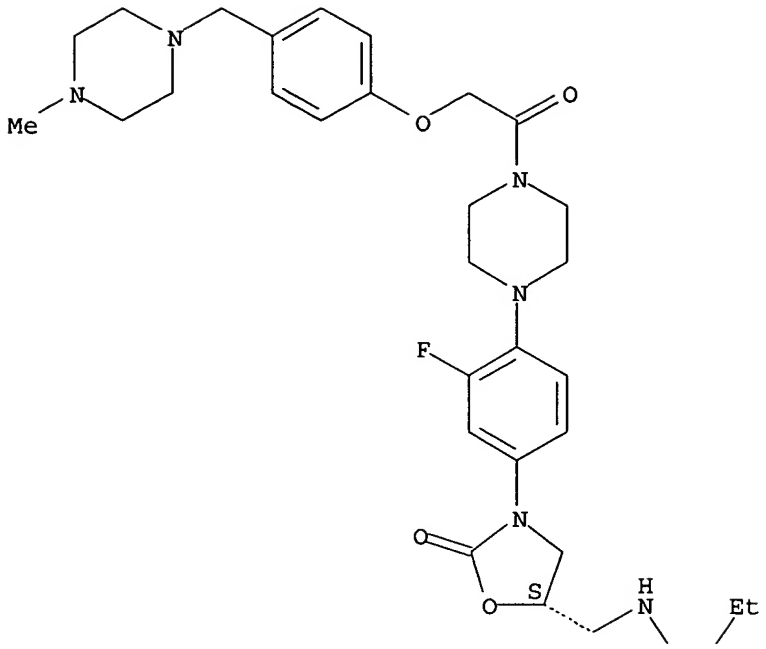
IT **697804-32-3P 697804-33-4P 697804-34-5P**
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-[4-(piperazin-1-yl)-phenyl]-2-oxazolidinone-5-carboxamide derivs. for therapeutic use as antibacterial agents)

RN 697804-32-3 HCAPLUS

CN Propanethioamide, N-[[(5S)-3-[3-fluoro-4-[4-[[4-[(4-methyl-1-piperazinyl)methyl]phenoxy]acetyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

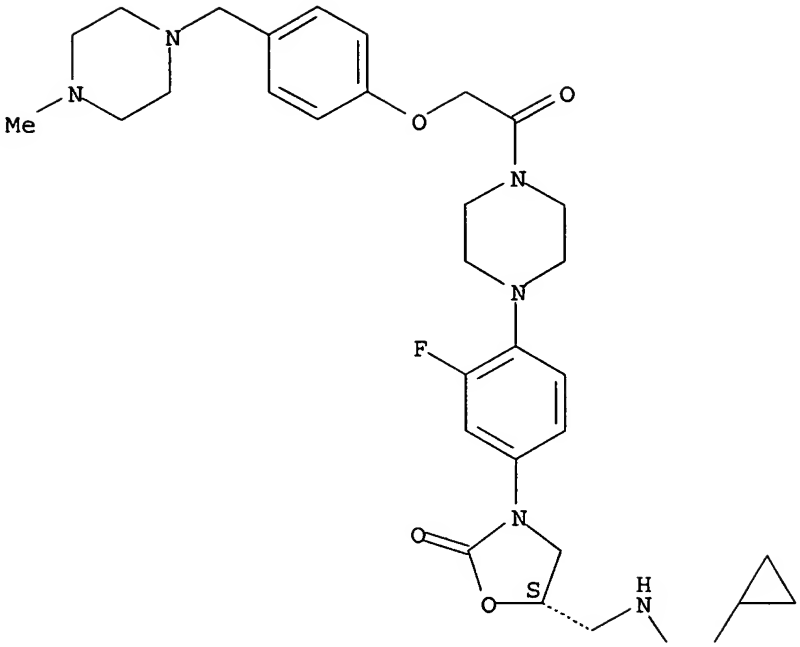


PAGE 2-A

RN 697804-33-4 HCAPLUS
CN Piperazine, 1-[4-[(5S)-5-[[(cyclopropylthioxomethyl)amino]methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-4-[[4-[(4-methyl-1-piperazinyl)methyl]phenoxy]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

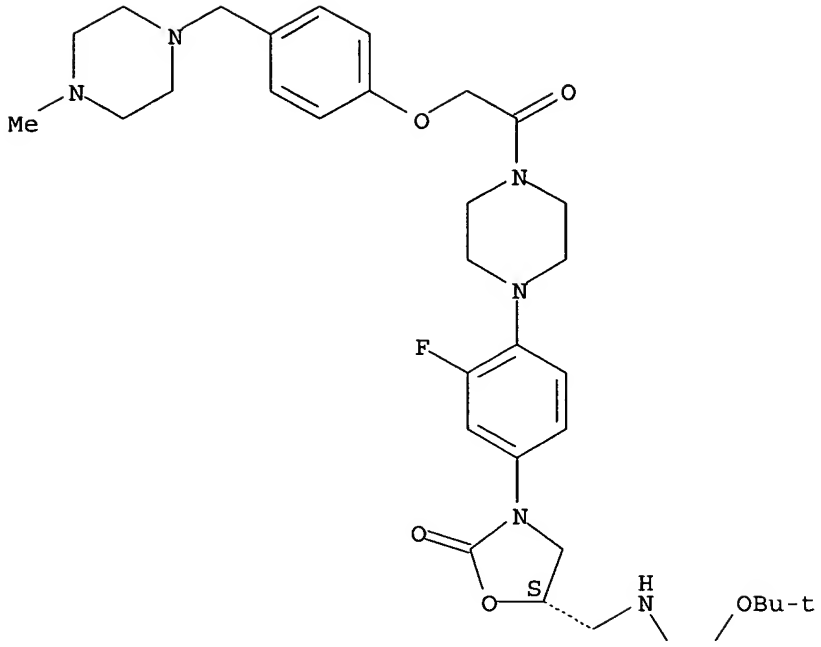


PAGE 2-A

RN 697804-34-5 HCAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[4-[[4-[(4-methyl-1-piperazinyl)methyl]phenoxy]acetyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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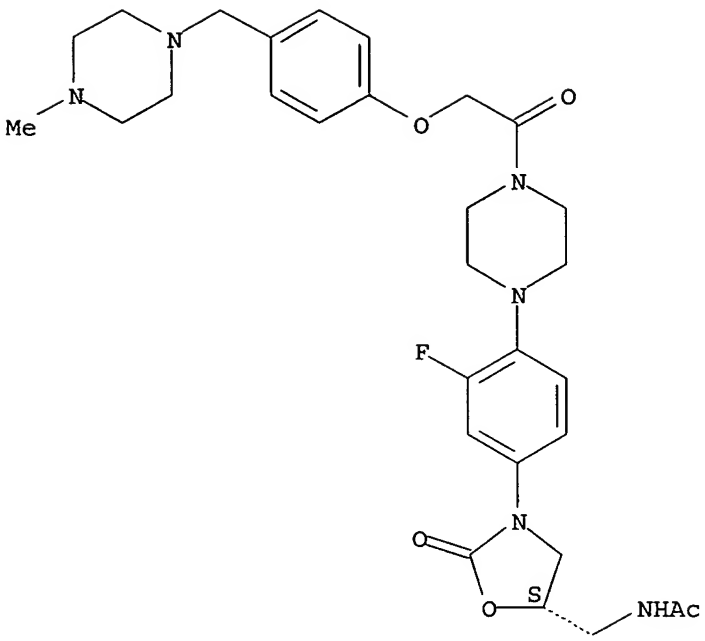
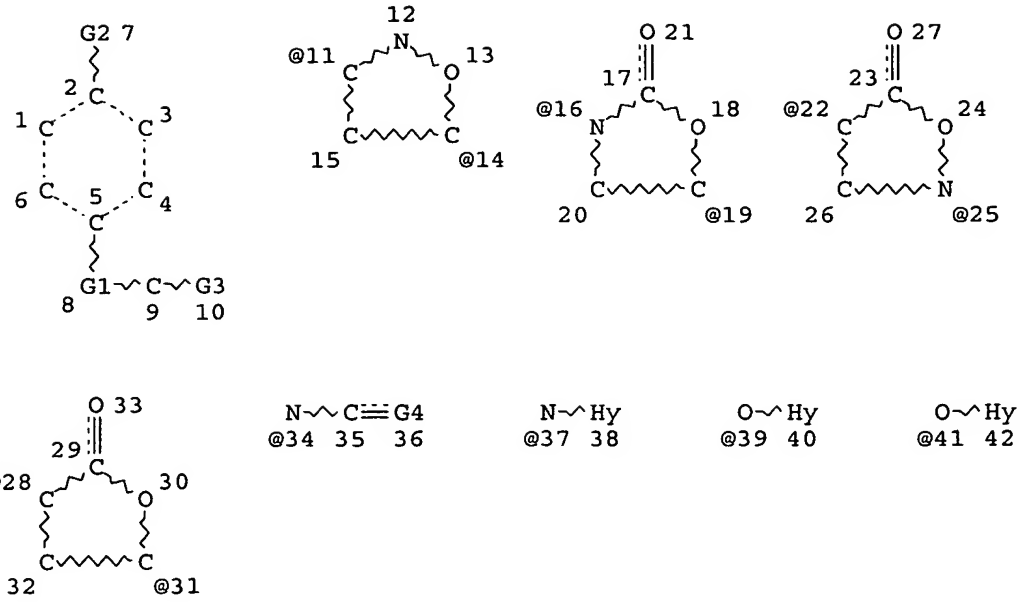


IT **697805-07-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-[4-(piperazin-1-yl)-phenyl]-2-oxazolidinone-5-carboxamide derivs. for therapeutic use as antibacterial agents)
RN 697805-07-5 HCAPLUS
CN Carbamic acid, [[(5S)-3-[3-fluoro-4-[4-[[4-[(4-methyl-1-piperazinyl)methyl]phenoxy]acetyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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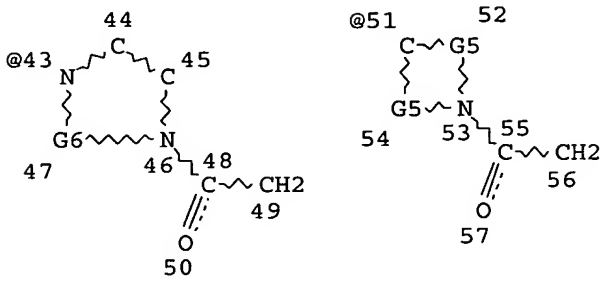

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VAR G2=51/43
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VAR G4=O/S
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REP G6=(2-3) C
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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
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NUMBER OF NODES IS 57

STEREO ATTRIBUTES: NONE
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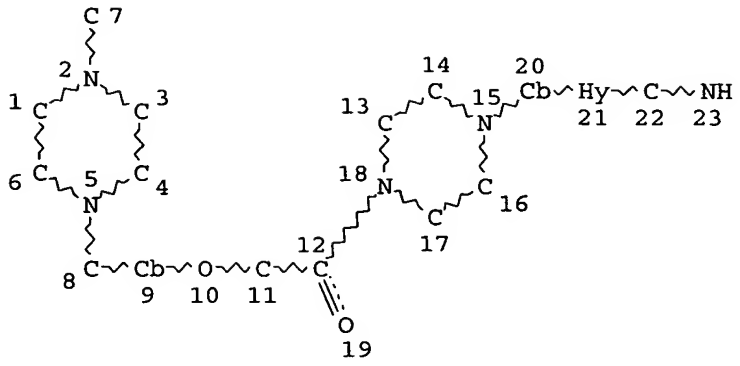
L7 STR



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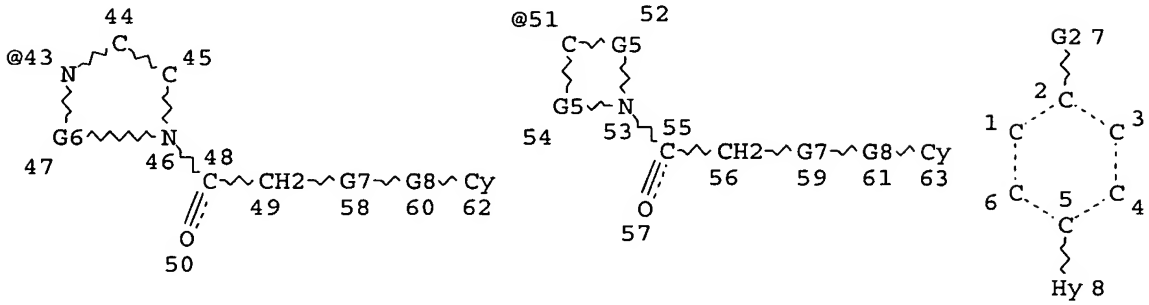

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L14 ANSWER 1 OF 40 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:101053 HCAPLUS
DOCUMENT NUMBER: 144:192234
TITLE: Preparation of oxazolidinone compounds and compositions for the treatment of bacterial infections
INVENTOR(S): Cano, Montserrat; Palomer, Albert; Guglietta, Antonio
PATENT ASSIGNEE(S): Ferrer Internacional, S. A., Spain
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006010756	A1	20060202	WO 2005-EP53627	20050726

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: EP 2004-103657 A 20040729
OTHER SOURCE(S): CASREACT 144:192234; MARPAT 144:192234
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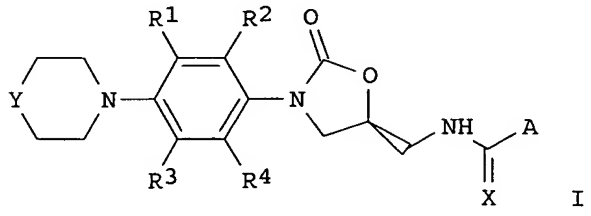

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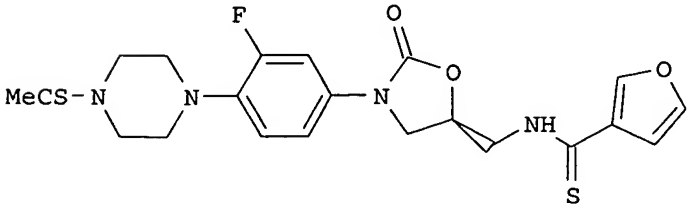


II

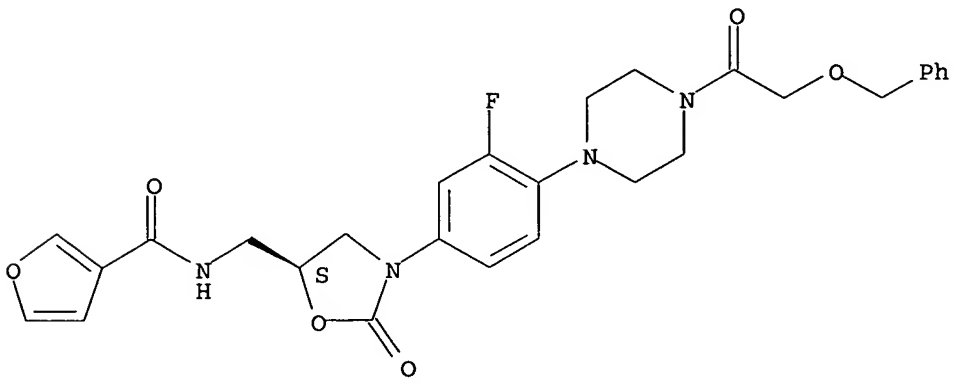
AB Oxazolidinones of formula I [R1-R4 = H, F, Cl; A = (substituted) furanyl, (substituted) benzofuranyl; X = O, S, (substituted) NH, (substituted) CH2; Y = O, S, SO, SO2, NO, (substituted) NH, (substituted) CH2] are prepared The compds. are active against Gram-pos. and some Gram-neg. human and veterinary pathogens with a weak monoamine oxidase (MAO) inhibitory activity. They are useful for the treatment of bacterial infections. Pharmaceutical compns. containing I are described. Thus, II was prepared, and had MIC value of 0.50 μg/mL against S. aureus.

IT **874820-25-4P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of oxazolidinones as antibacterial agents)

RN 874820-25-4 HCAPLUS

CN 3-Furancarboxamide, N-[[(5S)-3-[3-fluoro-4-[4-[(phenylmethoxy)acetyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 2 OF 40 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:87875 HCAPLUS

DOCUMENT NUMBER: 144:343035

TITLE: Conformational constraint in oxazolidinone antibacterials. Part 2: Synthesis and structure-activity studies of oxa-, aza-, and thiabicyclo[3.1.0]hexylphenyl oxazolidinones

AUTHOR(S): Renslo, Adam R.; Gao, Hongwu; Jaishankar, Priyadarshini; Venkatachalam, Revathy; Gomez, Marcela; Blais, Johanne; Huband, Michael; Vara Prasad, J. V. N.; Gordeev, Mikhail F.

CORPORATE SOURCE: Pfizer Global Research and Development, Fremont, CA, 94555, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2006), 16(5), 1126-1129
CODEN: BMCLE8; ISSN: 0960-894X

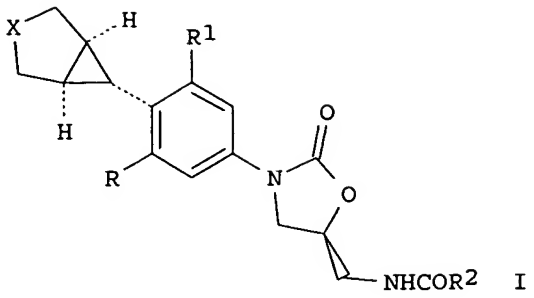
PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 144:343035

GI



I

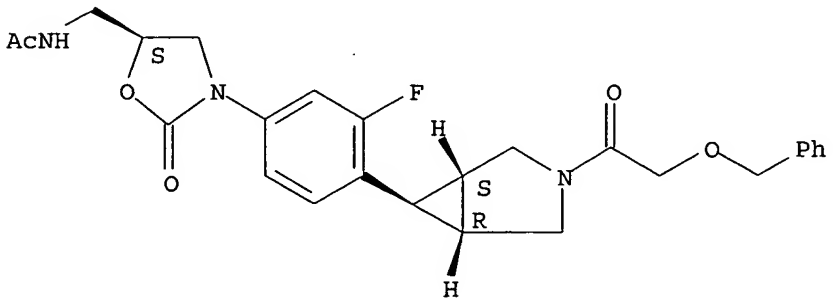
AB Nonracemic oxa-, aza-, and dioxothiabicyclohexylphenyl oxazolidinylmethylcarboxamides I [R, R1 = H, F; R2 = Me, Et, HOCH2, NCCH2, MeCF2, cyclopropyl, cyclobutyl; R3 = HOCH2CO, OHC, NC, H2NCO, H2NCH2CO, AcNHCH2CO, NCCH2CO, MeO2C, F2CHCO, HOCMe2CO, NCCH2CH2, FCH2CH2, HOCH2CH2, H2NC(:NH), H2NC(:NCN), MeNHC(:NCN), 5-tetrazolyl, 2-Me-5-tetrazolyl, 3-Me-5-tetrazolyl; X = SO2, O, NR3] are prepared as antibacterial agents. The structure-activity relationships of I [R, R1 = H, F; R2 = Me, Et, HOCH2, NCCH2, MeCF2, cyclopropyl, cyclobutyl; R3 = HOCH2CO, OHC, NC, H2NCO, H2NCH2CO, AcNHCH2CO, NCCH2CO, MeO2C, F2CHCO, HOCMe2CO, NCCH2CH2, FCH2CH2, HOCH2CH2, H2NC(:NH), H2NC(:NCN), MeNHC(:NCN), 5-tetrazolyl, 2-Me-5-tetrazolyl, 3-Me-5-tetrazolyl; X = SO2, O, NR3] against Staphylococcus aureus, Streptococcus pneumoniae, Enterococcus faecalis, Haemophilus influenzae, and Moraxella catarrhalis are determined in vitro. I (R, R1 = H, F; R2 = Me; R3 = HOCH2CO; X = SO2, R3N) are effective in vitro against Staphylococcus aureus, Streptococcus pneumoniae, Enterococcus faecalis, Haemophilus influenzae, and Moraxella catarrhalis and are effective as oral agents in an in vivo mouse septicemia model.

IT **777089-38-0P 777089-56-2P 881012-67-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of nonracemic oxa-, aza-, and dioxothiabicyclo[3.1.0]hexylpheny loxazolidinylmethyl carboxamides as antibacterial agents and their antibacterial structure-activity relationships)

RN 777089-38-0 HCAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[(1α,5α,6α)-3-[(phenylmethoxy)acetyl]-3-azabicyclo[3.1.0]hex-6-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

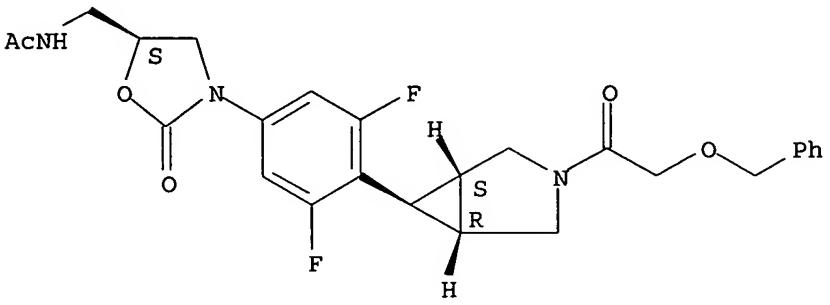
Absolute stereochemistry.



RN 777089-56-2 HCAPLUS

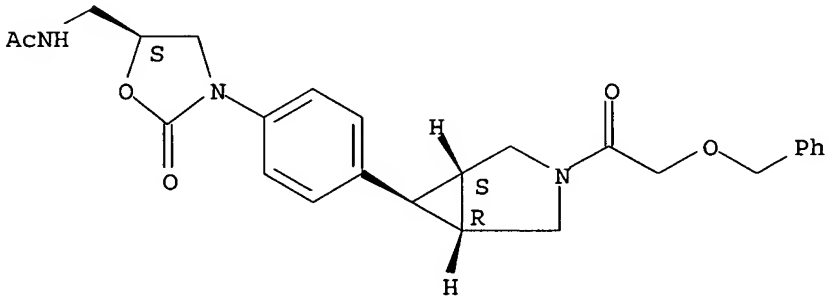
CN Acetamide, N-[[(5S)-3-[3,5-difluoro-4-[(1α,5α,6α)-3-[(phenylmethoxy)acetyl]-3-azabicyclo[3.1.0]hex-6-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 881012-67-5 HCAPLUS
CN Acetamide, N-[[(5S)-2-oxo-3-[4-[(1α,5α,6α)-3-[(phenylmethoxy)acetyl]-3-azabicyclo[3.1.0]hex-6-yl]phenyl]-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 3 OF 40 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:581513 HCAPLUS
DOCUMENT NUMBER: 143:224763
TITLE: Orientation of oxazolidinones in the active site of monoamine oxidase
AUTHOR(S): Jones, Tadeusz Z. E.; Fleming, Paul; Eyermann, Charles J.; Gravestock, Michael B.; Ramsay, Rona R.
CORPORATE SOURCE: Centre for Biomolecular Sciences, University of St. Andrews, St. Andrews, Fife, KY16 9ST, UK
SOURCE: Biochemical Pharmacology (2005), 70(3), 407-416
CODEN: BCPCA6; ISSN: 0006-2952
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 143:224763
AB Oxazolidinone inhibitors of monoamine oxidase (MAO) and oxazolidinone antibacterials are two distinct classes of drug, often with linear structures and overlapping activities for some derivs. By synthesizing

novel dimerized derivs. with identical substitution of the two C-5 side chains, we have obtained exptl. evidence for the orientation of oxazolidinones in the active site of MAO A. Two types of spectral changes, either increasing the absorbance at 510 nm or decreasing it at 495 nm depending on the group nearest to the flavin cofactor, were seen on ligand binding to MAO A. Side chain derivs. with amine substituents are very poor substrates so that it was possible to examine the spectral change due to binding of a substrate before reduction of the flavin occurred. Binding of these amino derivative substrates to MAO A induced a spectral change characterized by a strong decrease in absorbance at 495 nm. These substrates reduced the enzyme fully without any trace of a semiquinone intermediate. Only oxazolidinone inhibitors with a bromo-imidazole substituent increased the yield of semiquinone intermediate obtained during chemical reduction In accord with the exptl. data, results of docking expts. showed that binding of the oxazolidinone ring in the aromatic cage close to the flavin was favored and that the nitrogen of the derivs. that were substrates was within van der Waals distance of N-5 of the flavin.

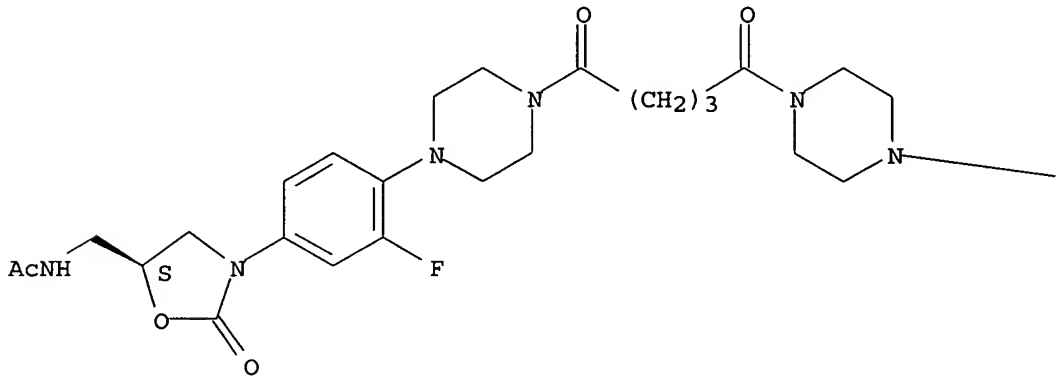
IT **862780-23-2P**
RL: BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(orientation of oxazolidinones in active site of monoamine oxidase)

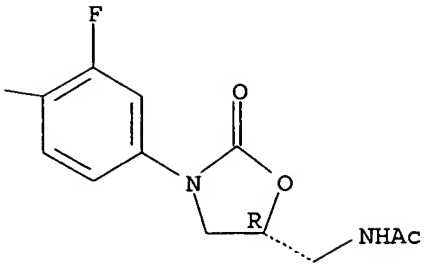
RN 862780-23-2 HCAPLUS

CN Acetamide, N,N'-[(1,5-dioxo-1,5-pentanediyl)bis[4,1-piperazinediyl(3-fluoro-4,1-phenylene)[(5S)-2-oxo-3,5-oxazolidinediyl]methylene]]bis- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A





REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 4 OF 40 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:347264 HCAPLUS
DOCUMENT NUMBER: 142:404216
TITLE: Fluorescent probes for ribosomes and method of use
INVENTOR(S): Ma, Zhenkun; Li, Jing; Kim, In Ho; Jin, Yafei; Lynch, Anthony Simon; Roche, Eric; Beeman, Doug
PATENT ASSIGNEE(S): Cumbre Inc., USA
SOURCE: PCT Int. Appl., 126 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005036169	A2	20050421	WO 2004-US32196	20040930
WO 2005036169	A3	20050909		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005118624	A1	20050602	US 2004-954996	20040930

PRIORITY APPLN. INFO.: US 2003-508401P P 20031003
OTHER SOURCE(S): MARPAT 142:404216

AB Fluorescent probes are disclosed that have binding affinity to ribosomes. The fluorescent probes are useful tools for identifying small mols. that bind to the 50S or 30S subunits of the bacterial and other ribosome inhibitors. These probes are also useful for determining the interactions between a specific ligand and the ribosome. Preparation of antibiotic-fluorophor conjugates is included.

IT **850311-59-0 850312-16-2**
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES

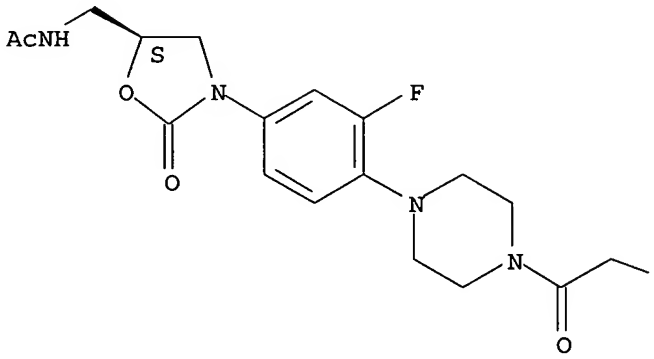
(Uses)
(fluorescent probes for ribosomes and method of use)

RN 850311-59-0 HCAPLUS

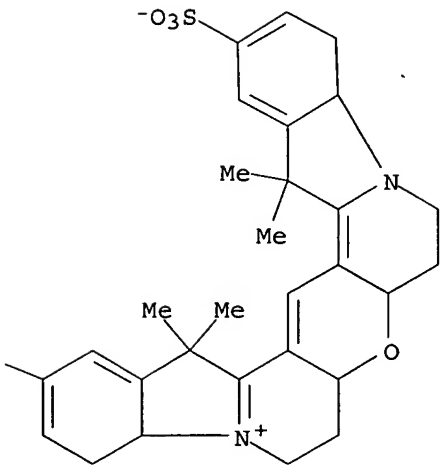
CN Pyrano[3'',2'':3,4;5'',6'':3',4']dipyrido[1,2-a:1',2'-a']diindol-5-ium, 2-[2-[4-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl]-4,4a,6,7,7a,8a,9,10,11a,12,16,18-dodecahydro-16,16,18,18-tetramethyl-14-sulfo-, inner salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



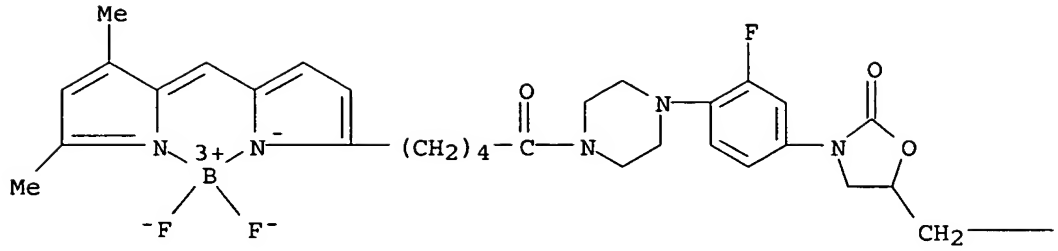
PAGE 1-B



RN 850312-16-2 HCAPLUS

CN Boron, [N-[[(5S)-3-[4-[4-[5-[5-[(3,5-dimethyl-2H-pyrrol-2-ylidene-κN)methyl]-1H-pyrrol-2-yl-κN]-1-oxopentyl]-1-piperazinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]acetamidato]difluoro-, (T-4)-(9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

— NHAc

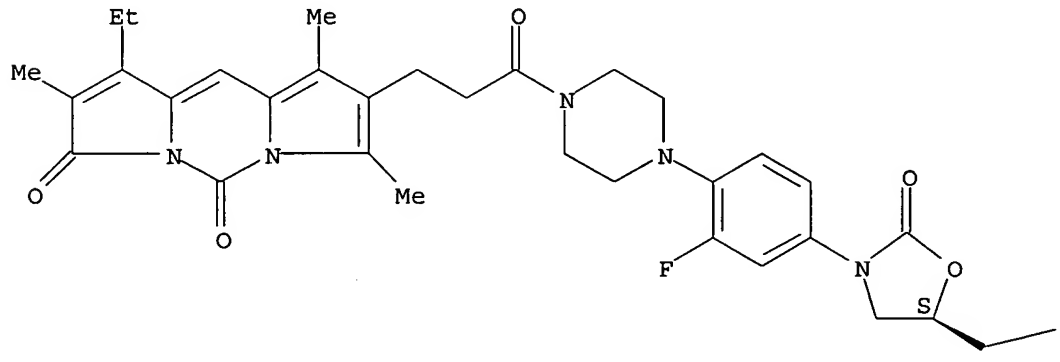
IT **850220-22-3P 850312-13-9P 850312-14-0P**
RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(fluorescent probes for ribosomes and method of use)

RN 850220-22-3 HCAPLUS

CN Acetamide, N-[[(5S)-3-[4-[4-[3-(1-ethyl-2,7,9-trimethyl-3,5-dioxo-3H,5H-dipyrrolo[1,2-c:2',1'-f]pyrimidin-8-yl)-1-oxopropyl]-1-piperazinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

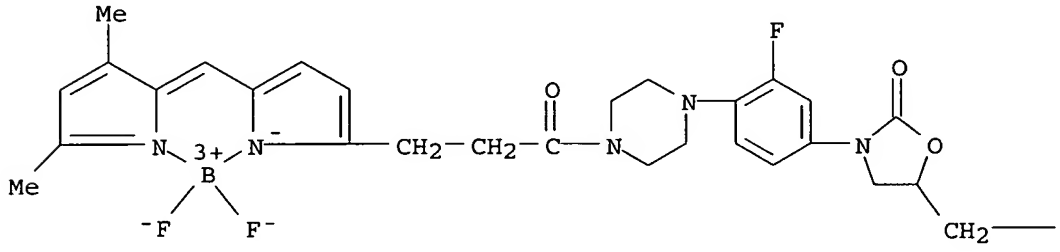


PAGE 1-B

—NHAc

RN 850312-13-9 HCAPLUS
CN Boron, [N-[[(5S)-3-[4-[4-[3-[5-[(3,5-dimethyl-2H-pyrrol-2-ylidene-κN)methyl]-1H-pyrrol-2-yl-κN]-1-oxopropyl]-1-piperazinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]acetamidato]difluoro-, (T-4)-(9CI) (CA INDEX NAME)

PAGE 1-A

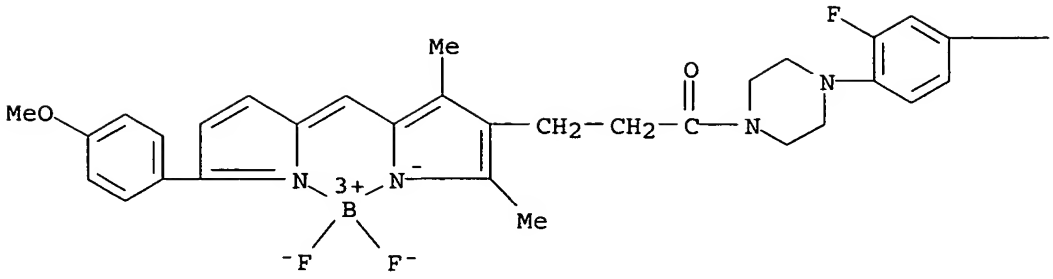


PAGE 1-B

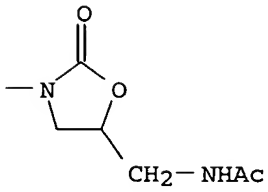
—NHAc

RN 850312-14-0 HCAPLUS
CN Boron, [N-[[(5S)-3-[3-fluoro-4-[4-[3-[5-[[5-(4-methoxyphenyl)-2H-pyrrol-2-ylidene-κN]methyl]-2,4-dimethyl-1H-pyrrol-3-yl-κN]-1-oxopropyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamidato]difluoro-, (T-4)- (9CI) (CA INDEX NAME)

PAGE 1-A



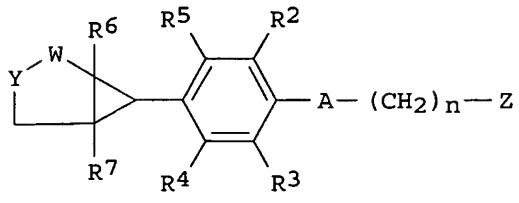
PAGE 1-B



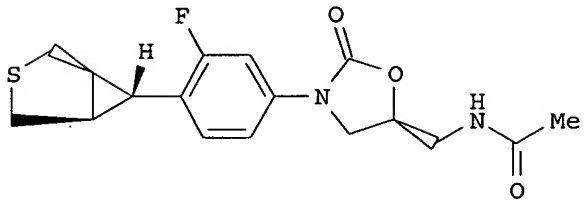
L14 ANSWER 5 OF 40 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:872795 HCAPLUS
DOCUMENT NUMBER: 141:366217
TITLE: Preparation of [3.1.0]bicyclohexylphenyloxazolidinone derivatives as antimicrobials
INVENTOR(S): Renslo, Adam Robert; Gordeev, Mikhail Fedor; Patel, Dinesh Vinoobhai; Gao, Hongwu; Josyula, Vara Prassad Venkata Nagendra
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company. LLC, USA
SOURCE: PCT Int. Appl., 187 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004089943	A1	20041021	WO 2004-IB1135	20040330
WO 2004089943	C1	20050929		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2521685	AA	20041021	CA 2004-2521685	20040330

EP 1615916 A1 20060118 EP 2004-724333 20040330
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK
BR 2004009217 A 20060328 BR 2004-9217 20040330
US 2005192325 A1 20050901 US 2004-815589 20040401
PRIORITY APPLN. INFO.: US 2003-461134P P 20030409
WO 2004-IB1135 W 20040330
OTHER SOURCE(S): MARPAT 141:366217
GI



I



II

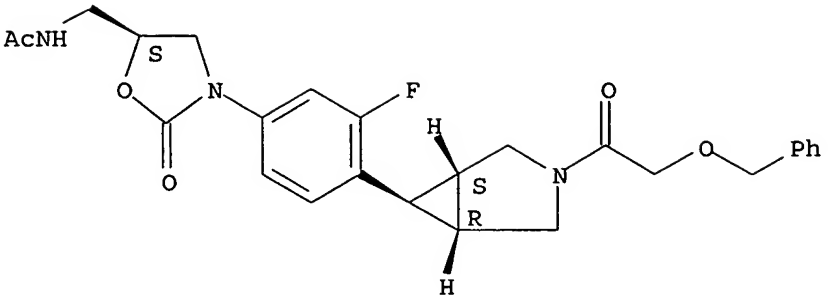
AB Title compds. I [A = oxazolyl, isoxazolyl, etc.; n = 0-1; Y = SO0-2, O, amino; Z = formyl, thioformyl, acyl, etc.; W = CH2, CO, oximino, etc.; R1 = H, OH, amino, etc.; R2-3 = H, F; R4-5 = H, Cl, F, Me, NH2, OH; R6-7 = H, alkyl] are prepared For example, II is prepared in 9 steps from 2-fluoro-4-nitrobenzaldehyde. II has MIC = 4 μg/mL for S. aureus (UC9213). I are antibacterial agents.

IT **777089-38-0P 777089-41-5P 777089-56-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of [3.1.0]bicyclohexylphenyloxazolidinone derivs. as antimicrobials)

RN 777089-38-0 HCAPLUS

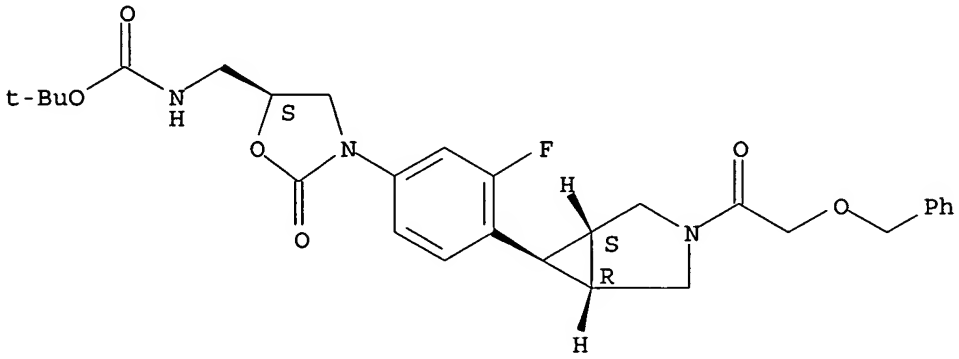
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[(1α,5α,6α)-3-[(phenylmethoxy)acetyl]-3-azabicyclo[3.1.0]hex-6-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



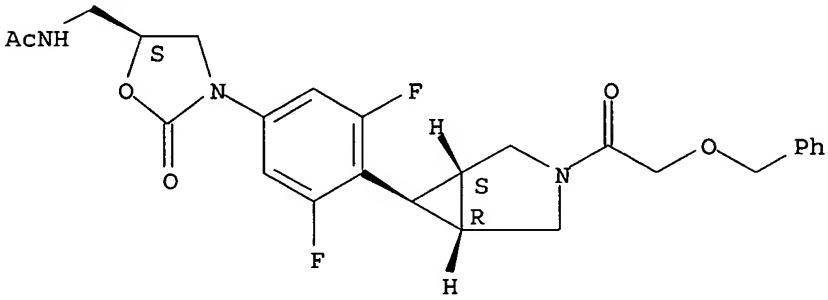
RN 777089-41-5 HCAPLUS
CN Carbamic acid, [[(5S)-3-[3-fluoro-4-[(1α,5α,6α)-3-[(phenylmethoxy)acetyl]-3-azabicyclo[3.1.0]hex-6-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 777089-56-2 HCAPLUS
CN Acetamide, N-[[(5S)-3-[3,5-difluoro-4-[(1α,5α,6α)-3-[(phenylmethoxy)acetyl]-3-azabicyclo[3.1.0]hex-6-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



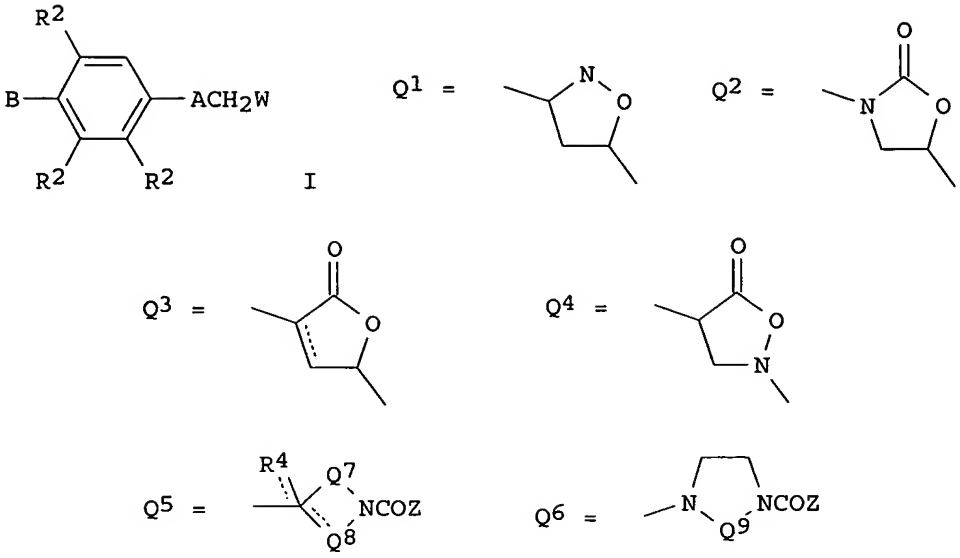
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 6 OF 40 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:857593 HCAPLUS
DOCUMENT NUMBER: 141:332221
TITLE: Preparation of N-aryl-2-oxazolidinone-5-carboxamides as antibacterials.
INVENTOR(S): Harris, Christina Renee
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004087697	A1	20041014	WO 2004-IB943		20040322
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
US 2004204463	A1	20041014	US 2004-795192		20040305
CA 2520723	AA	20041014	CA 2004-2520723		20040322
EP 1615917	A1	20060118	EP 2004-722352		20040322
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK					
BR 2004009143	A	20060328	BR 2004-9143		20040322
PRIORITY APPLN. INFO.:			US 2003-459444P	P	20030401
			WO 2004-IB943	W	20040322

OTHER SOURCE(S): MARPAT 141:332221
GI



AB Title compds. [I; A = Q1-Q4; B = Q5, Q6; W = NHC(:X)R1, Het, YHet; X = O, S; Y = NH, O, S; Z = R5C.tplbond.C(CH2)rE; E = CH2, CO; R1 = H, NH2, (substituted) NHA, A, alkenyl, alkoxy, alkylthio, cycloalkyl(alkyl); A = alkyl; R2 = H, halo, alkyl; R4 = H, Me, F; R5 = H, (substituted) aryl, heteroaryl; m, n = 0-4; m+n = 2-5; p = 1-3; r = 0-6; Q7 = (CH2)n; Q8 = (CH2)m; Q9 = (CH2)p] were prepared Thus, 5-hexynoic acid was coupled to the corresponding piperazine derivative using diphenylphosphoryl azide and Hunig's base to give N-[[(5S)-3-[3-fluoro-4-(4-hex-5-ynoylpiperazin-1-yl)phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide. The latter showed a min. inhibitory concentration of 1 μg/mL against SPNE 9912.

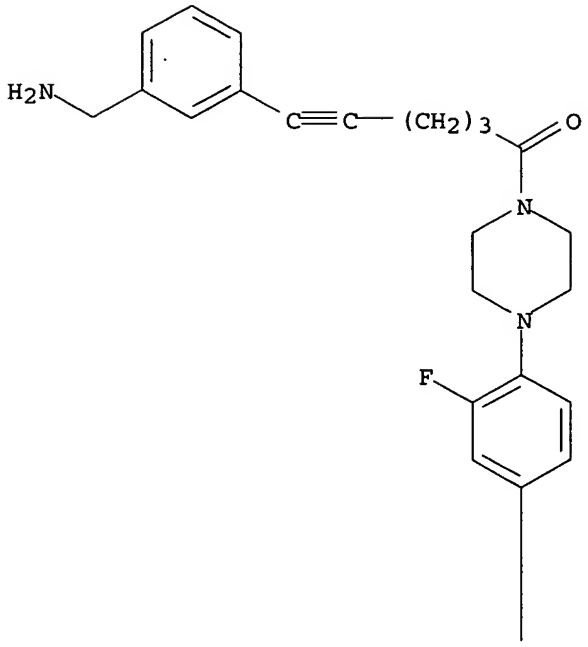
IT **773127-81-4P 773127-87-0P 773127-88-1P**
773127-89-2P 773127-91-6P 773127-92-7P
773127-93-8P 773127-97-2P 773127-99-4P
773128-00-0P 773128-01-1P 773128-02-2P
773128-03-3P 773128-04-4P 773128-05-5P
773128-07-7P 773128-08-8P 773128-09-9P
773894-58-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of aryloxazolidinonecarboxamides as antibacterials)

RN 773127-81-4 HCAPLUS

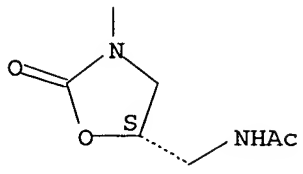
CN Acetamide, N-[[(5S)-3-[4-[4-[6-[3-(aminomethyl)phenyl]-1-oxo-5-hexynyl]-1-piperazinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

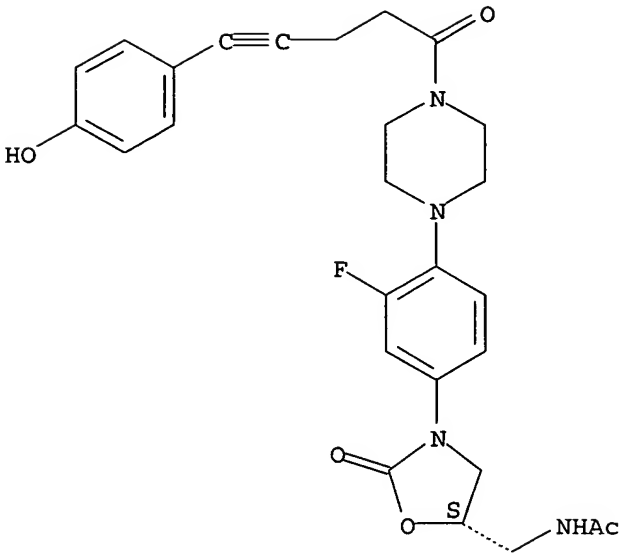


PAGE 2-A



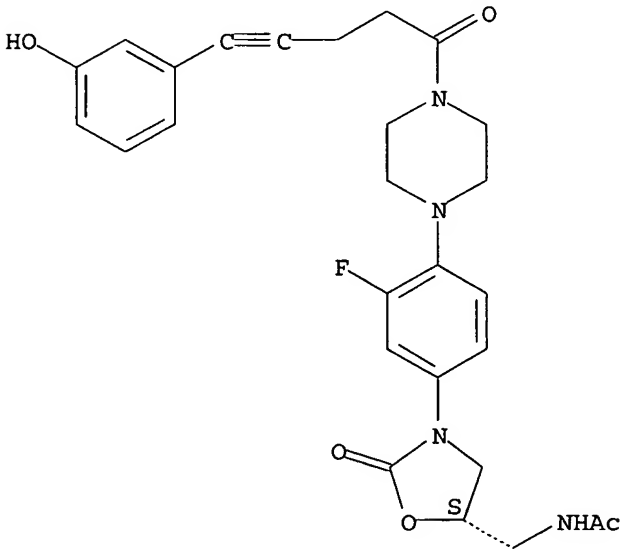
RN 773127-87-0 HCAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[4-[5-(4-hydroxyphenyl)-1-oxo-4-pentynyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



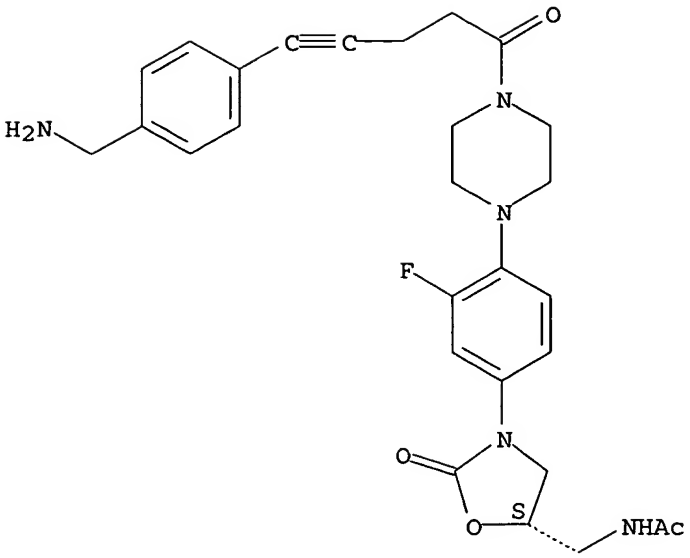
RN 773127-88-1 HCAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[4-[5-(3-hydroxyphenyl)-1-oxo-4-pentynyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 773127-89-2 HCAPLUS
CN Acetamide, N-[[(5S)-3-[4-[4-[5-[4-(aminomethyl)phenyl]-1-oxo-4-pentynyl]-1-piperazinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

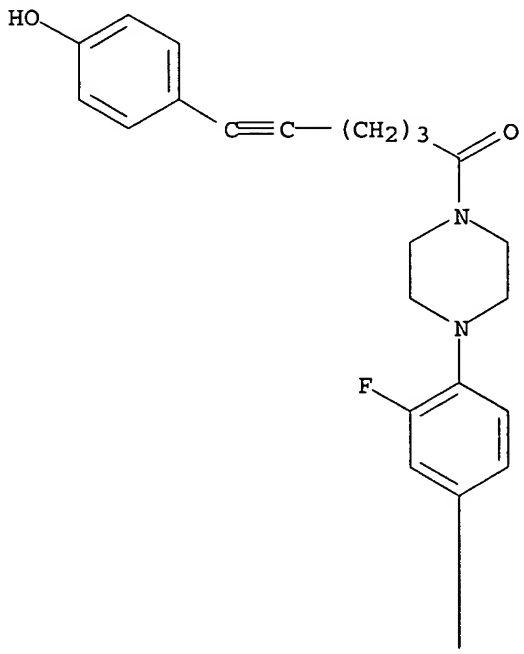
Absolute stereochemistry.



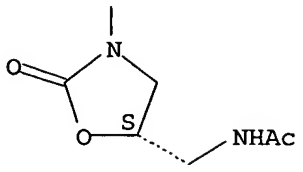
RN 773127-91-6 HCAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[4-[6-(4-hydroxyphenyl)-1-oxo-5-hexynyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



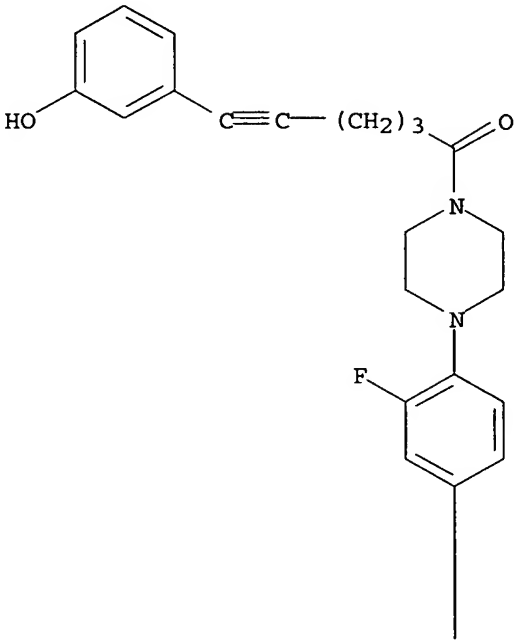
PAGE 2-A



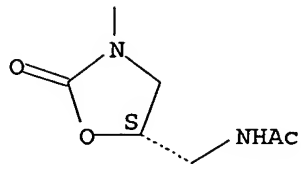
RN 773127-92-7 HCAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[4-[6-(3-hydroxyphenyl)-1-oxo-5-hexynyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



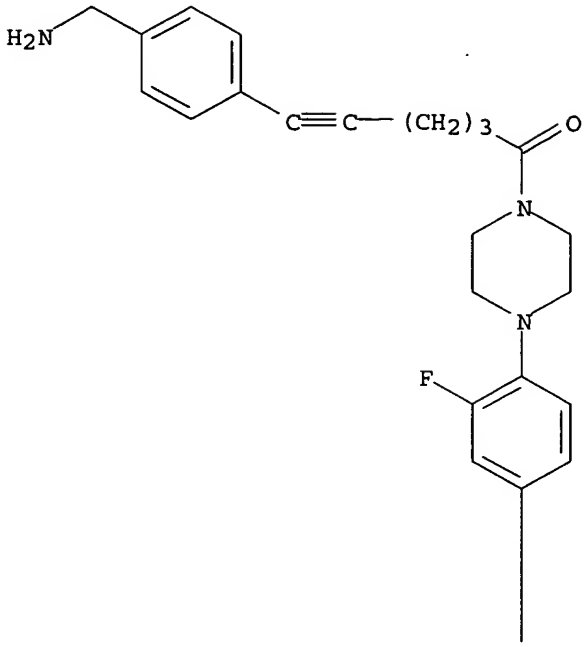
PAGE 2-A



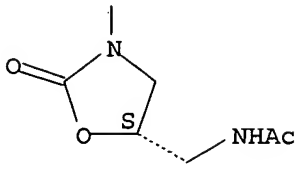
RN 773127-93-8 HCAPLUS
CN Acetamide, N-[[(5S)-3-[4-[4-[6-[4-(aminomethyl)phenyl]-1-oxo-5-hexynyl]-1-piperazinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

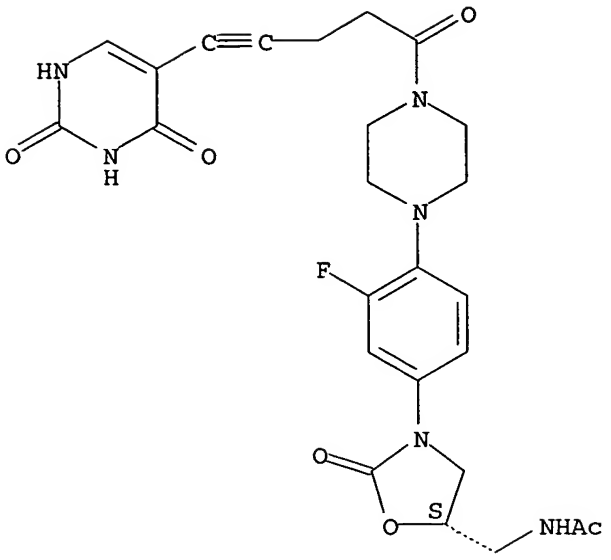


PAGE 2-A



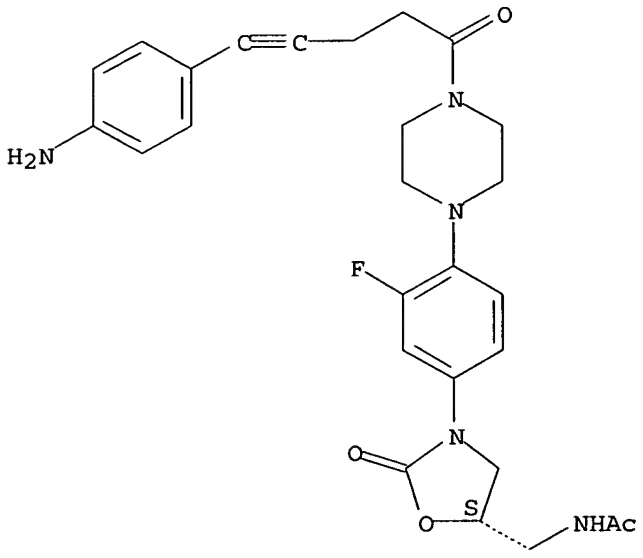
RN 773127-97-2 HCAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[4-[1-oxo-5-(1,2,3,4-tetrahydro-2,4-dioxo-5-pyrimidinyl)-4-pentynyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 773127-99-4 HCAPLUS
CN Acetamide, N-[[(5S)-3-[4-[4-[5-(4-aminophenyl)-1-oxo-4-pentynyl]-1-piperazinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

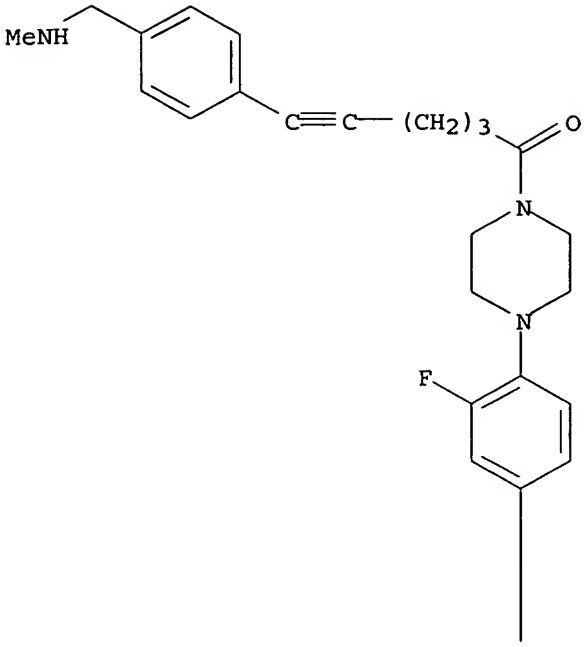
Absolute stereochemistry.



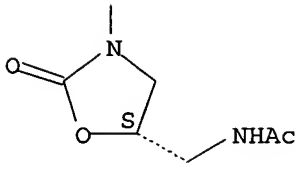
RN 773128-00-0 HCAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[4-[6-[4-[(methylamino)methyl]phenyl]-1-oxo-5-hexynyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



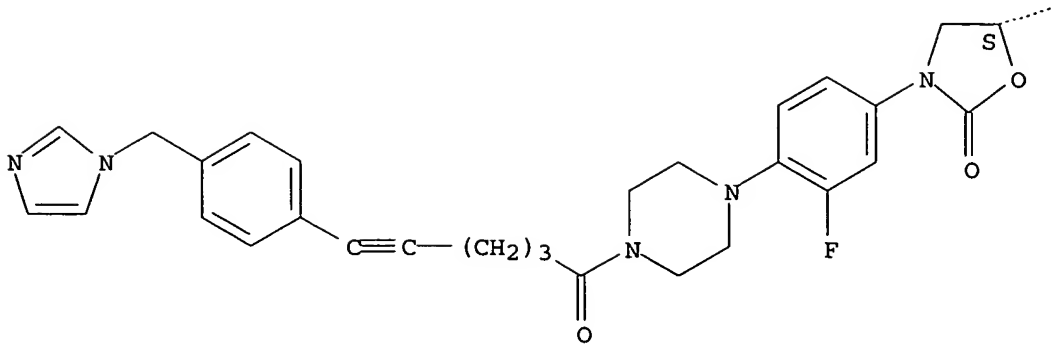
PAGE 2-A



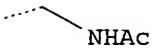
RN 773128-01-1 HCAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[4-[6-[4-(1H-imidazol-1-ylmethyl)phenyl]-1-oxo-5-hexynyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



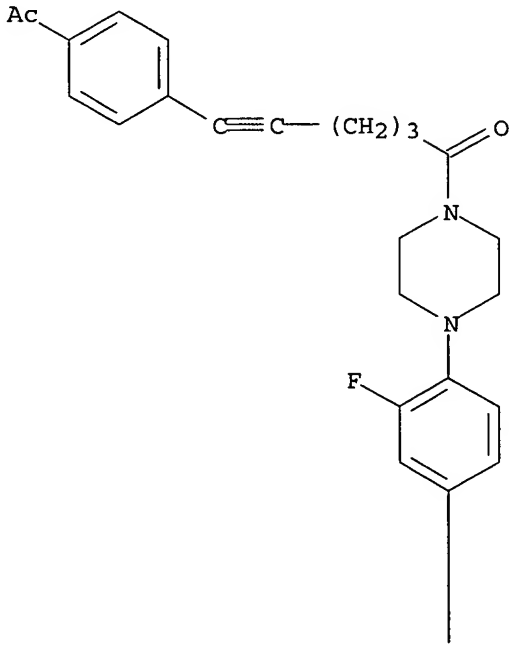
PAGE 1-B



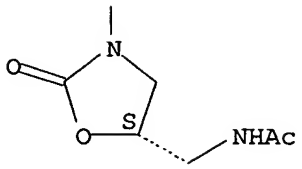
RN 773128-02-2 HCAPLUS
CN Acetamide, N-[[(5S)-3-[4-[4-[6-(4-acetylphenyl)-1-oxo-5-hexynyl]-1-piperazinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

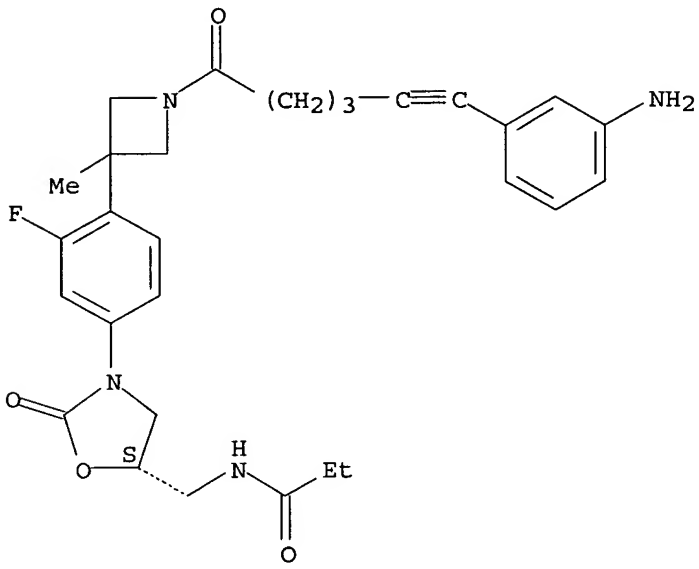


PAGE 2-A



RN 773128-03-3 HCAPLUS
CN Propanamide, N-[[(5S)-3-[4-[1-[6-(3-aminophenyl)-1-oxo-5-hexynyl]-3-methyl-3-azetidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

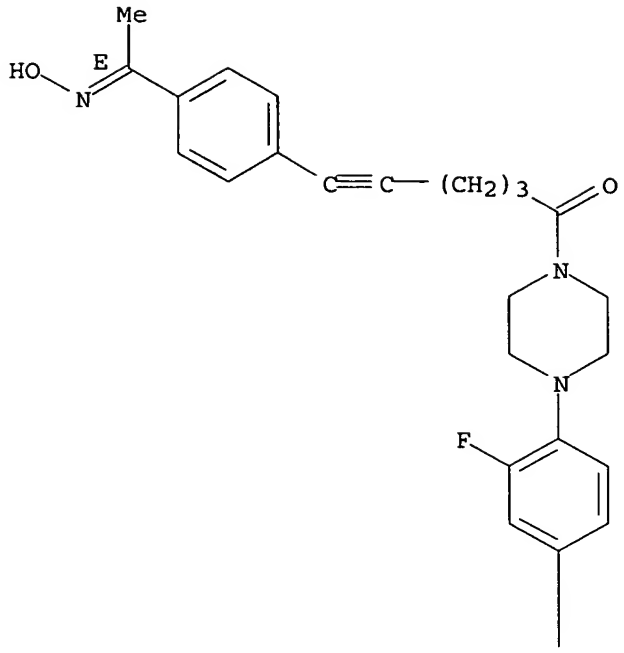
Absolute stereochemistry.



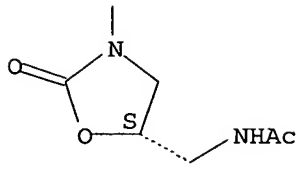
RN 773128-04-4 HCAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[4-[6-[4-[(1E)-1-(hydroxyimino)ethyl]phenyl]-1-oxo-5-hexynyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



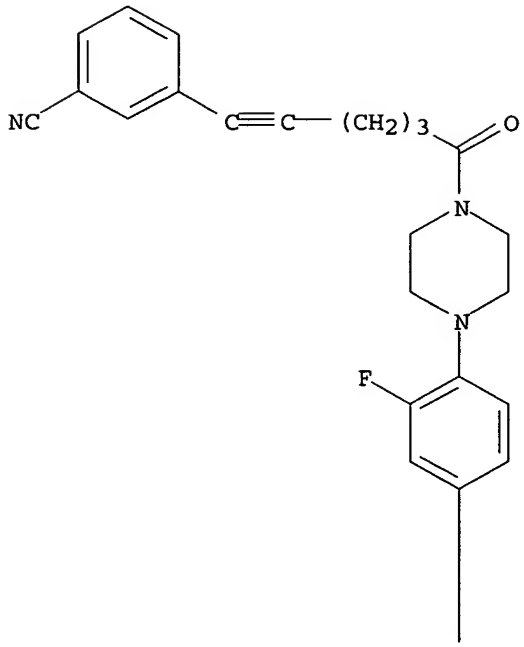
PAGE 2-A



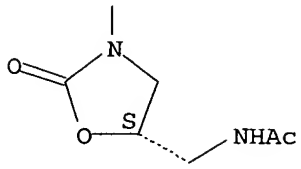
RN 773128-05-5 HCAPLUS
CN Acetamide, N-[[(5S)-3-[4-[4-[6-(3-cyanophenyl)-1-oxo-5-hexynyl]-1-piperazinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



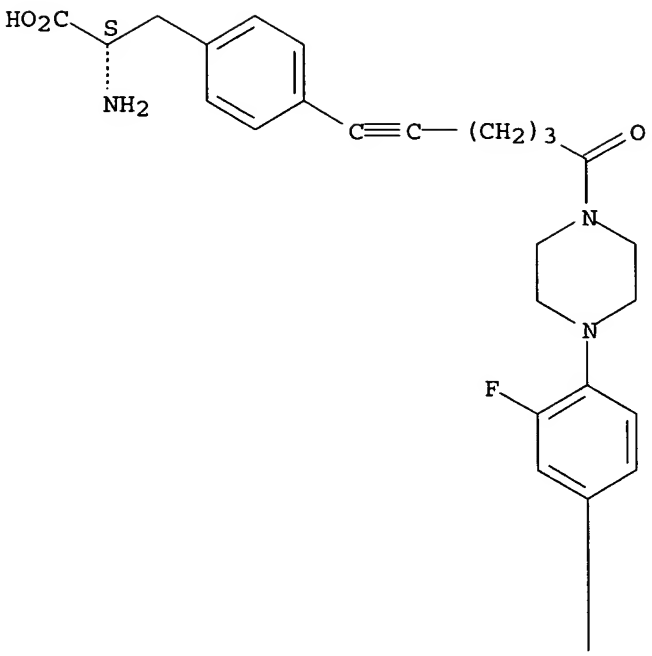
RN 773128-07-7 HCAPLUS
CN L-Phenylalanine, 4-[6-[4-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-6-oxo-1-hexynyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773128-06-6
CMF C31 H36 F N5 O6

Absolute stereochemistry.

PAGE 1-A



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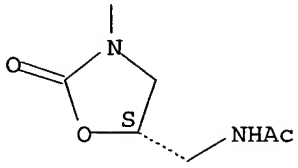
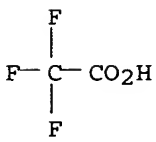


CM 2

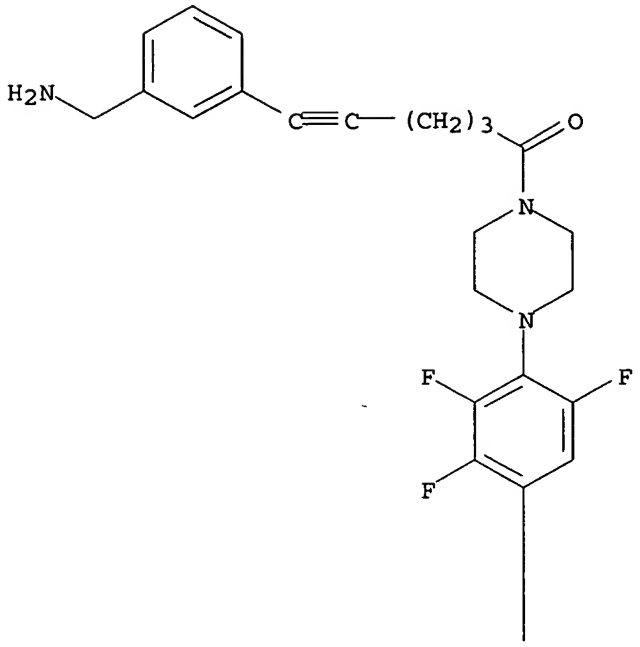
CRN 76-05-1
CMF C2 H F3 O2



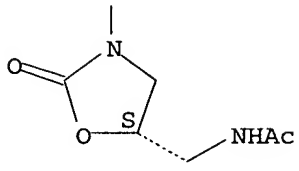
RN 773128-08-8 HCAPLUS
CN Acetamide, N-[[(5S)-3-[4-[4-[6-[3-(aminomethyl)phenyl]-1-oxo-5-hexynyl]-1-piperazinyl]-2,3,5-trifluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



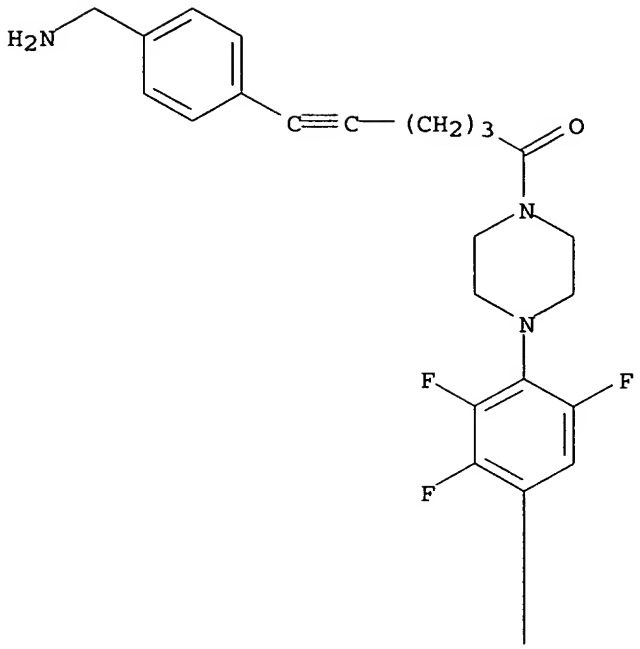
PAGE 2-A



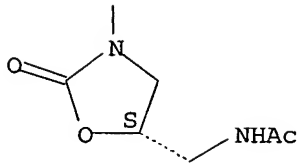
RN 773128-09-9 HCAPLUS
CN Acetamide, N-[[(5S)-3-[4-[4-[6-[4-(aminomethyl)phenyl]-1-oxo-5-hexynyl]-1-piperazinyl]-2,3,5-trifluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

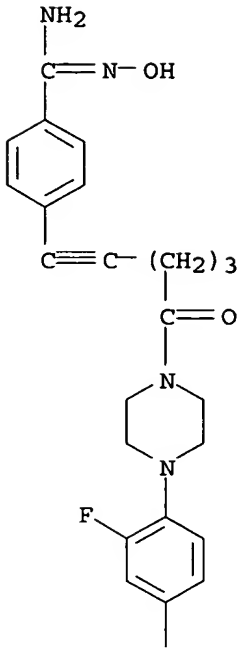


PAGE 2-A



RN 773894-58-9 HCAPLUS
CN Acetamide, N-[[(5S)-3-[4-[4-[6-[4-[(Z)-amino(hydroxyimino)methyl]phenyl]-1-oxo-5-hexynyl]-1-piperazinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



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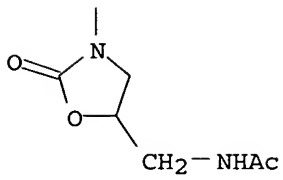


● HCl

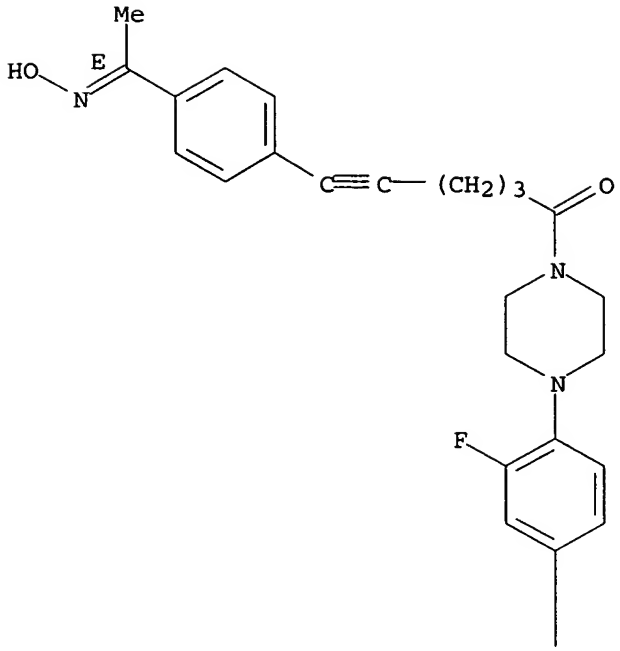
IT **773128-12-4P 773128-13-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aryloxazolidinonecarboxamides as antibacterials)

RN 773128-12-4 HCAPLUS

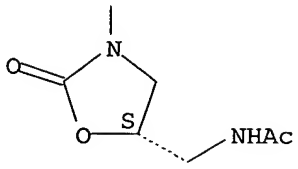
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[4-[6-[4-[(1E)-1-(hydroxyimino)ethyl]phenyl]-1-oxo-5-hexynyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



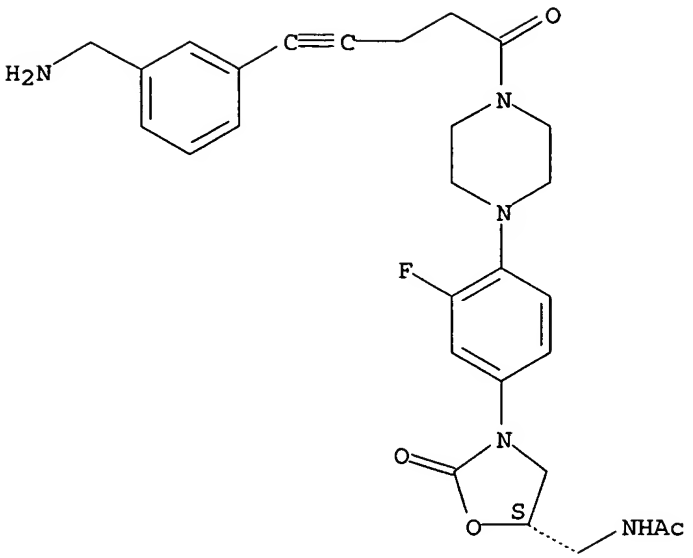
PAGE 2-A



● HCl

RN 773128-13-5 HCAPLUS
CN Acetamide, N-[[(5S)-3-[4-[4-[5-[3-(aminomethyl)phenyl]-1-oxo-4-pentynyl]-1-piperazinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

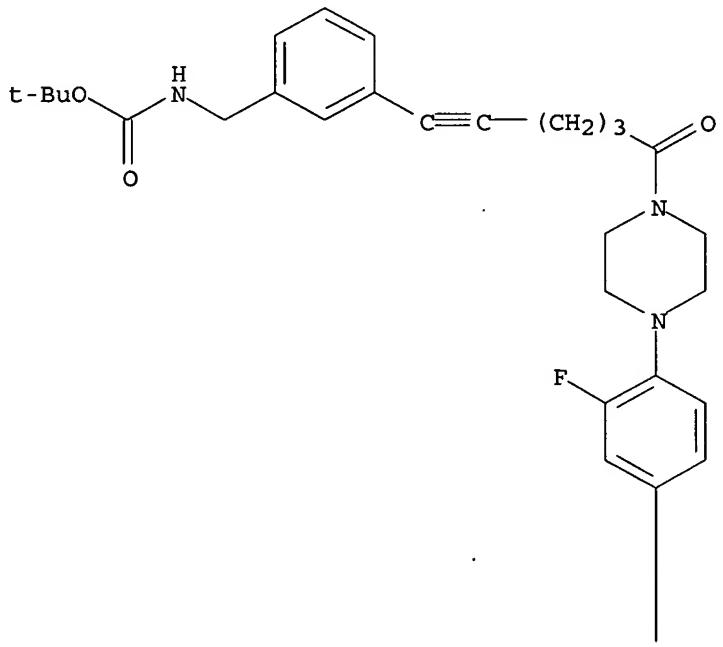
Absolute stereochemistry.



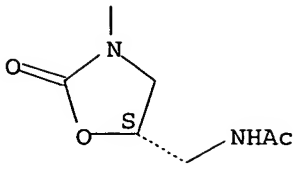
IT **773128-15-7P 773128-20-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aryloxazolidinonecarboxamides as antibacterials)
RN 773128-15-7 HCAPLUS
CN Carbamic acid, [[3-[6-[4-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-6-oxo-1-hexynyl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

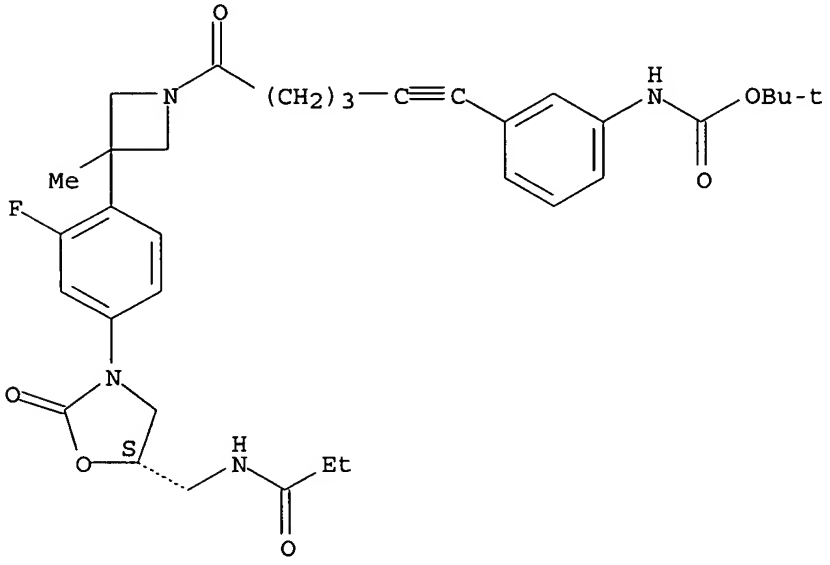


PAGE 2-A



RN 773128-20-4 HCAPLUS
CN Carbamic acid, [3-[6-[3-[2-fluoro-4-[(5S)-2-oxo-5-[[(1-oxopropyl)amino]methyl]-3-oxazolidinyl]phenyl]-3-methyl-1-azetidinyl]-6-oxo-1-hexynyl]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



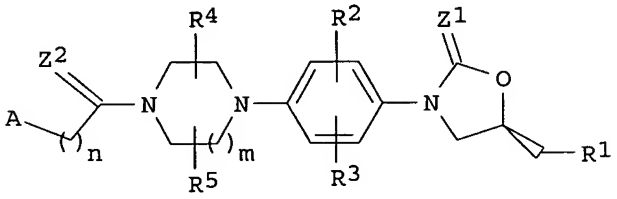
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 7 OF 40 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:182853 HCAPLUS
DOCUMENT NUMBER: 140:217664
TITLE: Preparation of piperazinophenyl-substituted oxazolidinones as antibacterial agents
INVENTOR(S): Agarwal, Shiv Kumar; Guha, Mrinal Kanti; Pandey, Surendrakumar Satyanarayan; Samuel, Matte Marianna
PATENT ASSIGNEE(S): Orchid Chemicals & Pharmaceuticals Ltd, India
SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004018439	A1	20040304	WO 2003-IB3459		20030821
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2513416	AA	20040304	CA 2003-2513416		20030821
AU 2003253141	A1	20040311	AU 2003-253141		20030821
EP 1578734	A1	20050928	EP 2003-792559		20030821
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
US 2005070526	A1	20050331	US 2003-469648		20030903
PRIORITY APPLN. INFO.:			IN 2002-MA618	A	20020822
			WO 2003-IB3459	W	20030821

OTHER SOURCE(S): MARPAT 140:217664

GI



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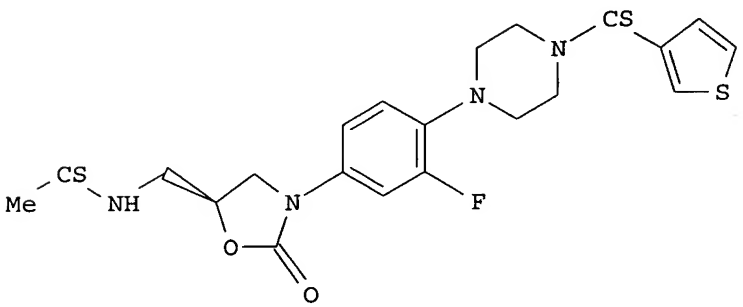


II

AB The present invention provides piperazinophenyl-substituted oxazolidinones (shown as I; variables defined below; all examples are oxazolidinones, e.g. II), their derivs., analogs, tautomeric forms, stereoisomers, polymorphs, hydrates, solvates, pharmaceutically acceptable salts and pharmaceutically acceptable compns. containing them, methods for their preparation, and their use against infections, particularly bacterial infections. Min. inhibitory concns. were obtained for 12 examples of I for Staphylococcus aureus, Enterococcus faecalis, Moraxella catarrhalis and Staphylococcus epidermidis. Characterization data and/or preparative details are given for 51 examples of I and 39 intermediates. For example, II was prepared in 81% yield from N-[[(S)-3-[3-fluoro-4-[4-(thiophen-3-ylcarbonyl)piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide using Lawesson's reagent;

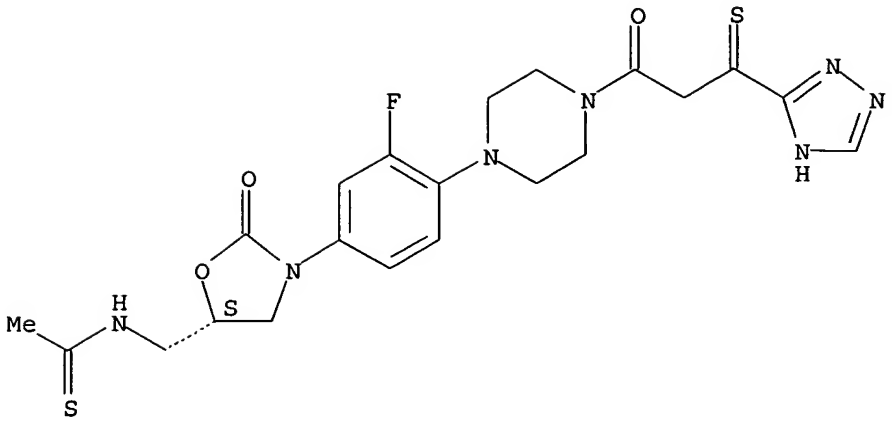
the reactant was prepared in 10 steps starting with substitution of 3,4-difluoronitrobenzene by piperazine (98%) and followed by N-protection with Boc, reduction to amine (93%), carbamate formation with benzyl chloroformate, cyclization with (R)-glycidyl butyrate to give [(R)-3-[3-fluoro-4-[4-(tert-butoxycarbonyl)piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methanol, conversion to mesylate, conversion to azide, reduction/acetylation, deprotection, and acylation with thiophene-3-carboxylic acid (54%). For I: Z1 and Z2 = O or S; R1 = halogen, azido, nitro, cyano, XR6 (X = O or S; R6 = H, formyl, (un)substituted (C1-C6)alkyl, cycloalkyl, aryl, aralkyl, acyl, thioacyl, heterocyclyl, heteroaryl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl), N(R7aR7b) (R7a and R7b = H, formyl, (un)substituted (C1-C6)alkyl, aryl, aralkyl, heteroaryl, heteroaralkyl or an amino acid residue which is attached through acid moiety, or R7a and R7b together with N = mono or bicyclic (un)saturated ring system which may contain ≥1 O, S or N), or -NHC(:Y)R8 (Y = O or S; R8 is H, (un)substituted (C1-C6)alkyl, (C1-C6)alkoxy, aryl, (C3-C6)cycloalkyl, amino, monoalkylamino, dialkylamino, cycloalkylamino, arylamino, aroylamino, alkylcarbonylamino, arylcarbonylamino, heteroaryl, heterocyclyl, heteroaralkyl, heteroaroylamino) or R1 is NHS(O)p(C1-C4)alkyl, -NHS(O)p(C1-C4)aryl or -NHS(O)p(C1-C4)heteroaryl (p = 0-2). R2 and R3 = H, halogen, hydroxy, alkyl, alkoxy; R4 and R5 = H, cyano, nitro, amino, halogen, hydroxy, (un)substituted (C1-C6)alkyl, haloalkyl, (C1-C6) alkoxy, (C1-C6)alkylthio, (C3-C6)cycloalkyl or either of R4 or R5 = oxo or thioxo; n = 0-2; when Z2 = S, A = NHR9 or (un)substituted cycloalkyl, aryl, 5-7 membered heteroaryl, heterocyclyl (attached through C atom), heteroarylalkenyl, heterocyclylalkenyl; wherein R9 = H or (un)substituted alkyl, aryl, alkoxy, alkenyl, cycloalkyl, heteroaryl or heterocyclyl; when Z2 = O, A = NHR9, where R9 = Ph substituted by nitro; (un)substituted alkoxy, alkenyl, cycloalkyl, heteroaryl or heterocyclyl group. M = 0-2; n = 0-4, with a proviso that when n is 0, R9 does not = H or alkyl.

IT **665012-41-9P**, N-[[(S)-3-[3-Fluoro-4-[4-([1,2,4]triazol-3-ylthiocarbonylacetyl)piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]thioacetamide **665012-42-0P**, N-[[(S)-3-[3-Fluoro-4-[4-([1,2,4]triazol-3-ylthiocarbonylacetyl)piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of piperazinophenyl-substituted oxazolidinones as antibacterial agents)

RN 665012-41-9 HCAPLUS

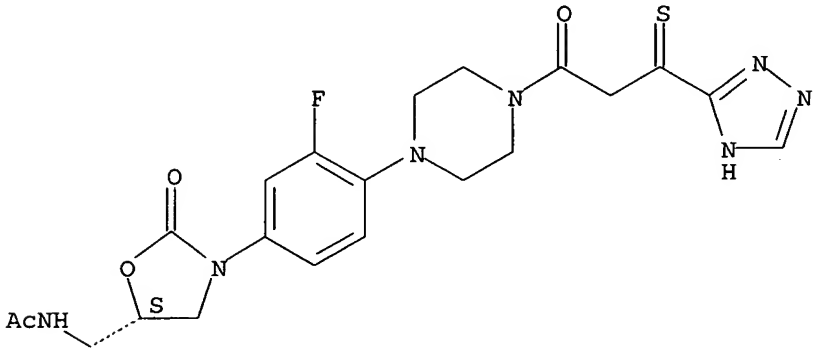
CN Ethanethioamide, N-[[(5S)-3-[3-fluoro-4-[4-[1-oxo-3-thioxo-3-(1H-1,2,4-triazol-3-yl)propyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



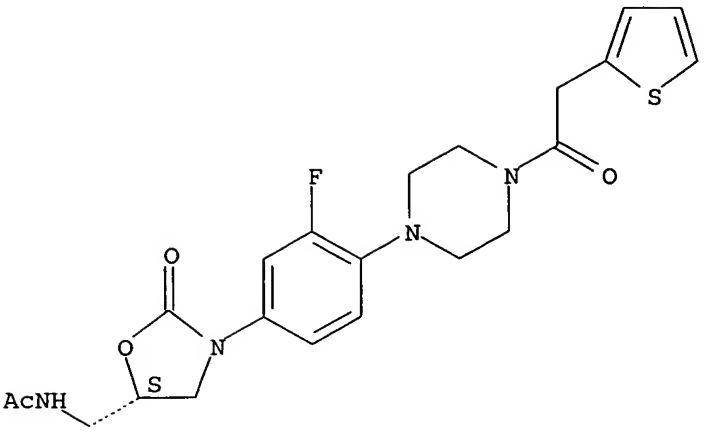
RN 665012-42-0 HCAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[4-[1-oxo-3-thioxo-3-(1H-1,2,4-triazol-3-yl)propyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **392659-36-8P**, N-[[(S)-3-[3-Fluoro-4-[4-[(thien-2-yl)acetyl]piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of piperazinophenyl-substituted oxazolidinones as antibacterial agents)
RN 392659-36-8 HCAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[4-(2-thienylacetyl)-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

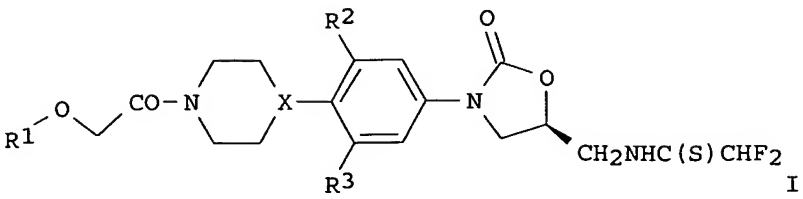


REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 8 OF 40 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:20492 HCAPLUS
DOCUMENT NUMBER: 140:94033
TITLE: Preparation of glycoloyl-substituted oxazolidinone difluorothioacetamide derivatives as antibacterial agents
INVENTOR(S): Hester, Jackson B., Jr.; Adams, Wade J.; Stevens, Jeffrey C.; Scott, Carole; Gordeev, Mikhail F.; Singh, Upinder
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004002479	A1	20040108	WO 2003-US16218	20030616
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2490193	AA	20040108	CA 2003-2490193	20030616
AU 2003241582	A1	20040119	AU 2003-241582	20030616
US 2004072842	A1	20040415	US 2003-462332	20030616
EP 1519722	A1	20050406	EP 2003-731329	20030616
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005535637	T2	20051124	JP 2004-517570	20030616
PRIORITY APPLN. INFO.:			US 2002-392716P P	20020628
			WO 2003-US16218 W	20030616

OTHER SOURCE(S): MARPAT 140:94033
GI



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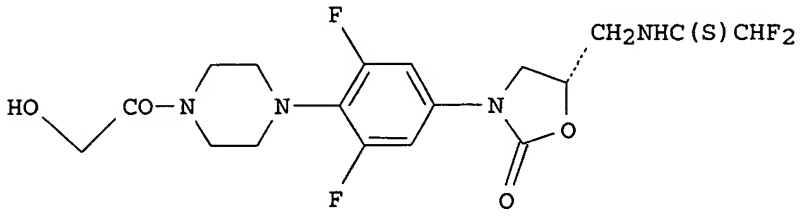


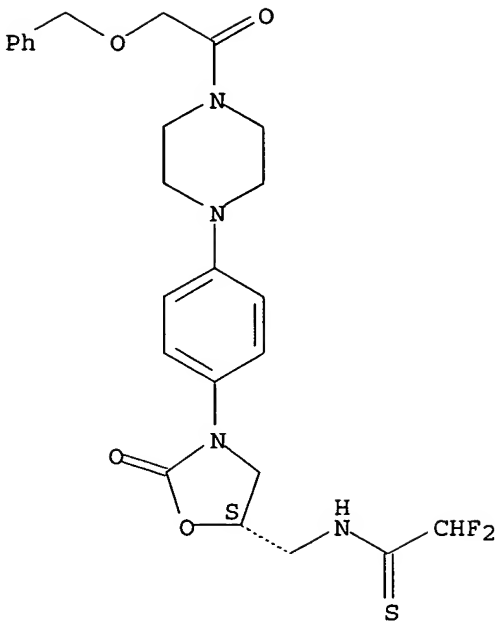
AB The present invention describes difluorothioacetamide oxazolidinones, many with a glycoloylpiperazine substituent, (shown as I; X is N or CH; R2 and R3 = H or F; R1 is H, -CH2phenyl, or -C(O)C1-4alkyl; e.g. II) as novel antibacterial agents (no data), and antimicrobial combination therapies for combating infective diseases caused by gram-pos. and gram-neg. bacteria. Although the methods of preparation are not claimed, 9 example prepns. are included. For example, II was prepared in 5 steps starting from difluoroacetic acid and 3,3-diphenyl-1-propanol and involving intermediates O-(3,3-diphenylpropyl) difluoroethanethioate, tert-Bu 4-[4-[(5S)-5-[[(2,2-difluoroethanethioyl)amino]methyl]-2-oxo-1,3-oxazolidin-3-yl]-2,6-difluorophenyl]piperazine-1-carboxylate, N-[[(5S)-3-[3,5-difluoro-4-(piperazin-1-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]-2,2-difluoroethanethioamide trifluoroacetate and 2-[4-[4-[(5S)-5-[[(2,2-difluoroethanethioyl)amino]methyl]-2-oxo-1,3-oxazolidin-3-yl]-2,6-difluorophenyl]piperazin-1-yl]-2-oxoethyl acetate.

IT **640772-92-5P**, N-[[(5S)-3-[4-[4-[(Benzyloxy)acetyl]piperazin-1-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]-2,2-difluoroethanethioamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of glycoloyl-substituted oxazolidinone difluorothioacetamide derivs. as antibacterial agents)

RN 640772-92-5 HCAPLUS

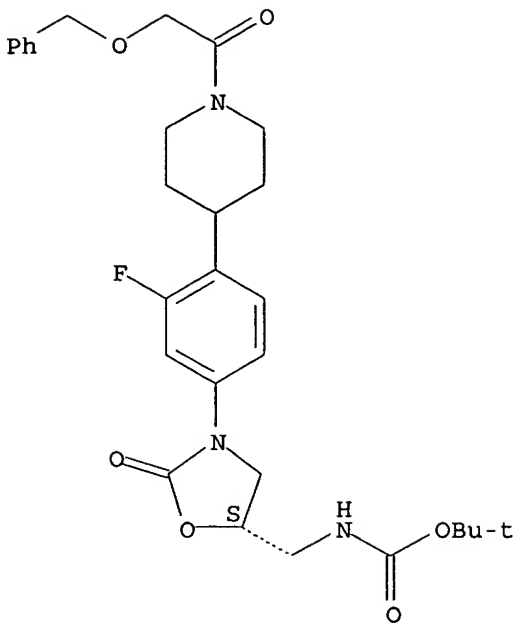
CN Ethanethioamide, 2,2-difluoro-N-[[(5S)-2-oxo-3-[4-[4-[(phenylmethoxy)acetyl]-1-piperazinyl]phenyl]-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **640773-01-9P**, tert-Butyl [[(5S)-3-[4-[1-[(benzyloxy)acetyl]piperidin-4-yl]-3-fluorophenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]carbamate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of glycoloyl-substituted oxazolidinone difluorothioacetamide derivs. as antibacterial agents)
RN 640773-01-9 HCAPLUS
CN Carbamic acid, [[(5S)-3-[3-fluoro-4-[1-[(phenylmethoxy)acetyl]-4-piperidinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

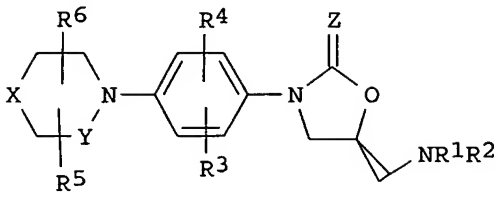


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 9 OF 40 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:892759 HCAPLUS
DOCUMENT NUMBER: 139:381743
TITLE: Preparation of oxazolidinone amino acid derivatives as antibacterial agents
INVENTOR(S): Agarwal, Shiv Kumar; Pandey, Surendrakumar Satyanarayan
PATENT ASSIGNEE(S): Orchid Chemicals & Pharmaceuticals Ltd., India
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003093247	A2	20031113	WO 2003-IB1571	20030425
WO 2003093247	A3	20031224		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003224345	A1	20031117	AU 2003-224345	20030425
PRIORITY APPLN. INFO.:			IN 2002-MA329 A	20020430
			WO 2003-IB1571 W	20030425

OTHER SOURCE(S): MARPAT 139:381743
GI



I

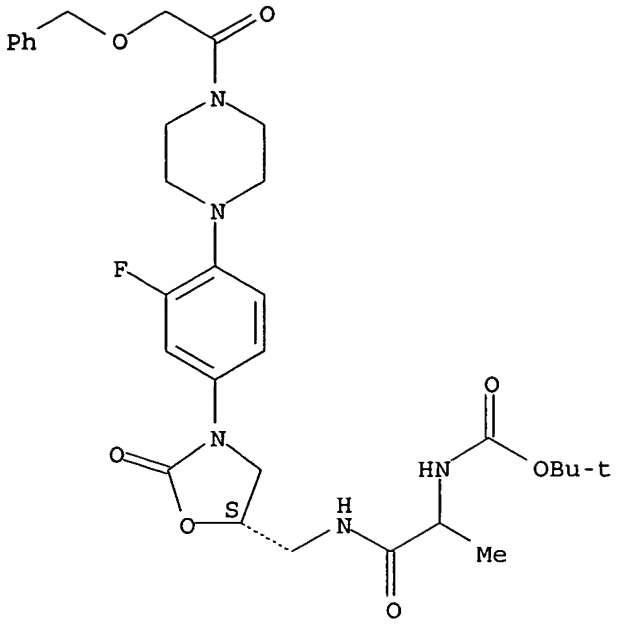
AB The invention provides novel oxazolidinone derivs. of I [X is O, S, SO, SO2, or NR7, where R7 is H, OH, alkyl, alkanoyl, etc.; Y is (CH2)0-2; Z is O or S; R1 is H, alkyl, aryl, or cycloalkyl; R2 is an amino acid residue; R3, R4 are H or halo; R5, R6 are H, cyano, nitro, amino, oxo, thioxo, hydroxy, alkyl, alkoxy, alkylthio, or cycloalkyl] and their derivs., analogs, tautomeric forms, stereoisomers, polymorphs, and pharmaceutically-acceptable salts as new antibacterial agents. Thus, (S)-N-[[3-(3-fluoro-4-morpholinophenyl)-2-oxooxazolidin-5-yl]methyl]-2-aminopropionamide hydrochloride was prepared via acylation of the 5-(aminomethyl)-2-oxazolidinone derivative and showed MIC > 8 μg/mL against S. Aureus or E. Faecalis.

IT **623169-94-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of oxazolidinone amino acid derivs. as antibacterial agents)

RN 623169-94-8 HCAPLUS

CN Carbamic acid, [2-[[[(5S)-3-[3-fluoro-4-[4-[(phenylmethoxy)acetyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]amino]-1-methyl-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 10 OF 40 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:796700 HCAPLUS
DOCUMENT NUMBER: 139:307798
TITLE: Preparation of 3-(4-piperazinophenyl) substituted oxazolidinones as novel antiinfective compounds and pharmaceutical compositions containing them
INVENTOR(S): Lohray, Braj Bhushan; Lohray, Vidya Bhushan; Srivastava, Brijesh Kumar
PATENT ASSIGNEE(S): Cadila Healthcare Limited, India
SOURCE: PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003082864	A2	20031009	WO 2003-IN81	20030326
WO 2003082864	A3	20031113		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2478502	AA	20031009	CA 2003-2478502	20030326
AU 2003231920	A1	20031013	AU 2003-231920	20030326
EP 1495021	A2	20050112	EP 2003-745394	20030326
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

BR 2003008837	A	20050201	BR 2003-8837		20030326
PRIORITY APPLN. INFO.:			IN 2002-MU310	A	20020401
			WO 2003-IN81	W	20030326

OTHER SOURCE(S): MARPAT 139:307798
GI

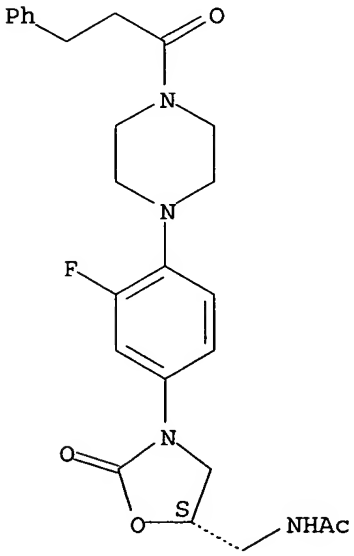
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; Ar = (un)substituted Ph, 5-6 membered heteroaryl; R1, R2 = H, halo, alkyl, etc.; Y = II-IV (wherein R3, R4 = H, alkyl, halo, etc.; X = O, S, NR5; R5 = H, alkyl, aryl; A = (un)substituted (un)saturated single or fused ring optionally containing one or more heteroatoms selected from N, S, O; Z = H, alkyl, CN, etc.); W = OH, N3, NH2, NCS, etc.], useful for treating bacterial infections, psoriasis, arthritis, were prepared Thus, amidation of (S)-N-({3-[3-fluoro-4-(N-piperazinyl)phenyl]-2-oxo-5-oxazolidinyl}methyl)acetamide with 3-(2-thienyl)acrylic acid afforded 53% (S)-V. The compds. I inhibited the growth of bacteria such as Staphylococcus aureus, Staphylococcus epidermidis and Enterococcus faecalis with MIC's in a range of about 0.25 μg/mL to about 64 μg/mL. Pharmaceutical composition comprising the compound I is claimed.

IT **612056-28-7P 612056-29-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-(4-piperazinophenyl) substituted oxazolidinones as novel antiinfective compds. and pharmaceutical compns. containing them)

RN 612056-28-7 HCAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[4-(1-oxo-3-phenylpropyl)-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

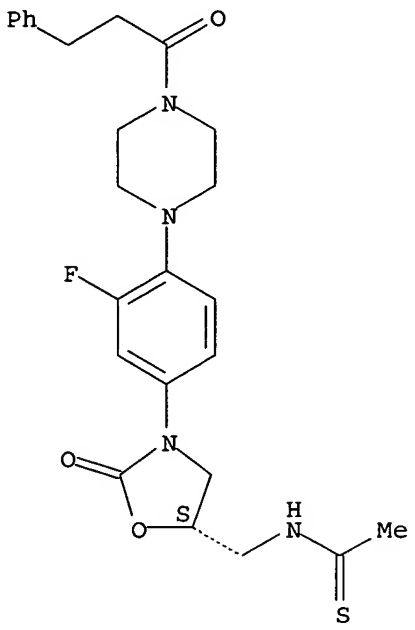
Absolute stereochemistry.



RN 612056-29-8 HCAPLUS
CN Piperazine, 1-[2-fluoro-4-[(5S)-2-oxo-5-[[(1-thioxoethyl)amino]methyl]-3-

oxazolidinyl]phenyl]-4-(1-oxo-3-phenylpropyl)- (9CI) (CA INDEX NAME)

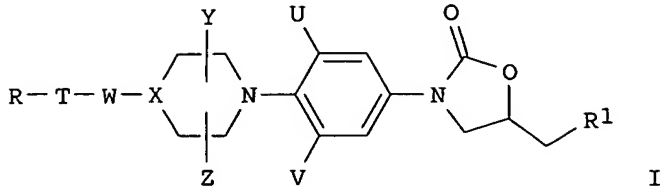
Absolute stereochemistry.



L14 ANSWER 11 OF 40 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:492705 HCAPLUS
DOCUMENT NUMBER: 139:69253
TITLE: Preparation of phenyl oxazolidinone derivatives as potential antimicrobials
INVENTOR(S): Mehta, Anita; Arora, Sudershan K.; Das, Biswajit; Ray, Abhijit; Rudra, Sonali; Rattan, Ashok
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: U.S. Pat. Appl. Publ., 38 pp., Cont.-in-part of U.S. Ser. No. 906,215.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003119817	A1	20030626	US 2002-51784	20020117
US 6956040	B2	20051018		
US 2002103186	A1	20020801	US 2001-906215	20010716
US 6734307	B2	20040511		
PRIORITY APPLN. INFO.:			US 2001-906215 A2	20010716
			IN 2000-DE654 A	20000717

OTHER SOURCE(S): CASREACT 139:69253; MARPAT 139:69253
GI



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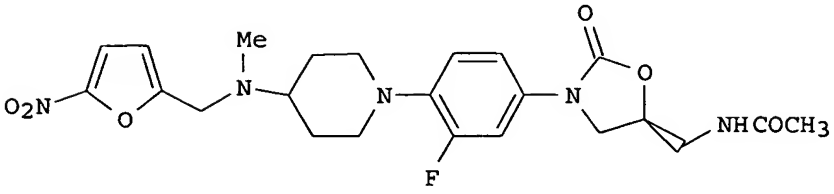


II

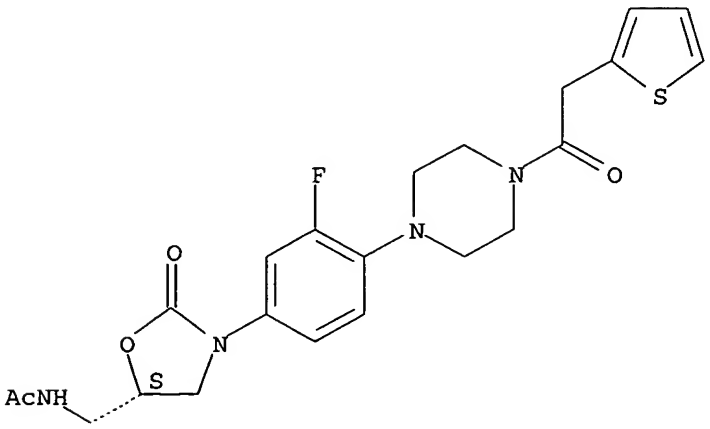
AB Substituted Ph oxazolidinones, e.g. of formula I [T = heterocyclic ring, aryl; R = alkyl, halo, CN, CHO, NH2, NO2, etc.; X = CH, CH-S, CH-O, N; Y, Z = H, alkyl, cycloalkyl, bridging group; U, V = alkyl, F, CL, Br, etc.; W = CH2, CO, CH2NH, etc.; R1 = NHCHR2, NR2CSR2; R2 = H, alkyl, cycloalkyl, alkoxy, etc.], are prepared This invention also relates to pharmaceutical compns. containing the compds. of the present invention as antimicrobials. The compds. are useful antimicrobial agents, effective against a number of human and veterinary pathogens, including gram-pos. aerobic bacteria such as multiply-resistant staphylococci, streptococci and enterococci as well as anaerobic organisms such as Bacterioides spp. and Clostridia spp. species, and acid fast organisms such as Mycobacterium tuberculosis, Mycobacterium avium and Mycobacterium spp. Thus, II was prepared and showed antibacterial activity against several strains.

IT **392659-36-8P 548762-71-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of Ph oxazolidinone derivs. as antibacterial agents)

RN 392659-36-8 HCAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[4-(2-thienylacetyl)-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

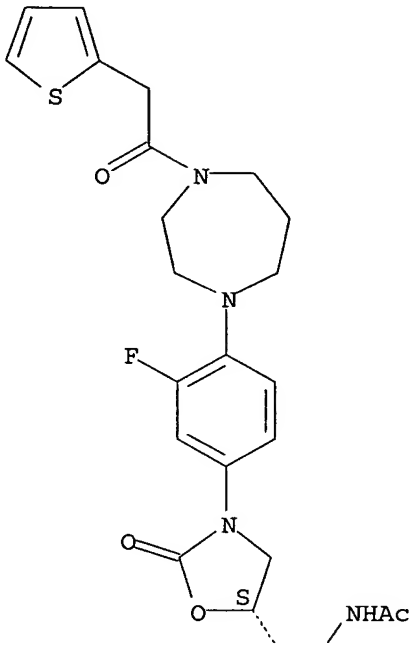


RN 548762-71-6 HCAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[hexahydro-4-(2-thienylacetyl)-1H-1,4-diazepin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

L14 ANSWER 12 OF 40 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:	2003:443562 HCAPLUS
DOCUMENT NUMBER:	139:242801
TITLE:	Cross-linking in the Living Cell Locates the Site of Action of Oxazolidinone Antibiotics
AUTHOR(S):	Colca, Jerry R.; McDonald, William G.; Waldon, Daniel J.; Thomasco, Lisa M.; Gadwood, Robert C.; Lund, Eric T.; Cavey, Gregory S.; Mathews, W. Rodney; Adams, Lonnie D.; Cecil, Eric T.; Pearson, James D.; Bock, Jeffrey H.; Mott, John E.; Shinabarger, Dean L.; Xiong, Liqun; Mankin, Alexander S.
CORPORATE SOURCE:	Pharmacia Corp., Kalamazoo, MI, 49001, USA
SOURCE:	Journal of Biological Chemistry (2003), 278(24), 21972-21979 CODEN: JBCHA3; ISSN: 0021-9258
PUBLISHER:	American Society for Biochemistry and Molecular Biology
DOCUMENT TYPE:	Journal
LANGUAGE:	English

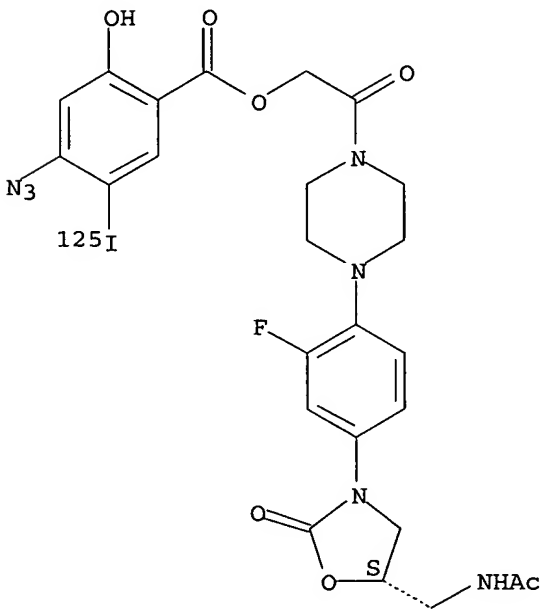
AB Oxazolidinone antibiotics, an important new class of synthetic antibacterials, inhibit protein synthesis by interfering with ribosomal function. The exact site and mechanism of oxazolidinone action has not been elucidated. Although genetic data pointed to the ribosomal peptidyltransferase as the primary site of drug action, some biochem. studies conducted in vitro suggested interaction with different regions of the ribosome. These inconsistent observations obtained in vivo and in vitro have complicated the understanding of oxazolidinone action. To localize the site of oxazolidinone action in the living cell, we have cross-linked a photoactive drug analog to its target in intact, actively growing Staphylococcus aureus. The oxazolidinone cross-linked specifically to 23 S rRNA, tRNA, and two polypeptides. The site of crosslinking to 23 S rRNA was mapped to the universally conserved A-2602. Polypeptides cross-linked were the ribosomal protein L27, whose N terminus may reach the peptidyltransferase center, and LepA, a protein homologous to translation factors. Only ribosome-associated LepA, but not free protein, was cross-linked, indicating that LepA was cross-linked by the ribosome-bound antibiotic. The evidence suggests that a specific oxazolidinone binding site is formed in the translating ribosome in the immediate vicinity of the peptidyltransferase center.

IT **437717-86-7**, PNU 259621
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(site of action of oxazolidinone antibiotics in Staphylococcus aureus)

RN 437717-86-7 HCAPLUS

CN Benzoic acid, 4-azido-2-hydroxy-5-(iodo-125I)-, 2-[4-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 13 OF 40 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:319692 HCAPLUS
DOCUMENT NUMBER: 138:338143

TITLE: Preparation of dual action bactericides comprising a oxazolidinone and a quinolone or naphthyridinone moiety effective against multi-drug resistant bacteria

INVENTOR(S): Hubschwerlen, Christian; Specklin, Jean-Luc

PATENT ASSIGNEE(S): Morphochem Aktiengesellschaft fuer Kombinatorische Chemie, Germany

SOURCE: PCT Int. Appl., 101 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2003032962	A2	20030424	WO 2002-EP11163		20021004
WO 2003032962	A3	20030717			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2460572	AA	20030424	CA 2002-2460572		20021004
EP 1432705	A2	20040630	EP 2002-796533		20021004
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK					
BR 2002013063	A	20040928	BR 2002-13063		20021004
US 2005096343	A1	20050505	US 2003-491519		20021004
CN 1630655	A	20050622	CN 2002-819724		20021004
JP 2005529061	T2	20050929	JP 2003-535766		20021004
NZ 531879	A	20051028	NZ 2002-531879		20021004
ZA 2004001909	A	20050309	ZA 2004-1909		20040309
PRIORITY APPLN. INFO.:			US 2001-327162P	P	20011004
			WO 2002-EP11163	W	20021004

OTHER SOURCE(S): MARPAT 138:338143

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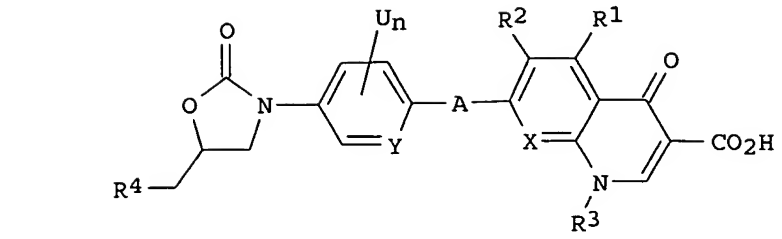

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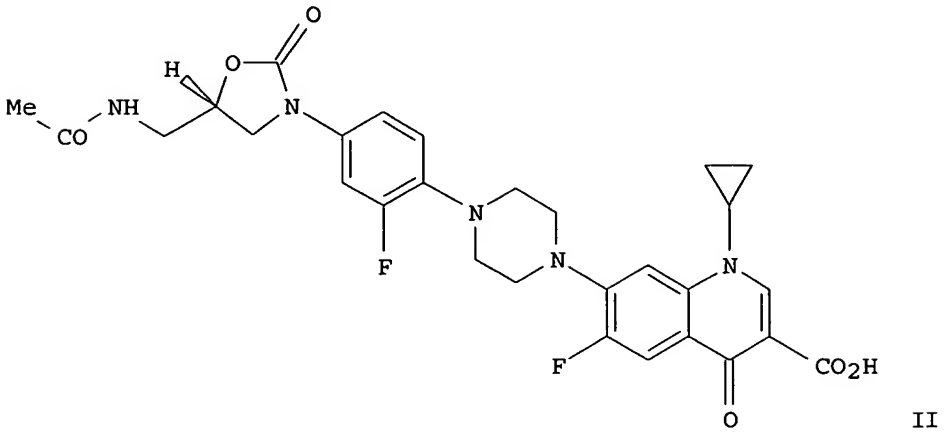


II

AB The present invention relates to compds. of the Formula (I) that are useful antimicrobial agents and effective against a variety of multi-drug resistant bacteria. The present invention relates to oxazolidinones having a quinolone or naphthyridinone moiety (shown as I; variables defined below; e.g. 7-[4-[4-[(5S)-5-(acetylaminomethyl)-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid (shown as II)) that are useful antibacterial agents and effective against a variety of multi-drug resistant bacteria. For I: A is a bond, NH, O, S, SO, SO2, SO2NH, PO4, -NH-CO-NH-, -CO-NH-, -CO-, -CO-O-, -NH-CO-O-, alkylene, alkenylene, alkynylene, heteroalkylene, arylene, heteroarylene, cycloalkylene, heterocycloalkylene, alkylarylene or heteroarylalkylene or a combination of two or more of these atoms or groups. X is CR5 or N; Y is CR6 or N; U is F or Cl; n = 0-3; R1 is H, F, Cl, Br, I, OH, NH2, alkyl or heteroalkyl; R2 is H, F or Cl; R3 is H, alkyl, alkenyl, alkynyl, heteroalkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, alkylaryl or heteroarylalkyl; R4 is heteroalkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, alkylaryl or heteroarylalkyl; R5 is H, F, Cl, OH, NH2, alkyl or heteroalkyl, or R3 and R5 can be linked via an alkylene, an alkenylene or heteroalkylene or be a part of a cycloalkylene or heterocycloalkylene group, in which case R3 is not H and R5 is not H, F, OH, NH2 or Cl; R6 is H, F, Cl or OMe. Although the methods of preparation are not claimed, 30 example prepns. are included; the examples of this patent and many of the claims are the same as those of WO 03/031443 A1. All examples were tested against several gram pos. and gram neg. bacteria; typical MIC ranges (mg/L) are: S. aureus (MRSA: 0.125-2; MSSA: 0.06-1), E. faecalis (≤0.03-1), E. faecium (≤0.03-1), and S. pneumoniae (≤0.03-1). They all have a broader and more pronounced activity than the corresponding quinolone and oxazolidinone as well as a 1+1 combination of these two compds.

IT **510729-10-9P**, 7-[4-[2-[4-[4-[(5S)-5-[(Acetylamino)methyl]-2-

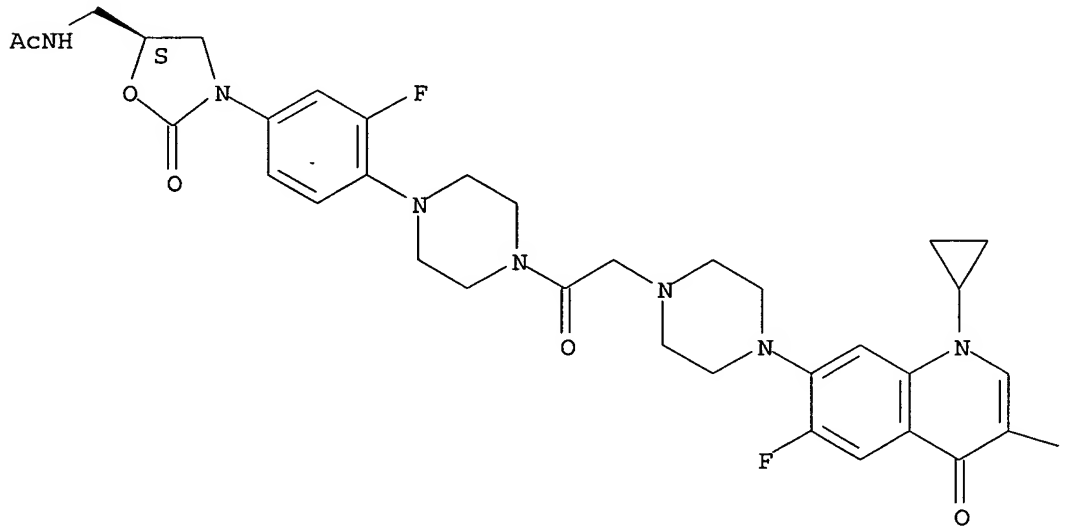
oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-2-oxoethyl]piperazin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of dual action bactericides comprising oxazolidinone and quinolone or naphthyridinone moiety effective against multi-drug resistant bacteria)

RN 510729-10-9 HCAPLUS

CN 3-Quinolinecarboxylic acid, 7-[4-[2-[4-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl]-1-piperazinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



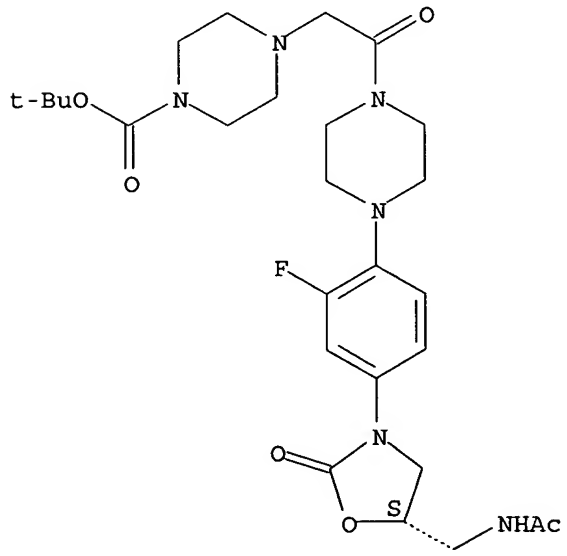
CO_2H

IT **510729-11-0P**, 4-[2-[4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-2-oxoethyl]piperazine-1-carboxylic acid tert-butyl ester **510729-12-1P**, N-[[(5S)-3-[3-Fluoro-4-[4-(2-(piperazin-1-yl)acetyl)piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of dual action bactericides comprising oxazolidinone and quinolone or naphthyridinone moiety effective against multi-drug resistant bacteria)

RN 510729-11-0 HCAPLUS

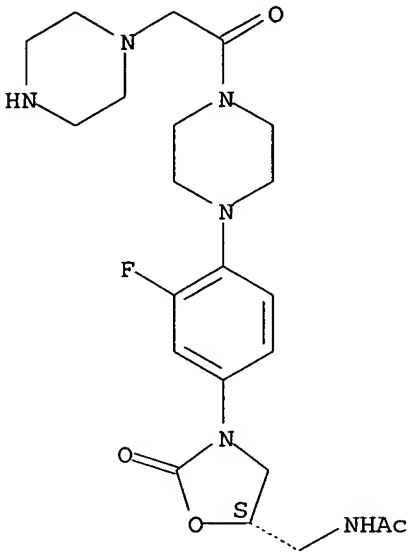
CN 1-Piperazinecarboxylic acid, 4-[2-[4-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 510729-12-1 HCAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[4-(1-piperazinylacetyl)-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 14 OF 40 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:301084 HCAPLUS
DOCUMENT NUMBER: 138:304289
TITLE: Preparation of dual action bactericides comprising a oxazolidinone and a quinolone or naphthyridinone moiety effective against multi-drug resistant bacteria
INVENTOR(S): Hubschwerlen, Christian; Specklin, Jean-Luc
PATENT ASSIGNEE(S): Morphochem Aktiengesellschaft fuer Kombinatorische Chemie, Germany
SOURCE: PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003031443	A1	20030417	WO 2002-EP10766	20020925
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CN 1630655	A	20050622	CN 2002-819724	20021004

ZA 2004001909 A 20050309 ZA 2004-1909 20040309
PRIORITY APPLN. INFO.: US 2001-327162P P 20011004
OTHER SOURCE(S): MARPAT 138:304289
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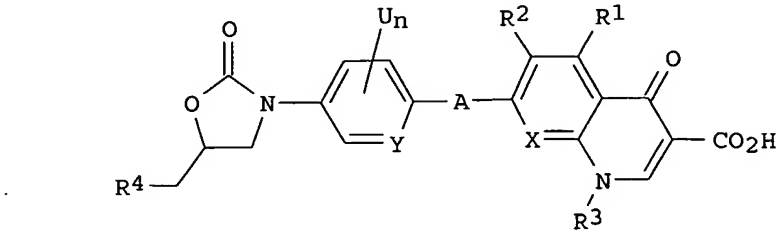

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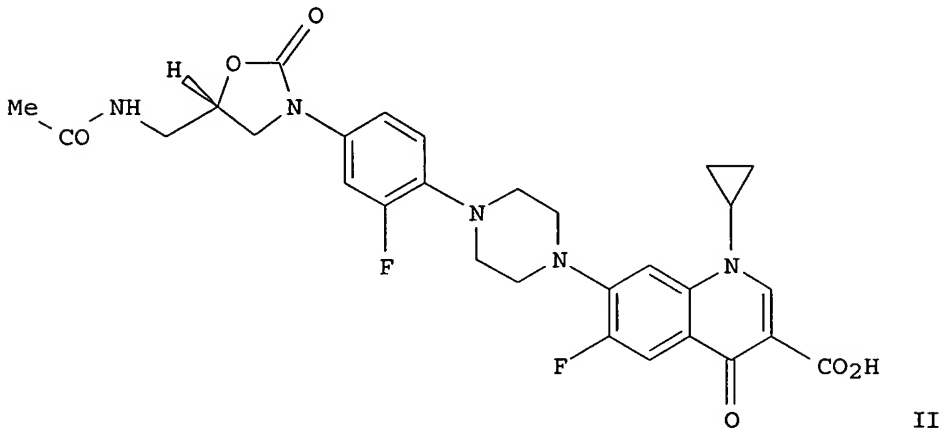


II

AB The present invention relates to oxazolidinones having a quinolone or naphthyridinone moiety (shown as I; variables defined below; e.g. 7-[4-[4-[(5S)-5-(acetylaminomethyl)-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid (shown as II)) that are useful antibacterial agents and effective against a variety of multi-drug resistant bacteria. For I: A is a bond, NH, O, S, SO, SO2, SO2NH, PO4, -NH-CO-NH-, -CO-NH-, -CO-, -CO-O-, -NH-CO-O-, alkylene, alkenylene, alkynylene, heteroalkylene, arylene, heteroarylene, cycloalkylene, heterocycloalkylene, alkylarylene or heteroarylalkylene or a combination of two or more of these atoms or groups. X is CR5 or N; Y is CR6 or N; U is F or Cl; n = 0-3; R1 is H, F, Cl, Br, I, OH, NH2, alkyl or heteroalkyl; R2 is H, F or Cl; R3 is H, alkyl, alkenyl, alkynyl, heteroalkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, alkylaryl or heteroarylalkyl; R4 is heteroalkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, alkylaryl or heteroarylalkyl; R5 is H, F, Cl, OH, NH2, alkyl or heteroalkyl, or R3 and R5 can be linked via an alkylene, an alkenylene or heteroalkylene or be a part of a cycloalkylene or heterocycloalkylene group, in which case R3 is not H and R5 is not H, F, OH, NH2 or Cl; R6 is H, F, Cl or OMe. Although the methods of preparation are not claimed, 30 example prepns. are included. All examples were tested against several gram pos. and gram neg. bacteria; typical MIC ranges (mg/L) are: S. aureus (MRSA: 0.125-2; MSSA: 0.06-1), E. faecalis (≤0.03-1), E. faecium (≤0.03-1), and S. pneumoniae (≤0.03-1). They all have a broader and more pronounced activity than the corresponding quinolone and oxazolidinone as well as a 1+1 combination of these two compds.

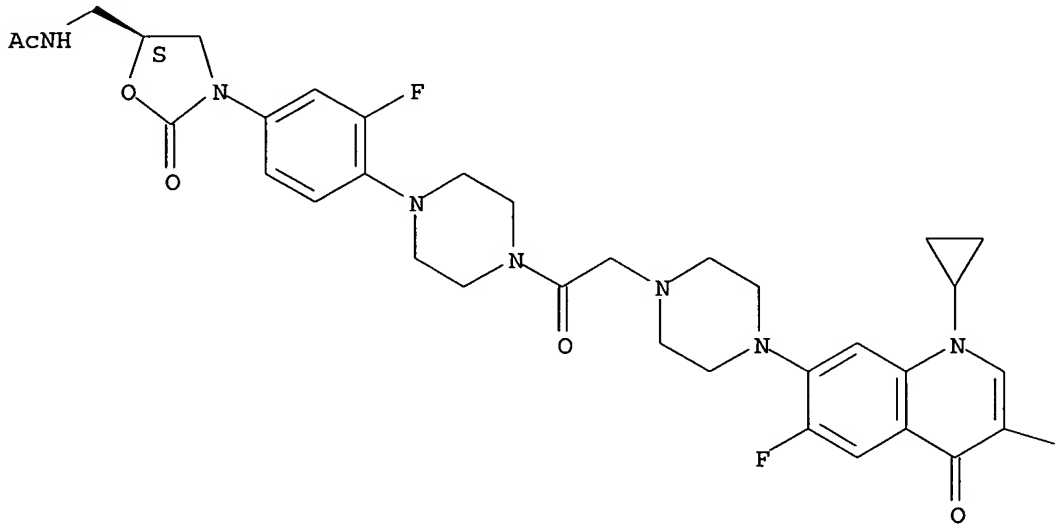
IT **510729-10-9P**, 7-[4-[2-[4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-2-oxoethyl]piperazin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of dual action bactericides comprising oxazolidinone and quinolone or naphthyridinone moiety effective against multi-drug resistant bacteria)

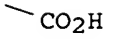
RN 510729-10-9 HCAPLUS

CN 3-Quinolinecarboxylic acid, 7-[4-[2-[4-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl]-1-piperazinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



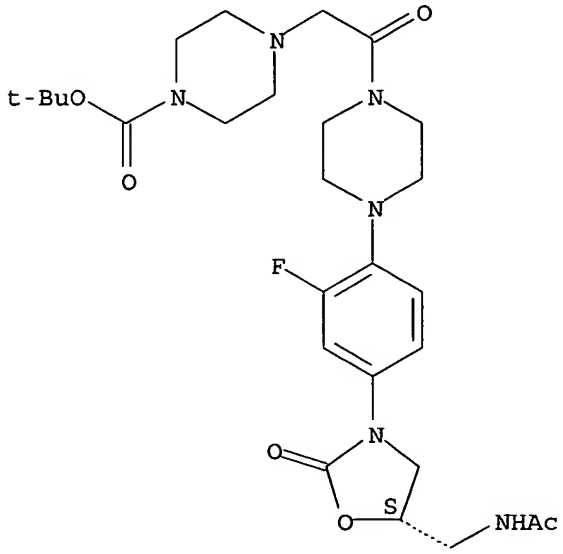


IT **510729-11-0P**, 4-[2-[4-[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-2-oxoethyl]piperazine-1-carboxylic acid tert-butyl ester **510729-12-1P**, N-[[(5S)-3-[3-Fluoro-4-[4-(2-(piperazin-1-yl)acetyl)piperazin-1-yl]phenyl]-2-oxooxazolidin-5-yl]methyl]acetamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of dual action bactericides comprising oxazolidinone and quinolone or naphthyridinone moiety effective against multi-drug resistant bacteria)

RN 510729-11-0 HCAPLUS

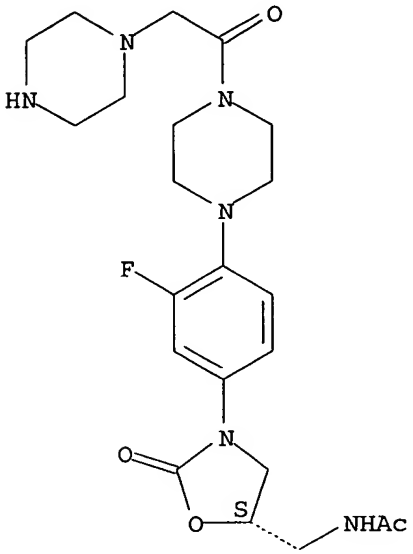
CN 1-Piperazinecarboxylic acid, 4-[2-[4-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 510729-12-1 HCAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[4-(1-piperazinylacetyl)-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



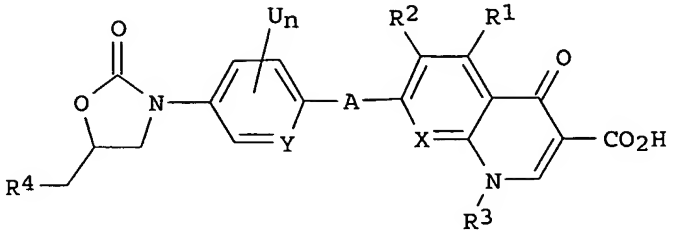
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 15 OF 40 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:301082 HCAPLUS
DOCUMENT NUMBER: 138:304288
TITLE: Preparation of dual action bactericides comprising a oxazolidinone and a quinolone or naphthyridinone moiety effective against multi-drug resistant bacteria
INVENTOR(S): Hubschwerlen, Christian; Specklin, Jean-Luc
PATENT ASSIGNEE(S): Morphochen Aktiengesellschaft fuer Kombinatorische Chemie, Germany
SOURCE: PCT Int. Appl., 95 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003031441	A1	20030417	WO 2002-EP10765	20020925

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,

CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: US 2001-327208P P 20011004
OTHER SOURCE(S): MARPAT 138:304288
GI



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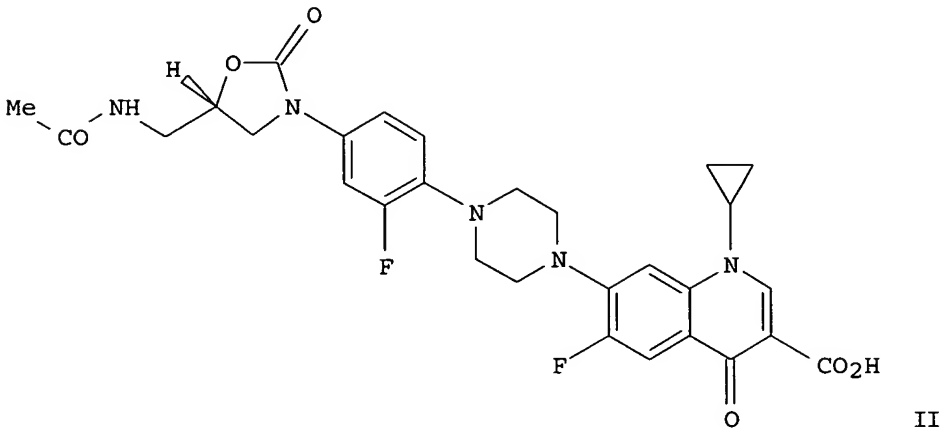


II

AB The present invention refers to novel multiple action compds., i.e., to compds. which contain at least two pharmaceutically active components in one mol. The compds. have a higher stability than corresponding compds. of the prior art. Although the present invention does not claim any specific compds. or even a Markush expression, the examples involve oxazolidinones having a quinolone or naphthyridinone moiety (shown as I; variables defined below; e.g. 7-[4-[4-[(5S)-5-(acetylaminomethyl)-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid (shown as II)) that are useful antibacterial agents and effective against a variety of multi-drug resistant bacteria. For I: A is a bond, NH, O, S, SO, SO2, SO2NH, PO4, -NH-CO-NH-, -CO-NH-, -CO-, -CO-O-, -NH-CO-O-, alkylene, alkenylene, alkynylene, heteroalkylene, arylene, heteroarylene, cycloalkylene, heterocycloalkylene, alkylarylene or heteroarylalkylene or a combination of two or more of these atoms or groups. X is CR5 or N; Y is CR6 or N; U is F or Cl; n = 0-3; R1 is H, F, Cl, Br, I, OH, NH2, alkyl or heteroalkyl; R2 is H, F or Cl; R3 is H, alkyl, alkenyl, alkynyl, heteroalkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, alkylaryl or heteroarylalkyl; R4 is heteroalkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, alkylaryl or heteroarylalkyl; R5 is H, F, Cl, OH, NH2, alkyl or heteroalkyl, or R3 and R5 can be linked via an alkylene, an alkenylene or heteroalkylene or be a part of a cycloalkylene or heterocycloalkylene group, in which case R3 is not H and R5 is not H, F, OH, NH2 or Cl; R6 is H, F, Cl or OMe. Although the methods of preparation are not claimed, 30 example prepns. are included. All examples were tested against several gram pos. and gram neg. bacteria; typical MIC ranges (mg/L) are: S. aureus

(MRSA: 0.125-2; MSSA: 0.06-1), E. faecalis (≤0.03-1), E. faecium (≤0.03-1), and S. pneumoniae (≤0.03-1). They all have a broader and more pronounced activity than the corresponding quinolone and oxazolidinone as well as a 1+1 combination of these two compds. The examples of this patent are the same as those of WO 03/031443 A1.

IT **510729-10-9P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

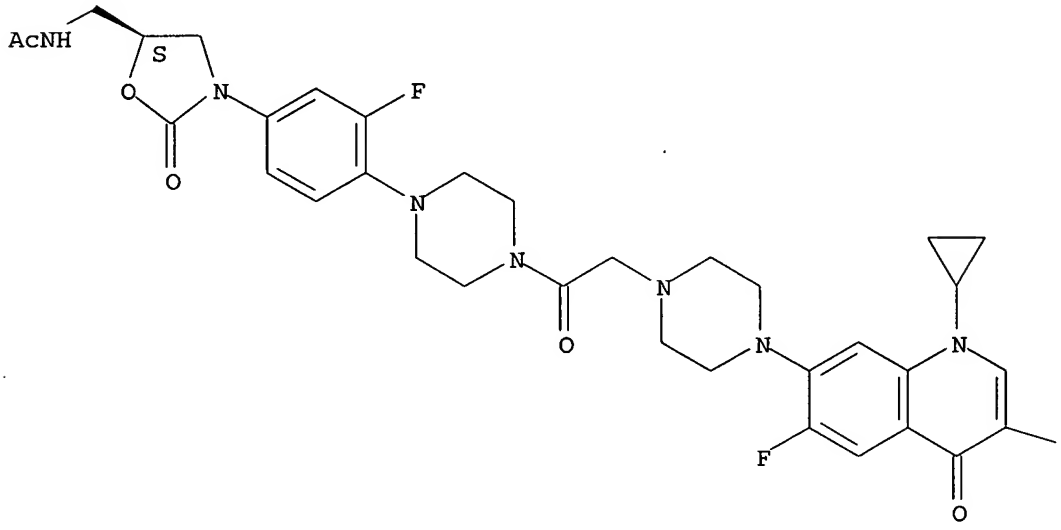
(drug candidate; preparation of dual action bactericides comprising oxazolidinone and quinolone or naphthyridinone moiety effective against multi-drug resistant bacteria)

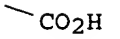
RN 510729-10-9 HCAPLUS

CN 3-Quinolinecarboxylic acid, 7-[4-[2-[4-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl]-1-piperazinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



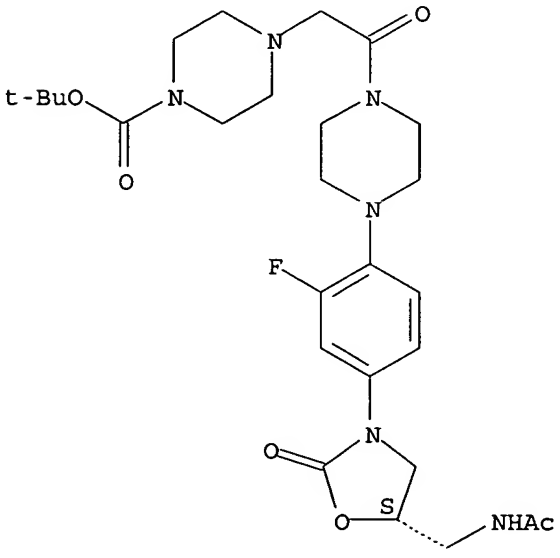


IT **510729-11-0P 510729-12-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of dual action bactericides comprising oxazolidinone and quinolone or naphthyridinone moiety effective against multi-drug resistant bacteria)

RN 510729-11-0 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[2-[4-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

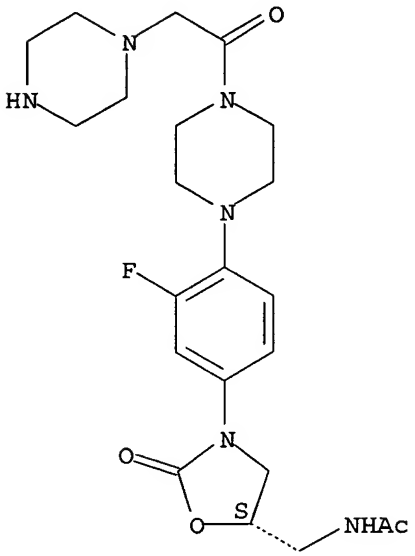
Absolute stereochemistry.



RN 510729-12-1 HCAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[4-(1-piperazinylacetyl)-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 16 OF 40 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:76763 HCAPLUS
DOCUMENT NUMBER: 138:137295
TITLE: Phenyl-substituted isoxazoles and the use thereof as antibiotics and antitumor agents
INVENTOR(S): Farrerons Gallemi, Carles; Lagunas Arnal, Carmen; Fernandez, Serrat Anna; Catena Ruiz, Juan Lorenzo; Miquel Bono, Ignacio Jose; Balsa Lopez, Dolors; Salcedo Roca, Carolina; Toledo Mesa, Natividad; Fernandez Garcia, Andres
PATENT ASSIGNEE(S): Laboratorios S.A.L.V.A.T., S.A., Spain
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Spanish
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003008395	A1	20030130	WO 2002-ES358	20020717
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
ES 2180456	A1	20030201	ES 2001-1793	20010720

ES 2180456	B1	20040501			
CA 2453846	AA	20030130	CA 2002-2453846		20020717
BR 2002011588	A	20040713	BR 2002-11588		20020717
EP 1437349	A1	20040714	EP 2002-748888		20020717
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK					
CN 1556797	A	20041222	CN 2002-818517		20020717
JP 2005502634	T2	20050127	JP 2003-513955		20020717
US 2005014806	A1	20050120	US 2004-484027		20040728
PRIORITY APPLN. INFO.:			ES 2001-1793	A	20010720
			WO 2002-ES358	W	20020717

OTHER SOURCE(S): MARPAT 138:137295

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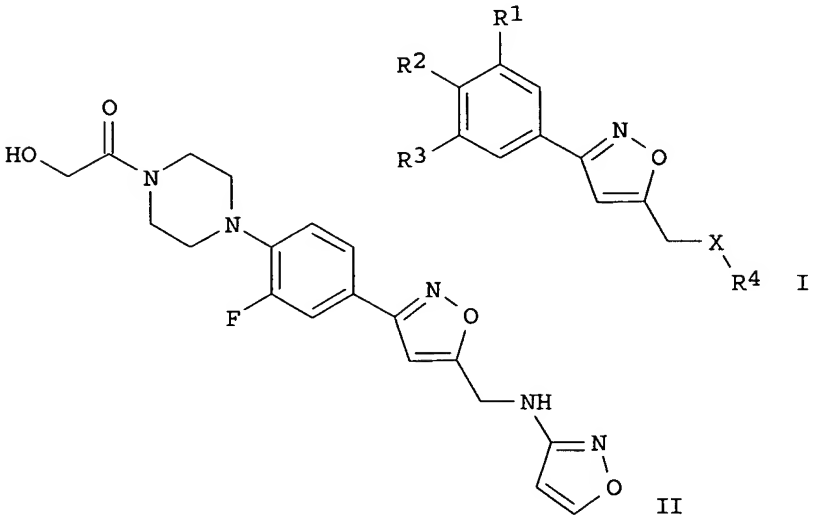


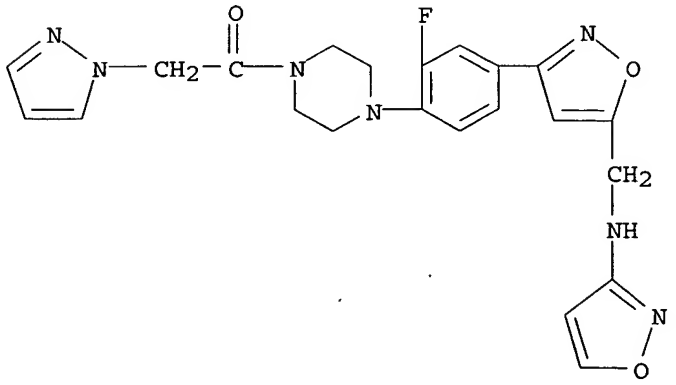
AB The invention relates to title compds. I [wherein: X is O, S, NH, OCO, NHCO, NHCOO, NHCONH, NHCS, or NHCSNH; R1 and R3 are H or F; R2 is a selected (un)substituted (primarily N-bound) heterocyclic radical; R4 is H, C1-3 alkyl (un)substituted by 1-3 halogens, or a member of selected (un)substituted 5- or 6-membered heterocycles]. The invention includes stereoisomers, mixts., polymorphs, N-oxides, solvates, and/or pharmaceutically acceptable addition salts. I can be used to treat microbial infections or (pre)cancerous pathologies in humans or animals. As analogs of similar isoxazolidine derivs., I are of interest due to the absence of chirality in the isoxazole ring. Approx. 35 examples of I were prepared and tested. For instance, invention compound II was prepared by a 6-step sequence: (1) N-protection of 3-aminoisoxazole with Boc2O (69%), (2) N-alkylation of the Boc-protected amine with NaH and 3-(3,4-difluorophenyl)isoxazole-5-Me methylsulfonate (88%), (3) removal of Boc with H2SO4 in dioxane (79%), (4) aminolysis of 4-fluoro with piperazine and K2CO3 (42%), (5) N-acylation of the piperazine moiety with AcOCH2COCl (88%), and (6) methanolysis of the acetate ester with K2CO3 in MeOH (73%). In tests against strains of Streptococcus faecalis, Staphylococcus aureus, and Moraxella catarrhalis, II had MIC values of 4, 2, and 8 μg/mL, resp., which was comparable to the known, structurally similar antibiotics linezolid (4, 2, 4) and eperezolid (4, 2, 8). Other compds. I showed

similar or even higher potency. Several I had antitumor activity comparable to exisulind against 2 lines of human colon adenocarcinoma, HT-29 and HCT-116.

IT **492992-15-1P**, 3-[3-Fluoro-4-[4-[(1-pyrazolyl)acetyl]piperazin-1-yl]phenyl]-5-[[(isoxazol-3-yl)amino]methyl]isoxazole **492992-16-2P**, 1-[4-[2-Fluoro-4-[5-[[(isoxazol-3-yl)amino]methyl]isoxazol-3-yl]phenyl]piperazin-1-yl]-2-phenoxyethanone **492992-17-3P**, 3-[3-Fluoro-4-[4-[(1,2,4-triazol-1-yl)acetyl]piperazin-1-yl]phenyl]-5-[[(isoxazol-3-yl)amino]methyl]isoxazole **492992-19-5P**, 3-[3-Fluoro-4-[4-[(1-pyrrolyl)acetyl]piperazin-1-yl]phenyl]-5-[[(isoxazol-3-yl)amino]methyl]isoxazole **492992-20-8P**, 3-[3-Fluoro-4-[4-[[(3-pyridyl)oxy]acetyl]piperazin-1-yl]phenyl]-5-[[(isoxazol-3-yl)amino]methyl]isoxazole **492992-21-9P**, 3-[3-Fluoro-4-[4-(2-pyridyloxyacetyl)piperazin-1-yl]phenyl]-5-[[(isoxazol-3-yl)amino]methyl]isoxazole **492992-22-0P**, 3-[3-Fluoro-4-[4-(3-nitrophenyloxyacetyl)piperazin-1-yl]phenyl]-5-[[(isoxazol-3-yl)amino]methyl]isoxazole **492992-23-1P**, 3-[3-Fluoro-4-[4-(4-nitrophenyloxyacetyl)piperazin-1-yl]phenyl]-5-[[(isoxazol-3-yl)amino]methyl]isoxazole **492992-24-2P**, 3-[3-Fluoro-4-[4-(2-furylmethoxyacetyl)piperazin-1-yl]phenyl]-5-[[(isoxazol-3-yl)amino]methyl]isoxazole **492992-25-3P**, 3-[3-Fluoro-4-[4-(2-pyridylmethoxyacetyl)piperazin-1-yl]phenyl]-5-[[(isoxazol-3-yl)amino]methyl]isoxazole **492992-26-4P**, 3-[3-Fluoro-4-[4-(4-cyanophenoxyacetyl)piperazin-1-yl]phenyl]-5-[[(isoxazol-3-yl)amino]methyl]isoxazole **492992-28-6P**, 3-[3-Fluoro-4-[4-(4-formylphenyloxyacetyl)piperazin-1-yl]phenyl]-5-[[(isoxazol-3-yl)amino]methyl]isoxazole **492992-31-1P**, 1-[4-[2-Fluoro-4-[5-[[(isoxazol-3-yl)amino]methyl]isoxazol-3-yl]phenyl]piperazin-1-yl]-2-(quinolin-6-yloxy)ethanone **492992-35-5P**, 4-[2-(4-[2,6-Difluoro-4-[5-[[(isoxazol-3-yl)amino]methyl]isoxazol-3-yl]phenyl]piperazin-1-yl)-2-oxoethoxy]benzaldehyde **492992-40-2P**, 4-[2-(4-[2,6-Difluoro-4-[5-[[(isoxazol-3-yl)amino]methyl]isoxazol-3-yl]phenyl]piperazin-1-yl]-2-oxoethoxy]benzaldoxime
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of phenylisoxazoles as antibiotics and antitumor agents)

RN 492992-15-1 HCAPLUS

CN Piperazine, 1-[2-fluoro-4-[5-[(3-isoxazolylamino)methyl]-3-isoxazolyl]phenyl]-4-(1H-pyrazol-1-ylacetyl)- (9CI) (CA INDEX NAME)



RN 492992-16-2 HCAPLUS

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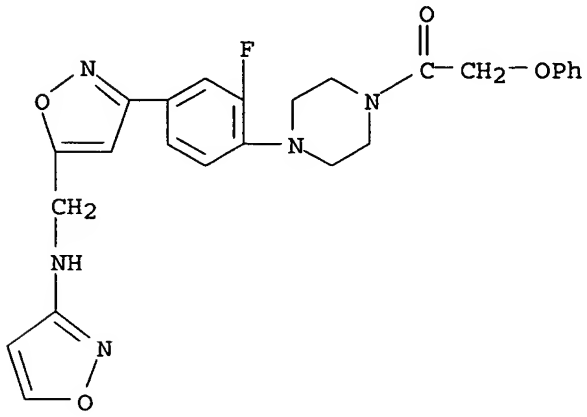
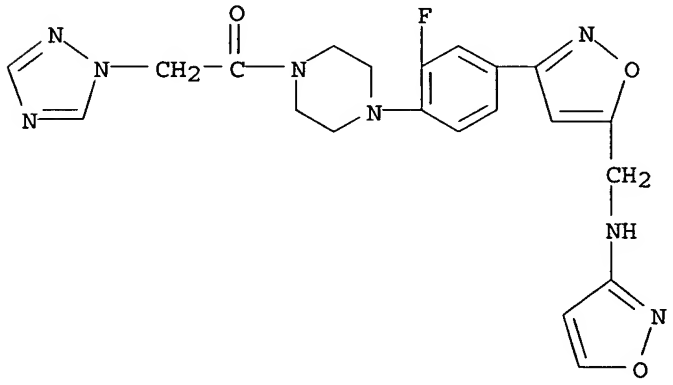


RN 492992-17-3 HCAPLUS
CN Piperazine, 1-[2-fluoro-4-[5-[(3-isoxazolylamino)methyl]-3-isoxazolyl]phenyl]-4-(1H-1,2,4-triazol-1-ylacetyl)- (9CI) (CA INDEX NAME)



RN 492992-19-5 HCAPLUS
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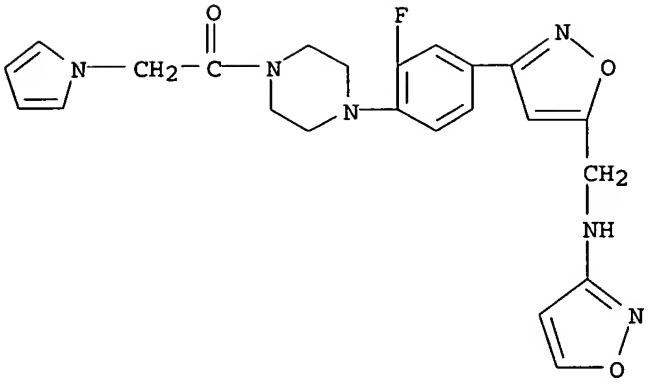

RN 492992-20-8 HCAPLUS
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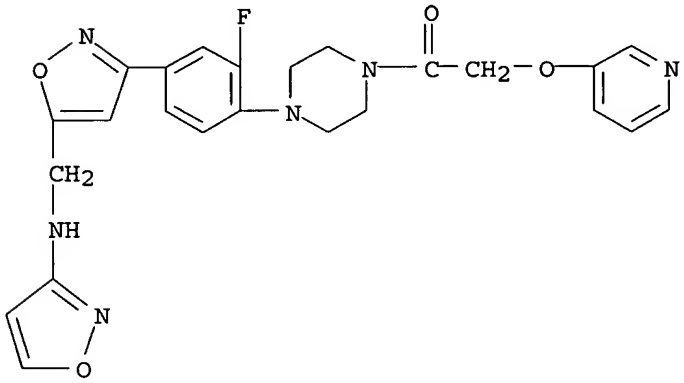

RN 492992-21-9 HCAPLUS
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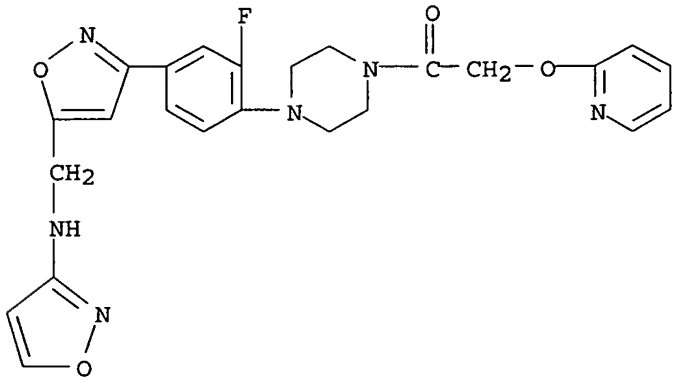

RN 492992-22-0 HCAPLUS

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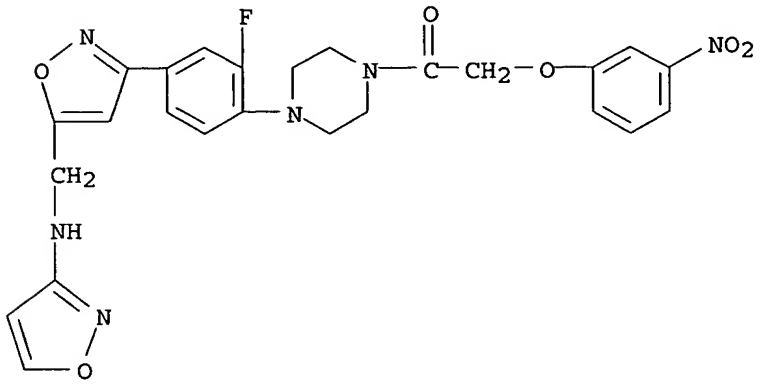

RN 492992-23-1 HCAPLUS
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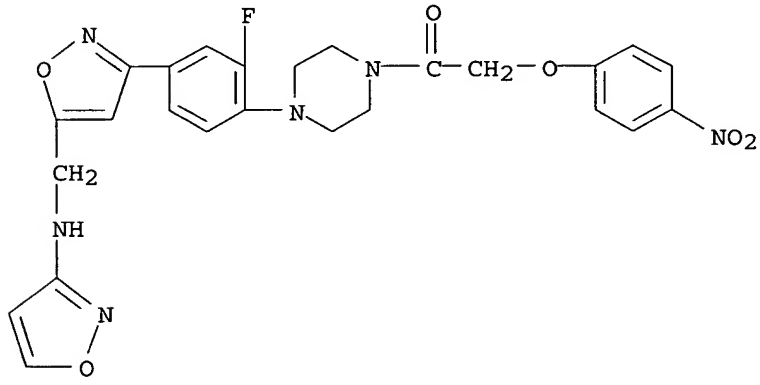

RN 492992-24-2 HCAPLUS
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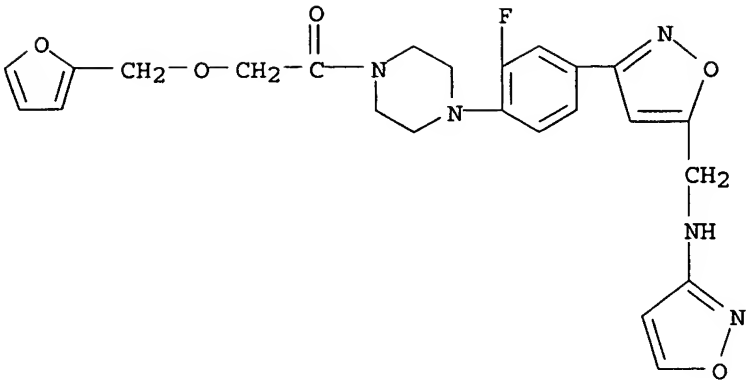
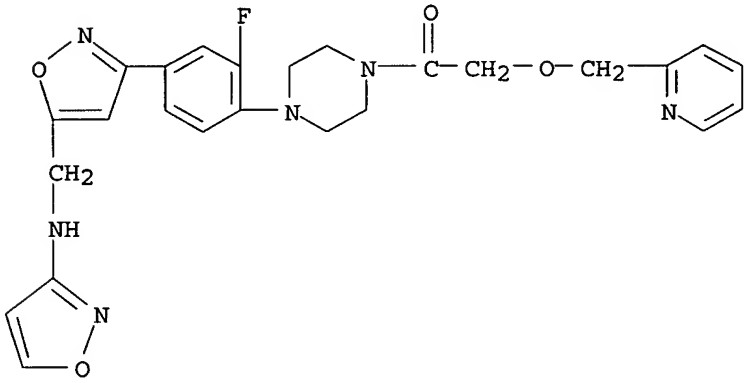
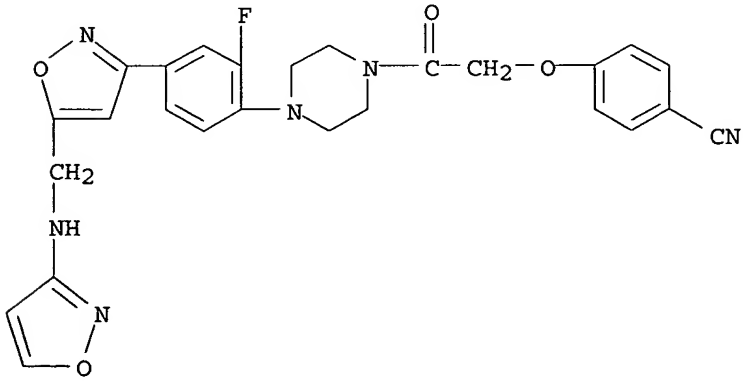


RN 492992-25-3 HCAPLUS
CN Piperazine, 1-[2-fluoro-4-[5-[(3-isoxazolylamino)methyl]-3-isoxazolyl]phenyl]-4-[(2-pyridinylmethoxy)acetyl]- (9CI) (CA INDEX NAME)

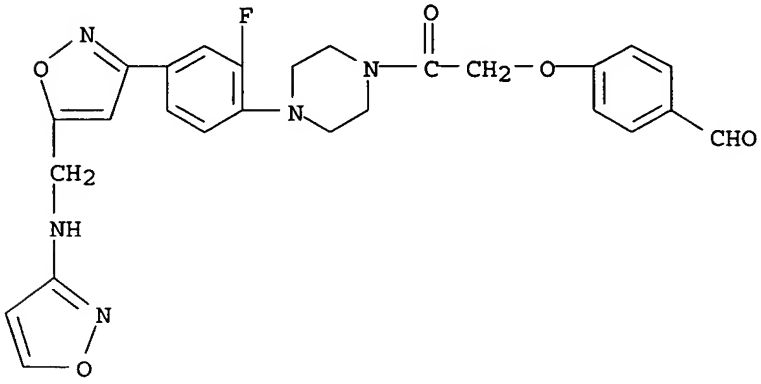


RN 492992-26-4 HCAPLUS
CN Piperazine, 1-[(4-cyanophenoxy)acetyl]-4-[2-fluoro-4-[5-[(3-isoxazolylamino)methyl]-3-isoxazolyl]phenyl]- (9CI) (CA INDEX NAME)

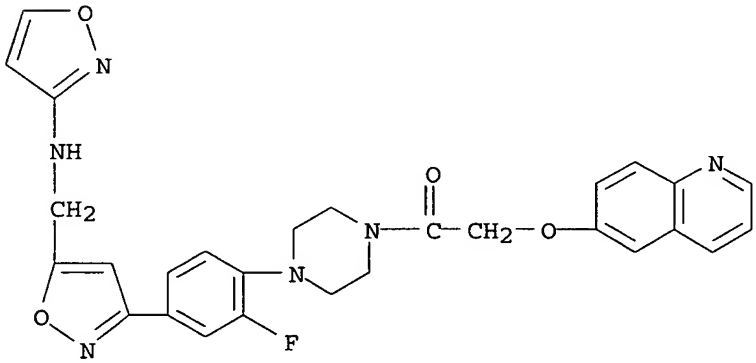


RN 492992-28-6 HCAPLUS

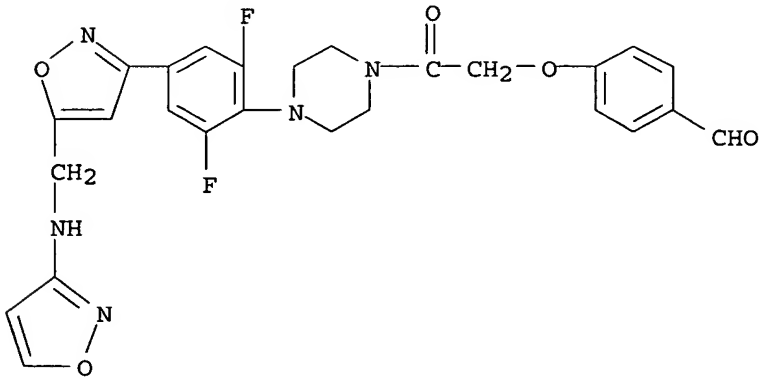
CN Piperazine, 1-[2-fluoro-4-[5-[(3-isoxazolylamino)methyl]-3-isoxazolyl]phenyl]-4-[(4-formylphenoxy)acetyl]- (9CI) (CA INDEX NAME)



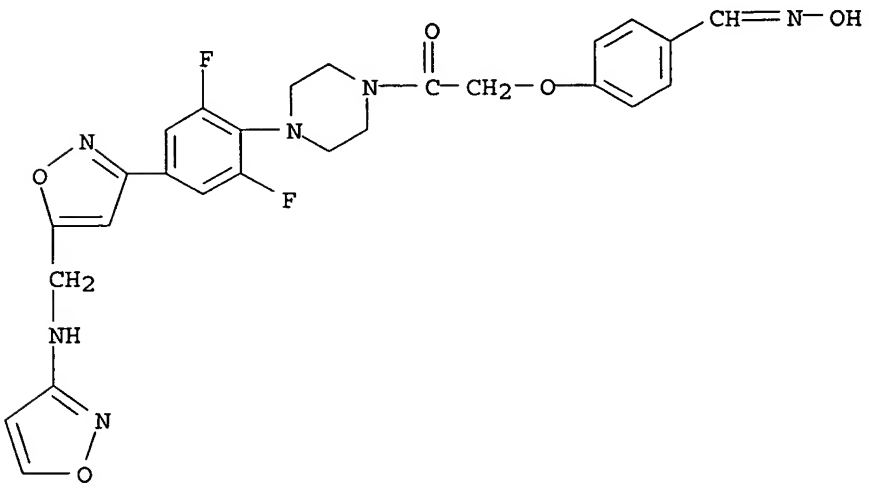
RN 492992-31-1 HCAPLUS
CN Piperazine, 1-[2-fluoro-4-[5-[(3-isoxazolylamino)methyl]-3-isoxazolyl]phenyl]-4-[(6-quinolinyloxy)acetyl]- (9CI) (CA INDEX NAME)



RN 492992-35-5 HCAPLUS
CN Piperazine, 1-[2,6-difluoro-4-[5-[(3-isoxazolylamino)methyl]-3-isoxazolyl]phenyl]-4-[(4-formylphenoxy)acetyl]- (9CI) (CA INDEX NAME)



RN 492992-40-2 HCAPLUS
CN Piperazine, 1-[2,6-difluoro-4-[5-[(3-isoxazolylamino)methyl]-3-isoxazolyl]phenyl]-4-[[4-[(hydroxyimino)methyl]phenoxy]acetyl]- (9CI) (CA INDEX NAME)

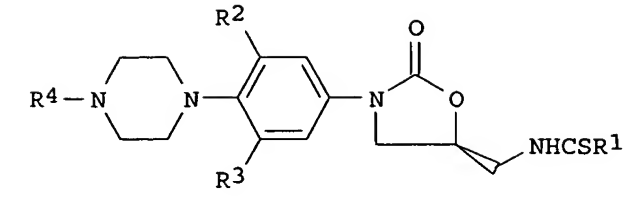


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

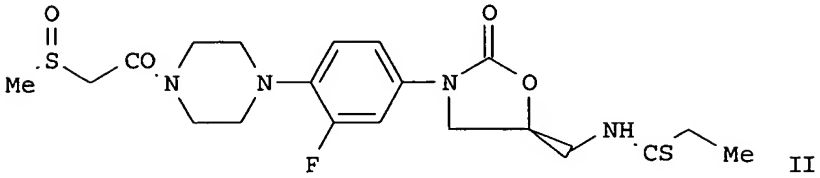
L14 ANSWER 17 OF 40 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:736895 HCAPLUS
DOCUMENT NUMBER: 137:247686
TITLE: Preparation of oxazolidinone thioamides with piperazine amide substituents for pharmaceutical use in the treatment of microbial infections
INVENTOR(S): Hester, Jackson B.
PATENT ASSIGNEE(S): Pharmacia and Upjohn Co., USA
SOURCE: U.S. Pat. Appl. Publ., 22 pp., Cont.-in-part of U.S. Ser. No. 778,603, abandoned.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2002137754	A1	20020926	US 2002-42916		20020109
US 6642238	B2	20031104			
US 2001047004	A1	20011129	US 2001-778603		20010207
PRIORITY APPLN. INFO.:			US 2000-181640P	P	20000210
			US 2001-778603	B2	20010207

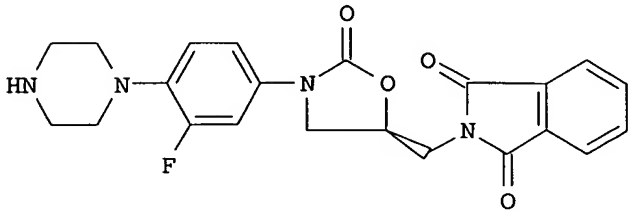
OTHER SOURCE(S): MARPAT 137:247686
GI



I



II



III

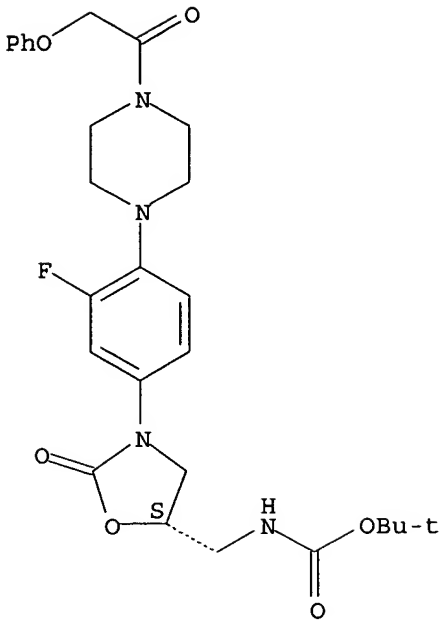
AB Oxazolidinone thioamides, such as I [R1 = H, NH2, alkylamino, alkenyl, alkyloxy, alkylthio, cycloalkyl, alkyl; R2, R3 = H, F, Cl, alkyl; R4 = CN, acyl, thioacyl, alkyloxyacyl, sulfonylmethylacyl, etc.] which have potent activities against gram-pos. and gram-neg. bacteria, were prepared for therapeutic use in the treatment of bacterial infections particularly of the skin and eye. Thus, PNU 255889 (II) was prepared via a multistep synthetic sequence which included N-acylation of III with MeSCH2CO2H, S-oxidation with sodium periodate, conversion of the phthalimido group to NH2 and N-thioacylation with MeCH2CS2Me. The prepared oxazolidinone thioamides were evaluated for min. inhibitory concns. of antibacterial activity against bacterial strains such as Staphylococcus aureus, S. epidermidis, Streptococcus pneumoniae, Enterococcus faecalis Moraxella catarrhalis and H. influenzae. Pharmaceutical formulations for oral, topical, transdermal, and parenteral delivery were discussed.

IT **354578-67-9P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of oxazolidinone thioamides with piperazine amide substituents for pharmaceutical use in the treatment of microbial infections)

RN 354578-67-9 HCAPLUS

CN Carbamic acid, [[(5S)-3-[3-fluoro-4-[4-(phenoxyacetyl)-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

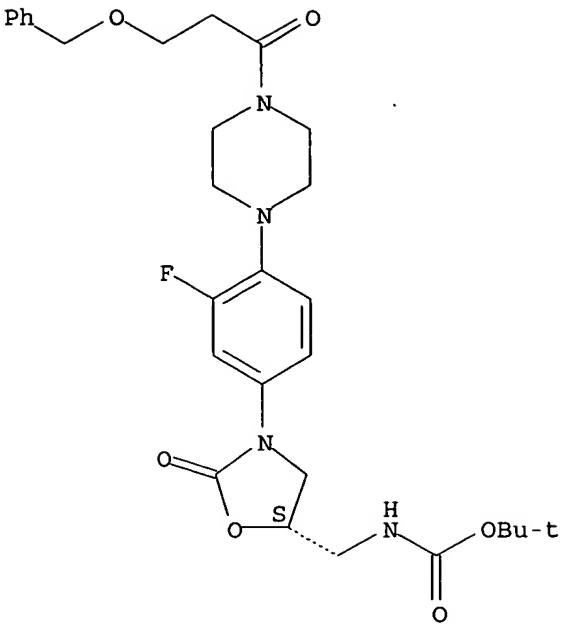


IT **354578-64-6P 354987-17-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of oxazolidinone thioamides with piperazine amide substituents for pharmaceutical use in the treatment of microbial infections)

RN 354578-64-6 HCAPLUS

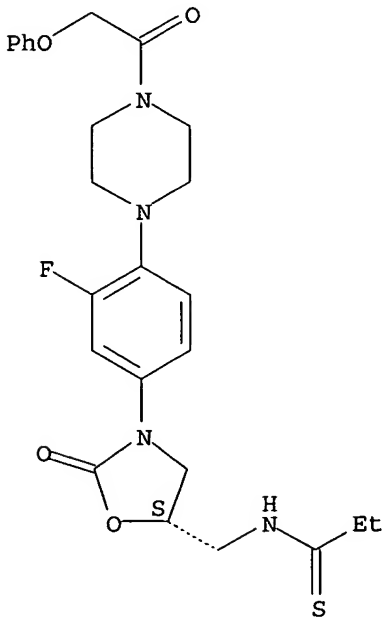
CN Carbamic acid, [[(5S)-3-[3-fluoro-4-[4-[1-oxo-3-(phenylmethoxy)propyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 354987-17-0 HCAPLUS
CN Propanethioamide, N-[[(5S)-3-[3-fluoro-4-[4-(phenoxyacetyl)-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

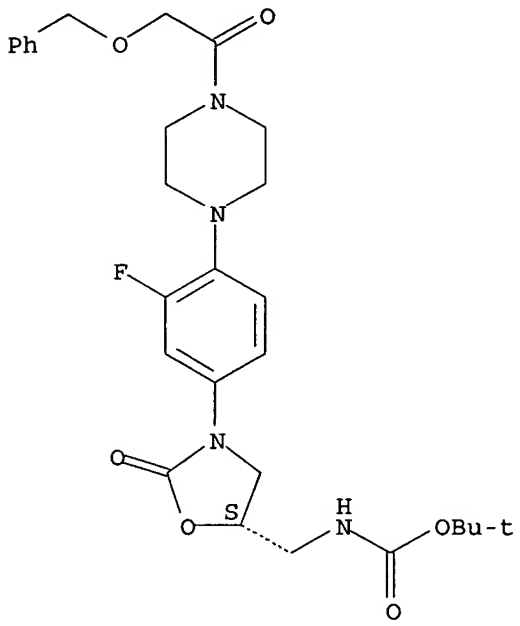
Absolute stereochemistry.



IT **345224-18-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of oxazolidinone thioamides with piperazine amide substituents for pharmaceutical use in the treatment of microbial infections)

RN 345224-18-2 HCAPLUS
CN Carbamic acid, [[(5S)-3-[3-fluoro-4-[4-[(phenylmethoxy)acetyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 18 OF 40 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:539929 HCAPLUS
DOCUMENT NUMBER: 137:106476
TITLE: Oxazolidinone photoaffinity probes, uses and compounds
INVENTOR(S): Colca, Jerry R.; McDonald, William Gerald; Shinabarger, Dean L.
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002056013	A2	20020718	WO 2001-US48455	20011214
WO 2002056013	A3	20031106		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2432162	AA	20020718	CA 2001-2432162	20011214
EP 1386153	A2	20040204	EP 2001-993282	20011214
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004537265	T2	20041216	JP 2002-556217	20011214
PRIORITY APPLN. INFO.:			US 2000-256053P	P 20001215
			WO 2001-US48455	W 20011214

OTHER SOURCE(S): MARPAT 137:106476

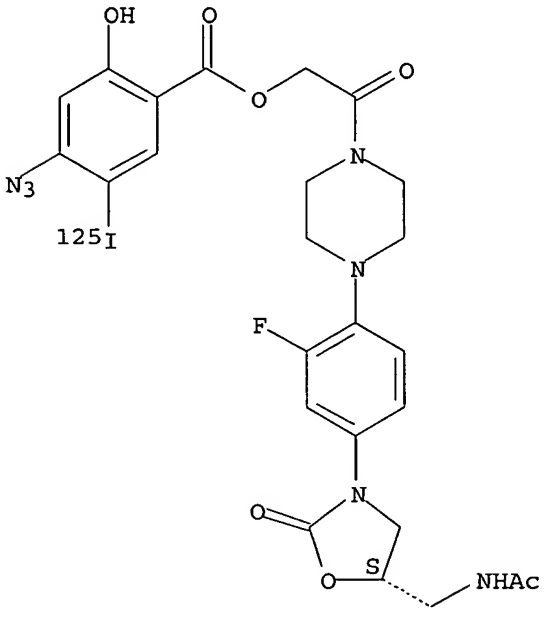
AB Disclosed are novel methods of identifying biol. targets of compds. that have antimicrobial activity. Also disclosed are novel methods of identifying compds. that can have antimicrobial activity.

IT **437717-86-7P 437717-88-9P**
RL: BSU (Biological study, unclassified); IMF (Industrial manufacture); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(oxazolidinone photoaffinity probes, uses and compds.)

RN 437717-86-7 HCAPLUS

CN Benzoic acid, 4-azido-2-hydroxy-5-(iodo-125I)-, 2-[4-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

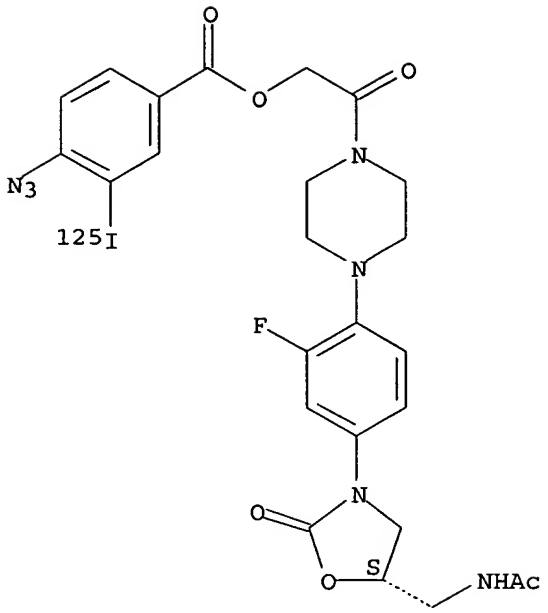
Absolute stereochemistry.



RN 437717-88-9 HCAPLUS

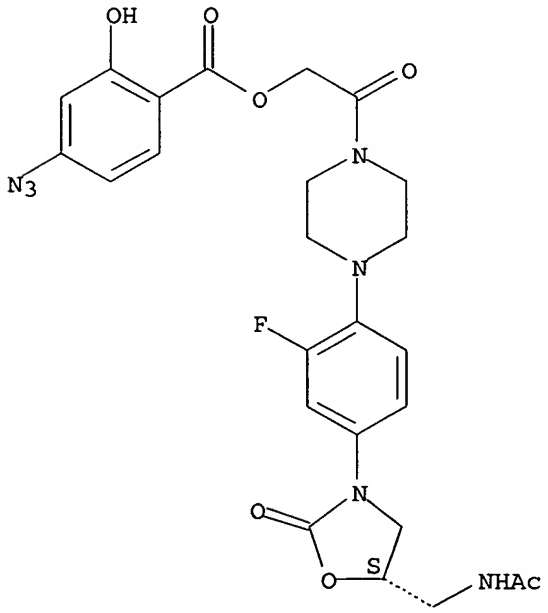
CN Benzoic acid, 4-azido-3-(iodo-125I)-, 2-[4-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



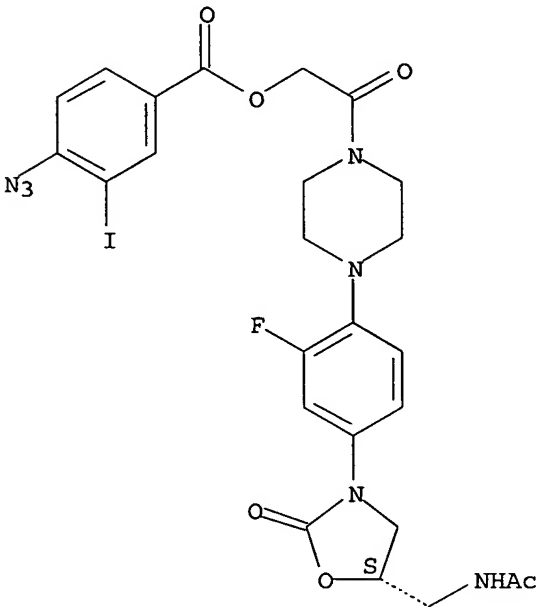
IT **437717-97-0P 437717-99-2P 437718-00-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(oxazolidinone photoaffinity probes, uses and compds.)
RN 437717-97-0 HCAPLUS
CN Benzoic acid, 4-azido-2-hydroxy-, 2-[4-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



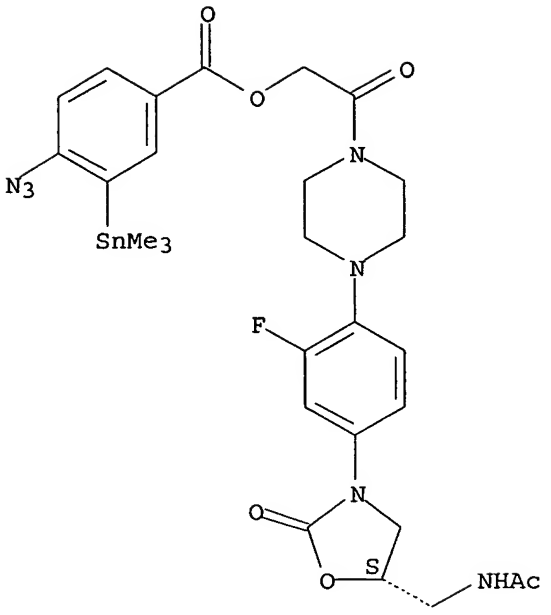
RN 437717-99-2 HCAPLUS
CN Benzoic acid, 4-azido-3-iodo-, 2-[4-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 437718-00-8 HCAPLUS
CN Benzoic acid, 4-azido-3-(trimethylstannyl)-, 2-[4-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 19 OF 40 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:465999 HCAPLUS
DOCUMENT NUMBER: 137:33287
TITLE: Preparation of oxazolidinone photoaffinity probes
INVENTOR(S): Thomasco, Lisa Marie; Gadwood, Robert C.
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002048139	A2	20020620	WO 2001-US48063	20011214
WO 2002048139	A3	20031002		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003073696	A1	20030417	US 2000-738022	20001215
US 6861433	B2	20050301		
CA 2432739	AA	20020620	CA 2001-2432739	20011214
AU 2002034016	A5	20020624	AU 2002-34016	20011214
EP 1368326	A2	20031210	EP 2001-985023	20011214
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

JP 2004520298	T2	20040708	JP 2002-549670		20011214
US 2003232840	A1	20031218	US 2003-359766		20030206
US 6858635	B2	20050222			
US 2003232008	A1	20031218	US 2003-359767		20030206
US 6875871	B2	20050405			
PRIORITY APPLN. INFO.:			US 2000-738022	A	20001215
			WO 2001-US48063	W	20011214

OTHER SOURCE(S): MARPAT 137:33287
GI

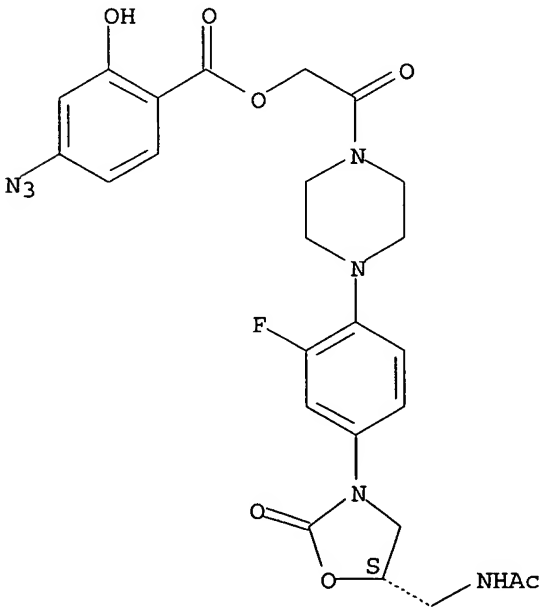
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [X, Y = F, H, CH3; R1 = H, F, I; R2 = H, F, OH; R16 = H, F; R17 = H, F; R3 = H, alkyl; L = bond, OCH2C(O); Q = e.g., II; R4 = H, CH3, CH2CH3, cyclopropyl; Z = O, S and related analogs] were prepared For instance, (S)-N-[[3-[3-fluoro-4-[4-(hydroxyacetyl)-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide was coupled to 4-azidosalicylic acid (DMF, EDCI, DMAP). This intermediate was reacted with chloramine-T/NaOH/125I2 to afford III. I are useful as photoaffinity probes.

IT **437717-97-0P 437717-99-2P 437718-00-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of oxazolidinone photoaffinity probes)

RN 437717-97-0 HCAPLUS
CN Benzoic acid, 4-azido-2-hydroxy-, 2-[4-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

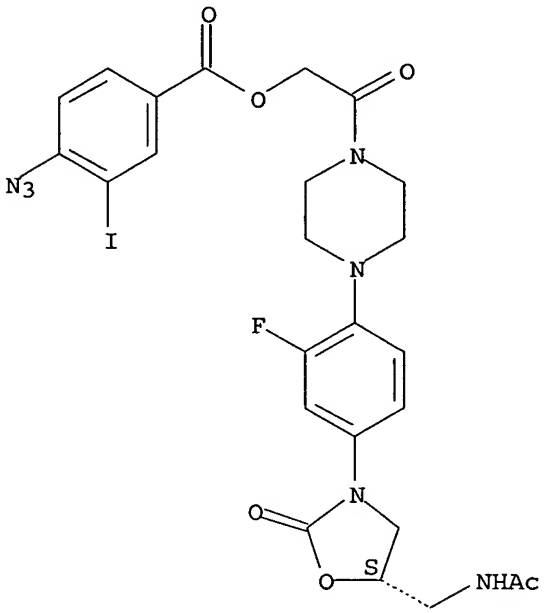
Absolute stereochemistry.



RN 437717-99-2 HCAPLUS
CN Benzoic acid, 4-azido-3-iodo-, 2-[4-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-

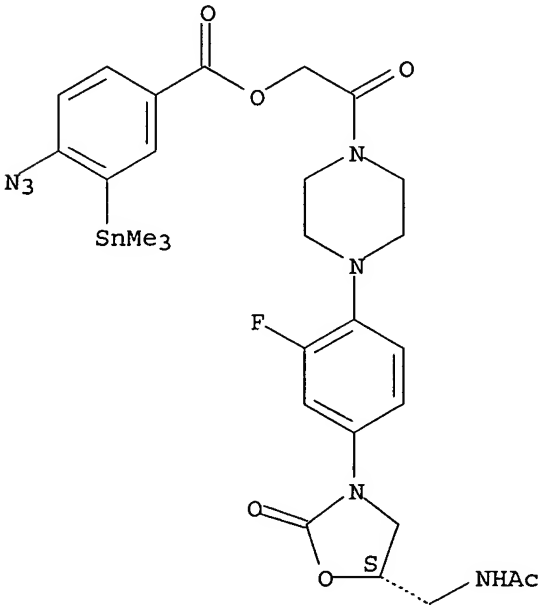
3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



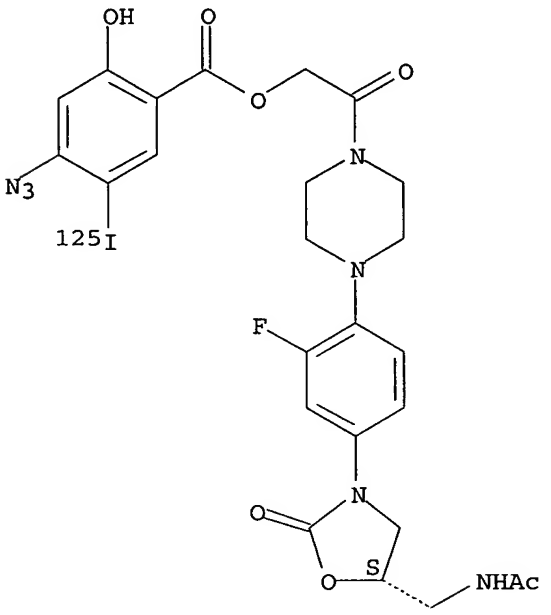
RN 437718-00-8 HCAPLUS
CN Benzoic acid, 4-azido-3-(trimethylstannyl)-, 2-[4-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



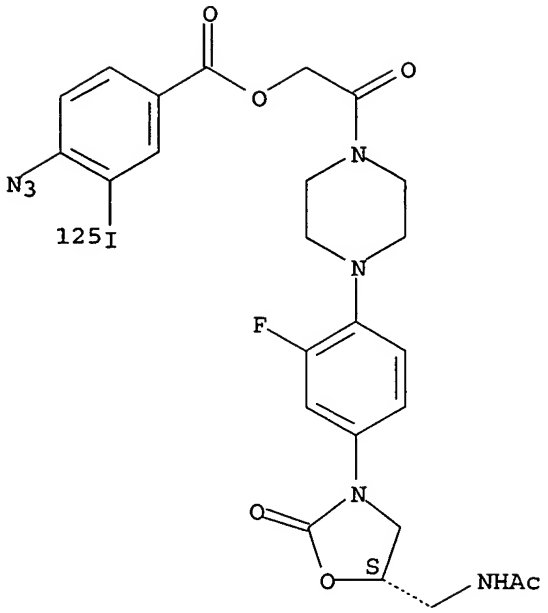
IT **437717-86-7P 437717-88-9P**
RL: ANT (Analyte); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); ANST (Analytical study); BIOL (Biological study); PREP (Preparation)
(photoaffinity probe; preparation of oxazolidinone photoaffinity probes)
RN 437717-86-7 HCAPLUS
CN Benzoic acid, 4-azido-2-hydroxy-5-(iodo-125I)-, 2-[4-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 437717-88-9 HCAPLUS
CN Benzoic acid, 4-azido-3-(iodo-125I)-, 2-[4-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 20 OF 40 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:72093 HCAPLUS
DOCUMENT NUMBER: 136:134748
TITLE: Oxazolidinone derivatives as antimicrobials
INVENTOR(S): Mehta, Anita; Arora, Sudershan K.; Das, Biswajit; Ray, Abhijit; Rudra, Sonali; Rattan, Ashok
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 126 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002006278	A1	20020124	WO 2001-IB1262	20010716
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
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IN 193550	A	20040724	IN 2000-DE654	20000717
CA 2415965	AA	20020124	CA 2001-2415965	20010716
AU 2001069370	A5	20020130	AU 2001-69370	20010716
EP 1303511	A1	20030423	EP 2001-947730	20010716
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001012826	A	20030624	BR 2001-12826	20010716
JP 2004504321	T2	20040212	JP 2002-512181	20010716

NZ 523700	A	20041126	NZ 2001-523700	20010716
WO 2003008389	A1	20030130	WO 2002-IB167	20020118

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW

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EP 1409464	A1	20040421	EP 2002-787165	20020118

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WO 2003007870	A2	20030130	WO 2002-IB1609	20020510
WO 2003007870	A3	20030530		

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EP 1409465	A2	20040421	EP 2002-727869	20020510

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ZA 2003000471	A	20031029	ZA 2003-471	20030117
US 2004242591	A1	20041202	US 2004-483905	20040713
US 2004254162	A1	20041216	US 2004-483904	20040713

PRIORITY APPLN. INFO.:		IN 2000-DE654	A 20000717
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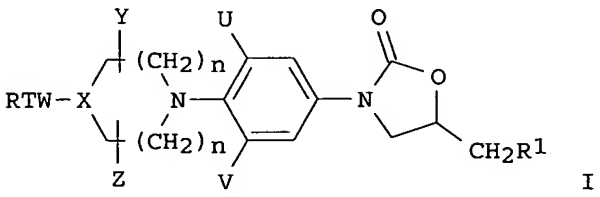
		WO 2002-IB167	W 20020118
		WO 2002-IB1609	W 20020510

OTHER SOURCE(S): MARPAT 136:134748

GI



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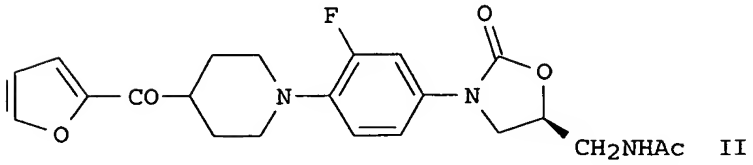


II

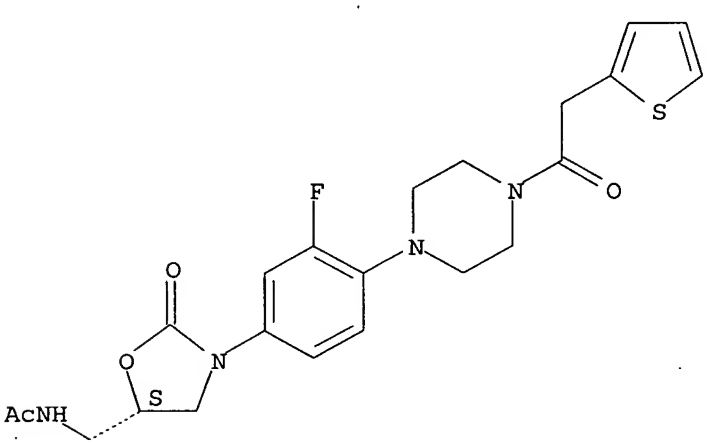
AB Oxazolidinones I [T = 5-7-membered heterocyclic ring, aryl; R = CN, acyl, (un)substituted CO2H, NH2, CONH2, alkyl, CH2CH:NOH, CH:CH2, NO2; X = CH, CHS, CHO, N; Y, Z = H, alkyl, cycloalkyl, C0-3 bridging group; U, V = (un)substituted alkyl, H, F, Cl, Br; W = CH2, CO, CH2NH, NHCH2, (un)substituted CH2NHCH2, S, CH2CO, NH; R1 = acylamino, (un)substituted NH2, NHCSR2, NHCS2R2; R2 = H, (un)substituted alkyl, cycloalkyl, alkoxy; n = 0-3] were prepared The compds. are useful antimicrobial agents, effective against a number of human and veterinary pathogens, including gram-pos. aerobic bacteria such as multiply-resistant staphylococci, streptococci and enterococci as well as anaerobic organisms such as Bacterioides spp. and Clostridia spp. species, and acid fast organisms such as Mycobacterium tuberculosis, Mycobacterium avium and Mycobacterium spp. Thus, the furoyl derivative II was prepared from the 4-unsubstituted piperdine fragment and furoyl chloride. II had min. inhibitory concns. against methicillin-resistant Staph. aureus 15187 and against Enteroccus fecalis 29212 of 2 μg/mL.

IT **392659-36-8P 392659-79-9P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azacycloalkylphenyloxazolidinones as antimicrobials)

RN 392659-36-8 HCAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[4-(2-thienylacetyl)-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

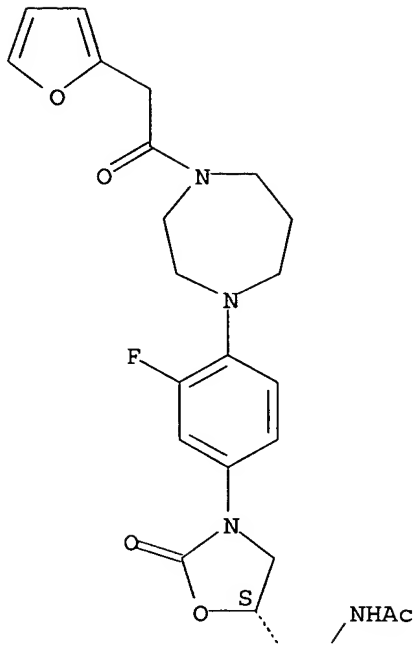


RN 392659-79-9 HCAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[4-(2-furanylacetyl)hexahydro-1H-1,4-diazepin-1-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 21 OF 40 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:31444 HCAPLUS
DOCUMENT NUMBER: 136:102377
TITLE: Novel isoxazolinone antibacterial agents
INVENTOR(S): Springer, Dane M.; Goodrich, Jason T.; Meng, Zhaoxing; Snyder, Lawrence B.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002002555	A1	20020110	WO 2001-US20850	20010629

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,

RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ,
VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 2002040142 A1 20020404 US 2001-893845 20010628
US 6465456 B2 20021015
PRIORITY APPLN. INFO.: US 2000-214977P P 20000629
OTHER SOURCE(S): MARPAT 136:102377
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

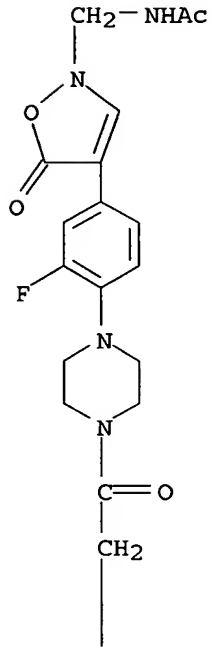
AB Novel isoxazolinone derivs. of formula I [L = O or S; L1 = R4(CH2)mCR5(NR6R7)C(O)-, R8R9N(CH2)nC(O)-, C1-6alkylC(O)CH2C(O)-, R10XCH2C(O)-, R10CH=CHC(O)-, R10NHC(O)CH2-, R10(CH2)p-, and R10S(O)2-, (m = 0-4; n = 1-4; p = 2-6; X = a bond, S, O, NH, and N(C1-4alkyl); R4 = H, OH, C1-6thioalkoxy, imidazolyl, indolyl, -CO2H, and -NHC(=NH)NH2; R5 = H or C1-6alkyl (R4 and R5 taken together can be -CH2- when m = 1); R6,R7 = independently H or C1-6alkyl (R4 and R6 taken together can be -(CH2)q- when m = 1 and wherein q = 2 or 3); R8,R9 = independently H or C1-6alkyl (R8 and R9 taken together with the nitrogen to which they are attached = morpholin-4-yl, piperazin-1-yl, piperidin-1-yl, or -NHC(=NH)NH2; R10 = heteroaryl)); R1 = H, (un)substituted C1-8alkyl, C3-6cycloalkyl and C1-8alkoxy; R2, R3 = independently H, halo, OH, nitro, amino, cyano, C1-6alkyl, C1-6alkoxy, and trifluoromethyl] or a pharmaceutically acceptable salt, which possess antibacterial activity and are useful in the treatment of bacterial diseases, were prepared Thus, amine II was reacted with Boc-L-tryptophan-Boc-OH in the presence of DCC to give III (R = Boc), which was deprotected with TFA to afford III (R = H) which was isolated as its dihydrochloride salt in combined 53% yield.

IT **388086-52-0P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of novel isoxazolinone antibacterial agents)

RN 388086-52-0 HCAPLUS

CN Acetamide, N-[[4-[3-fluoro-4-[4-(4-morpholinylacetyl)-1-piperazinyl]phenyl]-5-oxo-2(5H)-isoxazolyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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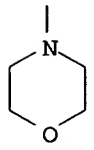

IT **388086-53-1P 388086-54-2P 388086-55-3P**
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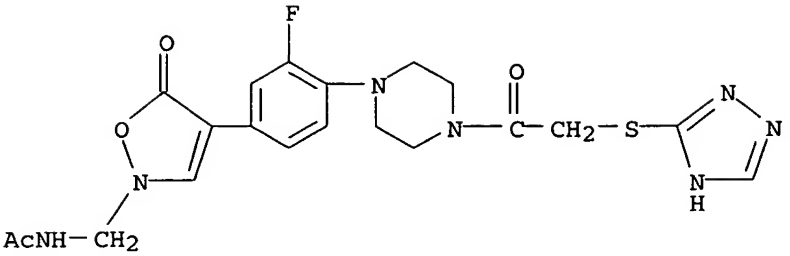
388086-71-3P 388086-72-4P 388086-73-5P
388086-74-6P 388086-75-7P 388086-76-8P
388086-77-9P 388086-79-1P 388086-80-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel isoxazolinone antibacterial agents)

RN 388086-53-1 HCAPLUS

CN Acetamide, N-[[4-[3-fluoro-4-[4-[(1H-1,2,4-triazol-3-ylthio)acetyl]-1-piperazinyl]phenyl]-5-oxo-2(5H)-isoxazolyl]methyl]- (9CI) (CA INDEX NAME)



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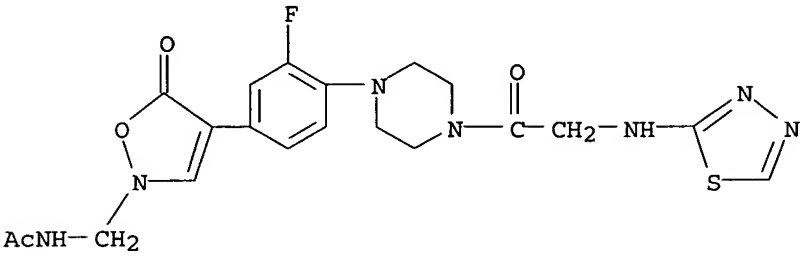

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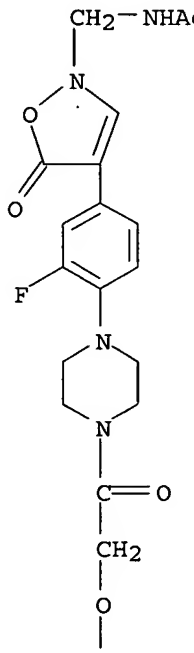
PAGE 1-A



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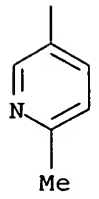

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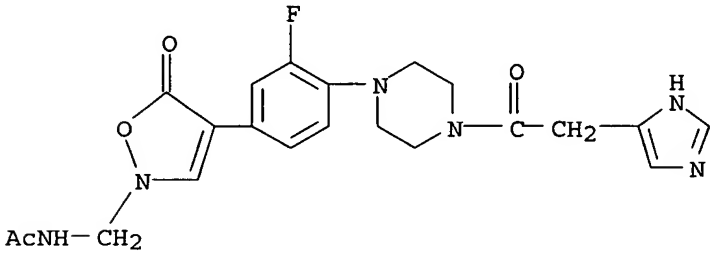
CN Acetamide, N-[[4-[3-fluoro-4-[4-(1H-imidazol-4-ylacetyl)-1-piperazinyl]phenyl]-5-oxo-2(5H)-isoxazolyl]methyl]- (9CI) (CA INDEX NAME)



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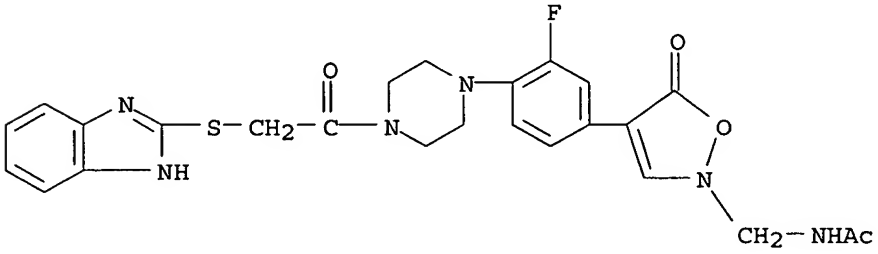

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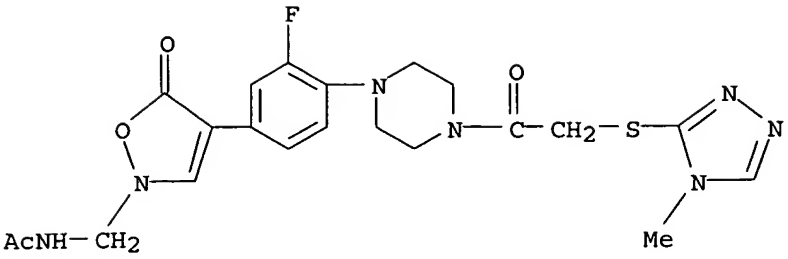
CN Acetamide, N-[[4-[3-fluoro-4-[4-[[(4-methyl-4H-1,2,4-triazol-3-yl)thio]acetyl]-1-piperazinyl]phenyl]-5-oxo-2(5H)-isoxazolyl]methyl]- (9CI) (CA INDEX NAME)



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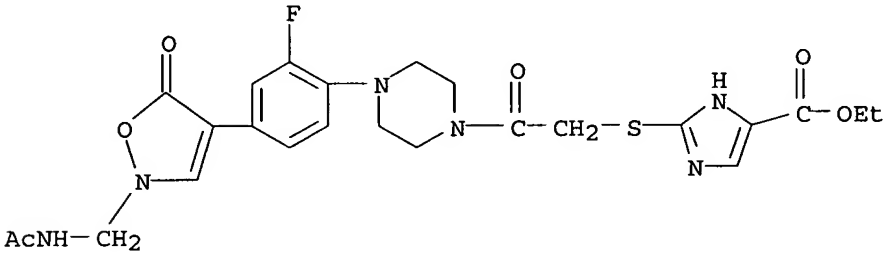

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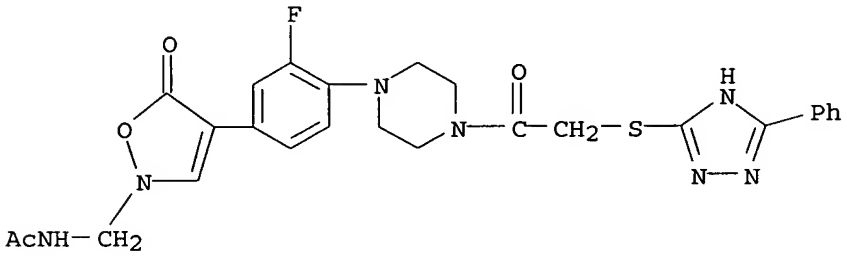

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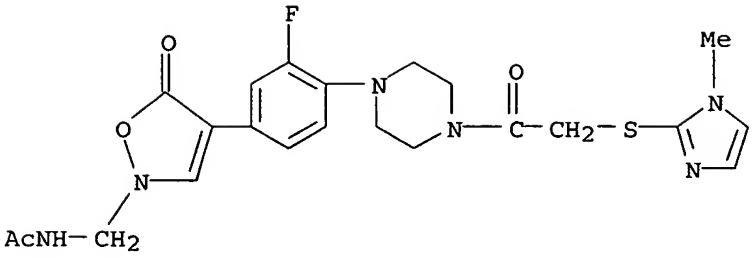

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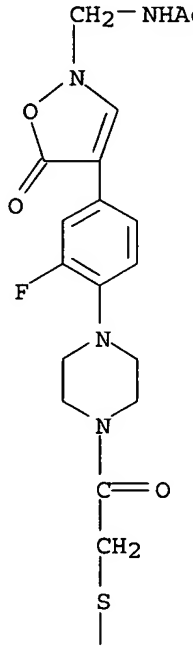
PAGE 1-A



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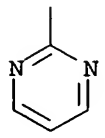

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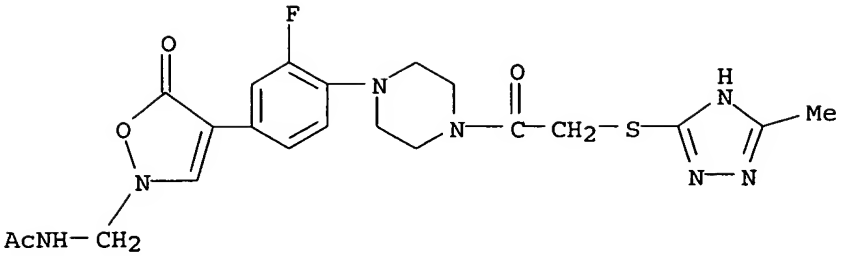

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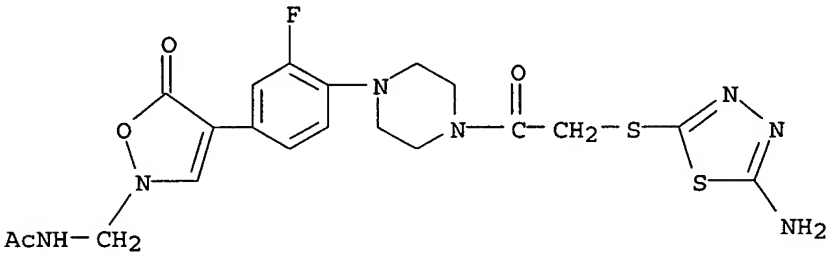

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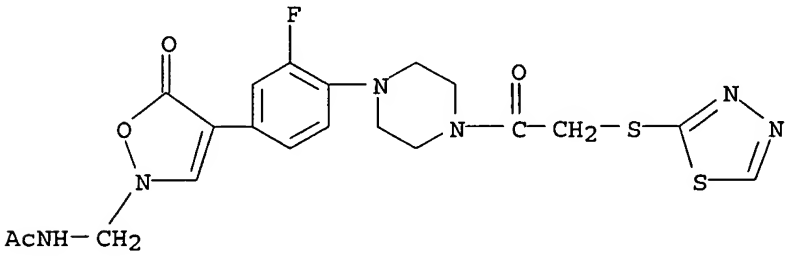

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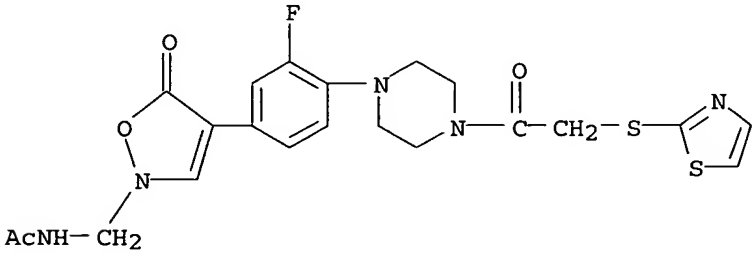
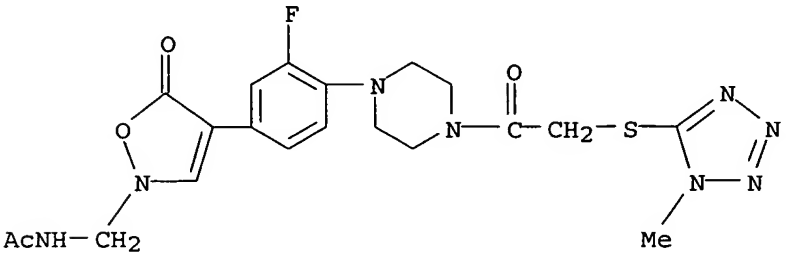
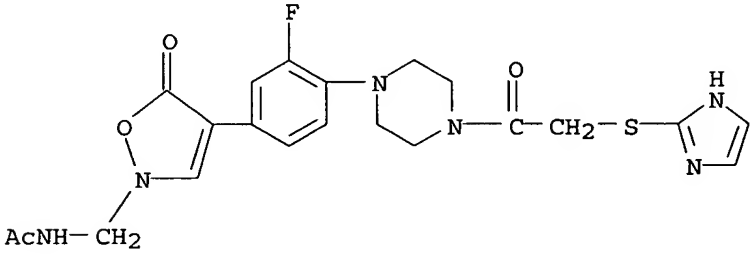


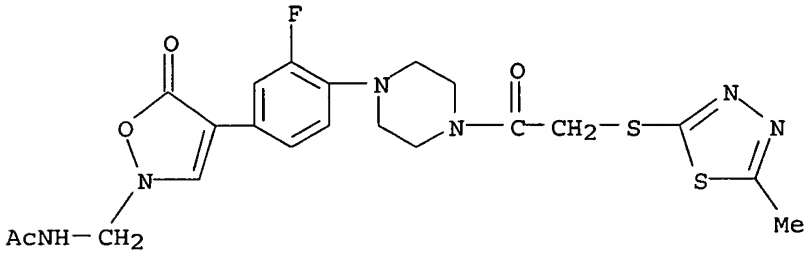
RN 388086-76-8 HCAPLUS
CN Acetamide, N-[[4-[3-fluoro-4-[4-[[(1-methyl-1H-tetrazol-5-yl)thio]acetyl]-1-piperazinyl]phenyl]-5-oxo-2(5H)-isoxazolyl]methyl]- (9CI) (CA INDEX NAME)



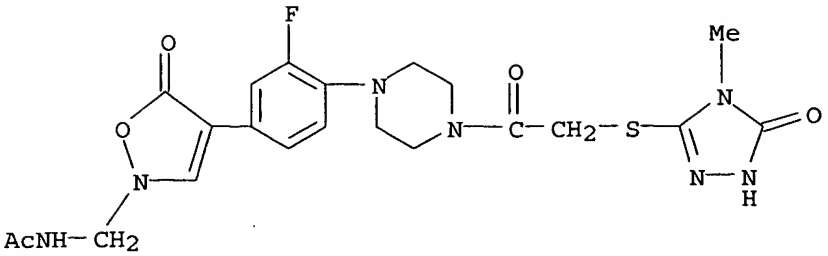
RN 388086-77-9 HCAPLUS
CN Acetamide, N-[[4-[3-fluoro-4-[4-[(1H-imidazol-2-ylthio)acetyl]-1-piperazinyl]phenyl]-5-oxo-2(5H)-isoxazolyl]methyl]- (9CI) (CA INDEX NAME)



RN 388086-79-1 HCAPLUS
CN Acetamide, N-[[4-[3-fluoro-4-[4-[[(5-methyl-1,3,4-thiadiazol-2-yl)thio]acetyl]-1-piperazinyl]phenyl]-5-oxo-2(5H)-isoxazolyl]methyl]- (9CI) (CA INDEX NAME)



RN 388086-80-4 HCAPLUS
CN Acetamide, N-[[4-[4-[4-[[(4,5-dihydro-4-methyl-5-oxo-1H-1,2,4-triazol-3-yl)thio]acetyl]-1-piperazinyl]-3-fluorophenyl]-5-oxo-2(5H)-isoxazolyl]methyl]- (9CI) (CA INDEX NAME)

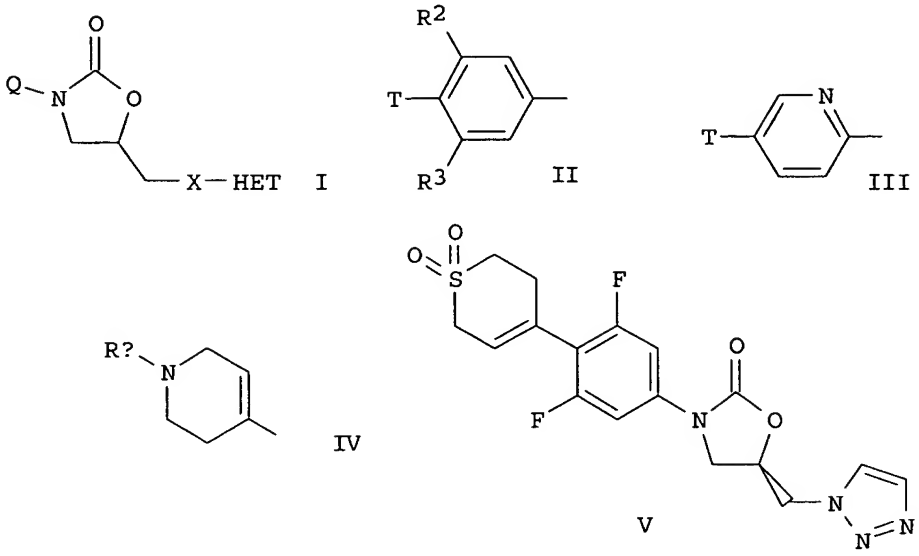


REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 22 OF 40 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:798227 HCAPLUS
DOCUMENT NUMBER: 135:344473
TITLE: Oxazolidinone derivatives with antibacterial activity
INVENTOR(S): Gravestock, Michael Barry; Betts, Michael John; Griffin, David Alan; Matthews, Ian Richard
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 143 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001081350	A1	20011101	WO 2001-GB1815	20010423
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2405349	AA	20011101	CA 2001-2405349	20010423
BR 2001010240	A	20030107	BR 2001-10240	20010423
EP 1286998	A1	20030305	EP 2001-921669	20010423
EP 1286998	B1	20040609		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003531211	T2	20031021	JP 2001-578439	20010423
EE 200200598	A	20040415	EE 2002-598	20010423
NZ 521765	A	20040528	NZ 2001-521765	20010423
AT 268778	E	20040615	AT 2001-921669	20010423
PT 1286998	T	20040930	PT 2001-921669	20010423
ES 2220759	T3	20041216	ES 2001-1921669	20010423
AU 781784	B2	20050616	AU 2001-48636	20010423
ZA 2002008187	A	20040211	ZA 2002-8187	20021010
NO 2002005091	A	20021209	NO 2002-5091	20021023
US 2003216373	A1	20031120	US 2003-258355	20030506
HK 1053114	A1	20050218	HK 2003-105394	20030725
PRIORITY APPLN. INFO.:			GB 2000-9803 A	20000425
			WO 2001-GB1815 W	20010423

OTHER SOURCE(S): MARPAT 135:344473
GI



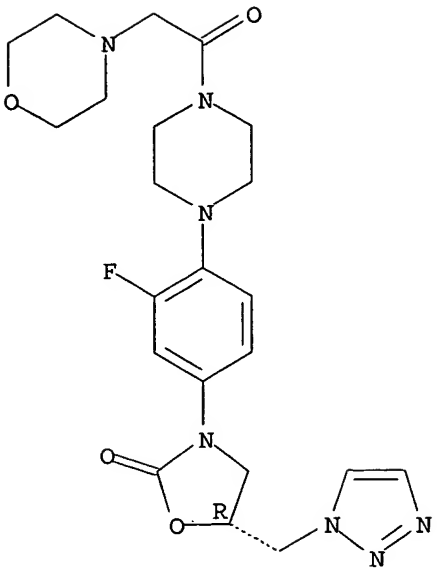
AB The title compds. [I; X = O, NH, S, etc.; HET = (un)substituted C-linked 5-membered heteroaryl ring containing 2-4 heteroatoms selected from N, O and S, etc.; Q = II, III, etc. (wherein R2, R3 = H, F; T = an N-linked (fully unsatd.) 5-membered heteroaryl ring system or IV; Rc = R13CO, R13SO2, R13CS, etc.; R13 = alkyl, etc.)], useful as antibacterial agents, were prepared and formulated. E.g., a multi-step synthesis of the oxazoline (R)-V which showed MIC of 0.125 μg/mL against Staphylococcus aureus (Oxford), was given.

IT **371194-29-5P 371195-17-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(oxazolidinone derivs. with antibacterial activity)

RN 371194-29-5 HCAPLUS

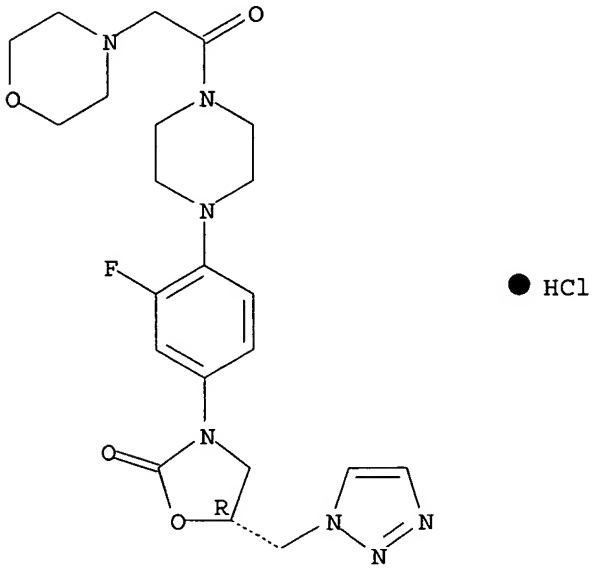
CN Piperazine, 1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-4-(4-morpholinylacetyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 371195-17-4 HCAPLUS
CN Piperazine, 1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-4-(4-morpholinylacetyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

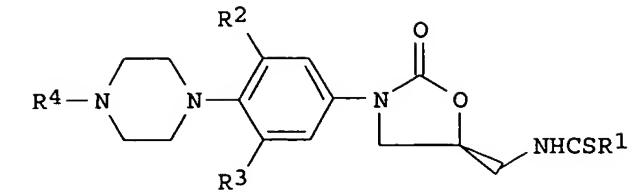
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 23 OF 40 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:597972 HCAPLUS
DOCUMENT NUMBER: 135:180754
TITLE: Preparation of oxazolidinone thioamides with piperazine amide substituents for pharmaceutical use

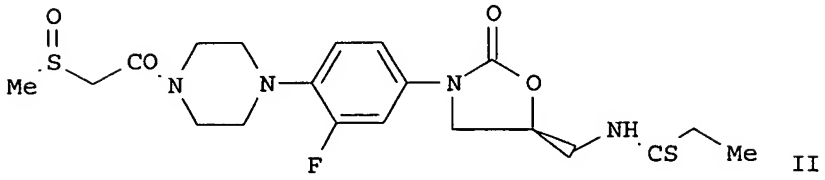
in the treatment of microbial infections
INVENTOR(S): Hester, Jackson B., Jr.
PATENT ASSIGNEE(S): Pharmacia & Upjohn Co., USA
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2001058885	A1	20010816	WO 2001-US682		20010207
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2395648	AA	20010816	CA 2001-2395648		20010207
AU 2001034428	A5	20010820	AU 2001-34428		20010207
BR 2001007645	A	20021008	BR 2001-7645		20010207
EP 1263742	A1	20021211	EP 2001-906529		20010207
EP 1263742	B1	20050824			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2003522763	T2	20030729	JP 2001-558436		20010207
NZ 520696	A	20040326	NZ 2001-520696		20010207
AT 302762	E	20050915	AT 2001-906529		20010207
ES 2248284	T3	20060316	ES 2001-1906529		20010207
PRIORITY APPLN. INFO.:			US 2000-181640P	P	20000210
			WO 2001-US682	W	20010207

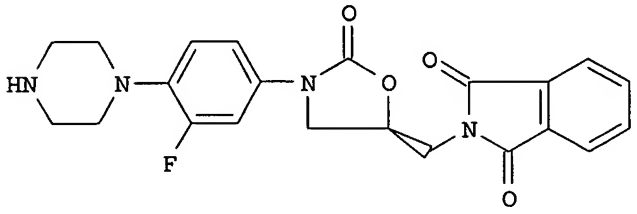
OTHER SOURCE(S): MARPAT 135:180754
GI



I



II



III

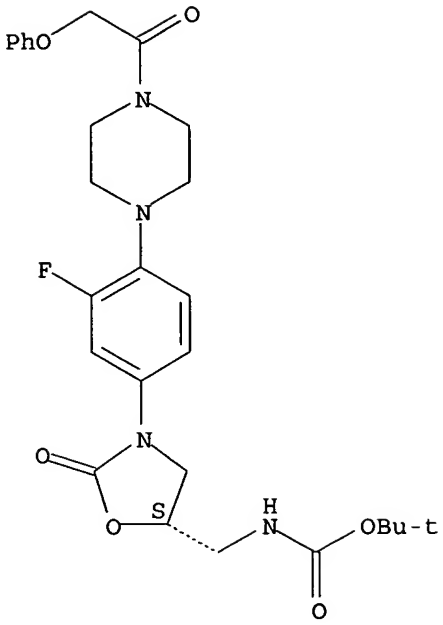
AB Oxazolidinone thioamides, such as I [R1 = H, NH2, alkylamino, alkenyl, alkyloxy, alkylthio, cycloalkyl, alkyl; R2, R3 = H, F, Cl, alkyl; R4 = CN, acyl, thioacyl, alkyloxyacyl, sulfonylmethylacyl, etc.] which have potent activities against gram-pos. and gram-neg. bacteria, were prepared for therapeutic use in the treatment of bacterial infections particularly of the skin and eye. Thus, PNU 255889 (II) was prepared via a multistep synthetic sequence which included N-acylation of III with MeSCH2CO2H, S-oxidation with sodium periodate, conversion of the phthalimido group to NH2 and N-thioacylation with MeCH2CS2Me. The prepared oxazolidinone thioamides were evaluated for min. inhibitory concns. of antibacterial activity against bacterial strains such as Staphylococcus aureus, S. epidermidis, Streptococcus pneumoniae, Enterococcus faecalis Moraxella catarrhalis and H. influenzae. Pharmaceutical formulations for oral, topical, transdermal, and parenteral delivery were discussed.

IT **354578-67-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of oxazolidinone thioamides with piperazine amide substituents for pharmaceutical use in the treatment of microbial infections)

RN 354578-67-9 HCAPLUS

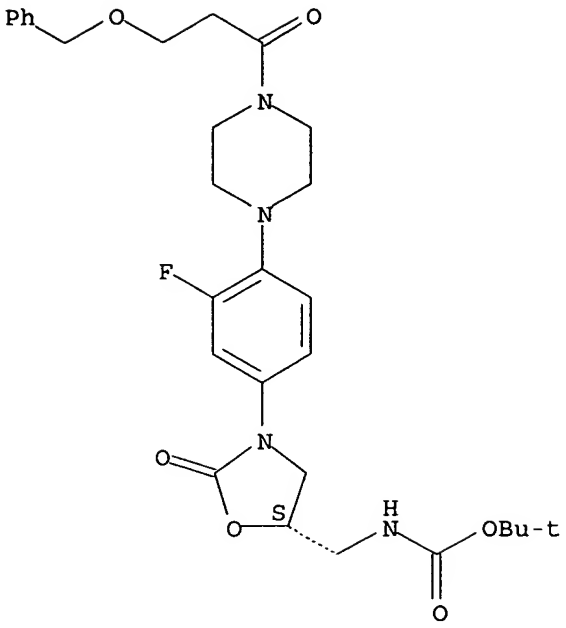
CN Carbamic acid, [[(5S)-3-[3-fluoro-4-[4-(phenoxyacetyl)-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



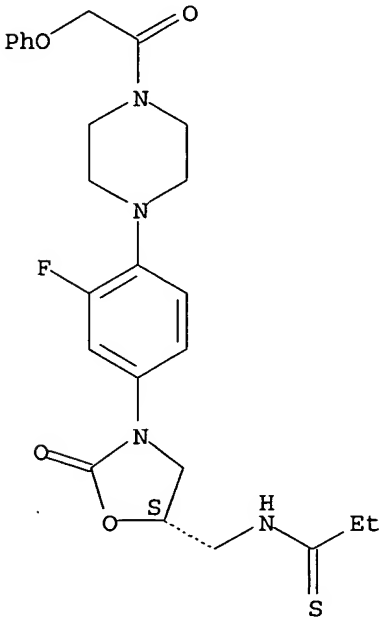
IT **354578-64-6P 354987-17-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of oxazolidinone thioamides with piperazine amide substituents for pharmaceutical use in the treatment of microbial infections)
RN 354578-64-6 HCAPLUS
CN Carbamic acid, [[(5S)-3-[3-fluoro-4-[4-[1-oxo-3-(phenylmethoxy)propyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 354987-17-0 HCAPLUS
CN Propanethioamide, N-[[(5S)-3-[3-fluoro-4-[4-(phenoxyacetyl)-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

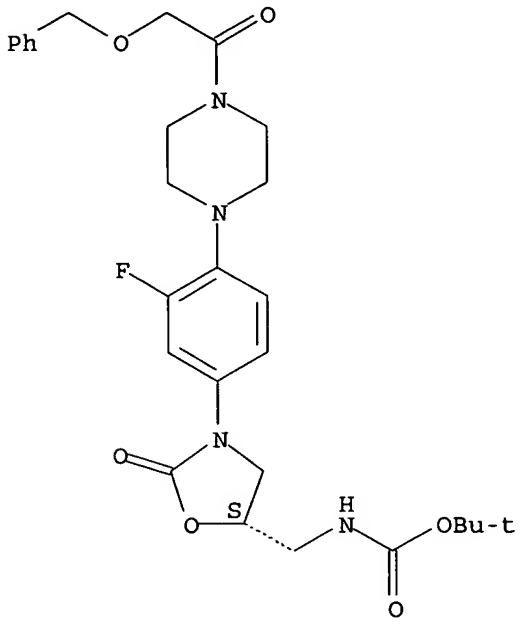
Absolute stereochemistry.



IT **345224-18-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of oxazolidinone thioamides with piperazine amide substituents for pharmaceutical use in the treatment of microbial infections)

RN 345224-18-2 HCAPLUS
CN Carbamic acid, [[(5S)-3-[3-fluoro-4-[4-[(phenylmethoxy)acetyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

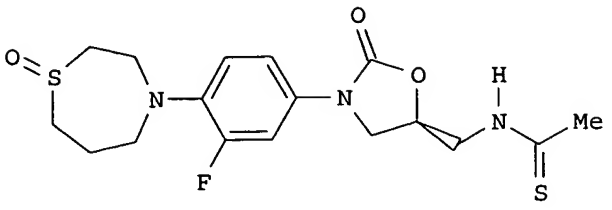
L14 ANSWER 24 OF 40 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:482178 HCAPLUS
DOCUMENT NUMBER: 135:76881
TITLE: Preparation of N-(oxooxazolidinylmethyl)thioamides and analogs as bactericides
INVENTOR(S): Hester, Jackson B., Jr.; Nidy, Eldon George; Perricone, Salvatore Charles; Poel, Toni-Jo
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: U.S., 93 pp., Cont.-in-part of U.S. 6,218,413.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 6255304	B1	20010703	US 1998-200904		19981127
US 6218413	B1	20010417	US 1998-80751		19980518
US 6362189	B1	20020326	US 2000-712055		20001114
US 6342513	B1	20020129	US 2000-713739		20001115
US 2001041728	A1	20011115	US 2001-822072		20010330
US 6537986	B2	20030325			
US 2002016323	A1	20020207	US 2001-822666		20010330
PRIORITY APPLN. INFO.:			US 1997-48342P	P	19970530
			US 1998-80751	A2	19980518

US 1998-200904 A3 19981127

OTHER SOURCE(S): MARPAT 135:76881

GI



II

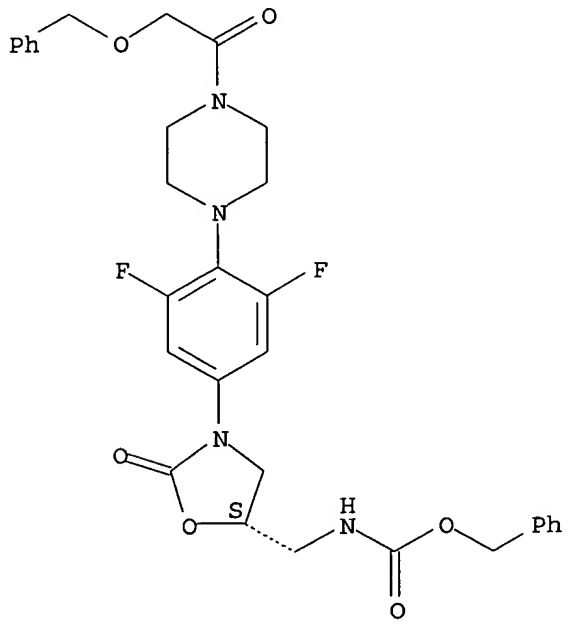
AB RZZ1CH2NHCSR1 [I; R = e.g., N-attached-(oxo)thiaazacycloalkyl; R1 = H, (alkyl)amino, alkyl, alkoxy, etc.; Z = e.g., fluorophenylene; Z1 = e.g., 2-oxooxazolidine-3,5-diyl] were prepared Thus, 1,4-hexahydrothiazepine was N-arylated by 3,4-F2C6H3NO2 and the reduced and N-protected product cyclocondensed with (R)-glycidyl butyrate to give, in 4 addnl. steps, title compound II. Data for biol. activity of I were given.

IT **216869-45-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-(oxooxazolidinylmethyl)thioamides and analogs as bactericides)

RN 216869-45-3 HCAPLUS

CN Carbamic acid, [[(5S)-3-[3,5-difluoro-4-[4-[(phenylmethoxy)acetyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 25 OF 40 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:453039 HCAPLUS
DOCUMENT NUMBER: 135:46171
TITLE: Preparation of N-[[[(benzoyloxyacetyl)piperazino]phenyl]oxazolidinylmethyl]alkanthioamides and analogs as bactericides
INVENTOR(S): Hester, Jackson B., Jr.
PATENT ASSIGNEE(S): Pharmacia & Upjohn Co., USA
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001044212	A1	20010621	WO 2000-US32432	20001206
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
TW 544449	B	20030801	TW 2000-89125030	20001124
CA 2387047	AA	20010621	CA 2000-2387047	20001206
AU 2001018058	A5	20010625	AU 2001-18058	20001206
US 6281210	B1	20010828	US 2000-732088	20001206
BR 2000015177	A	20020618	BR 2000-15177	20001206
EP 1242395	A1	20020925	EP 2000-980849	20001206
EP 1242395	B1	20050202		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003516977	T2	20030520	JP 2001-544702	20001206
AT 288423	E	20050215	AT 2000-980849	20001206
PT 1242395	T	20050531	PT 2000-980849	20001206
ES 2236006	T3	20050716	ES 2000-980849	20001206
ZA 2002002953	A	20030715	ZA 2002-2953	20020415
NO 2002002811	A	20020613	NO 2002-2811	20020613

PRIORITY APPLN. INFO.: US 1999-170675P P 19991214
WO 2000-US32432 W 20001206

OTHER SOURCE(S): MARPAT 135:46171

AB R4Z4CO2CH2COZ1Z2Z3CH2R [I; R = NHC(:X)R1 or ZR9; R1 = H, (alkyl)amino, alkyl, alkoxy, etc.; R4 = NR5COCHR6NR7R8 or CHR5NR7R8; R5 = H or Me; R6 = H or (un)substituted alkyl; R7,R8 = H or alkyl; NR7R8 = heterocyclyl; R9 = heterocyclyl; Z = O, S, NH; Z1 = piperazine-1,4-diyl throughout; Z2 = 2,6-(un)substituted-1,4-phenylene; Z3 = e.g., 2-oxo-3,5-oxazolidinediyl; Z4 = 1,3- or 1,4-phenylene] were prepared for use against gram neg. bacteria. Thus, (S)-R10Z1Z2Z3CH2NHR11 (II; Z2 = 2-fluoro-1,4-phenylene, Z3 = 2-oxo-3,5-oxazolidinediyl)(III; R10 = H, R11 = Boc) was amidated by PhCH2OCH2COCl and the debenzylated product esterified by 4-(ClH2C)C6H4COCl to give, after amination and deprotection, III [R10 = 4-(Me2NH2C)C6H4CO2CH2CO](IV; R11 = H). The latter was amidated by EtCS2Me to give IV (R11 = CSEt). Data for biol. activity of I were given.

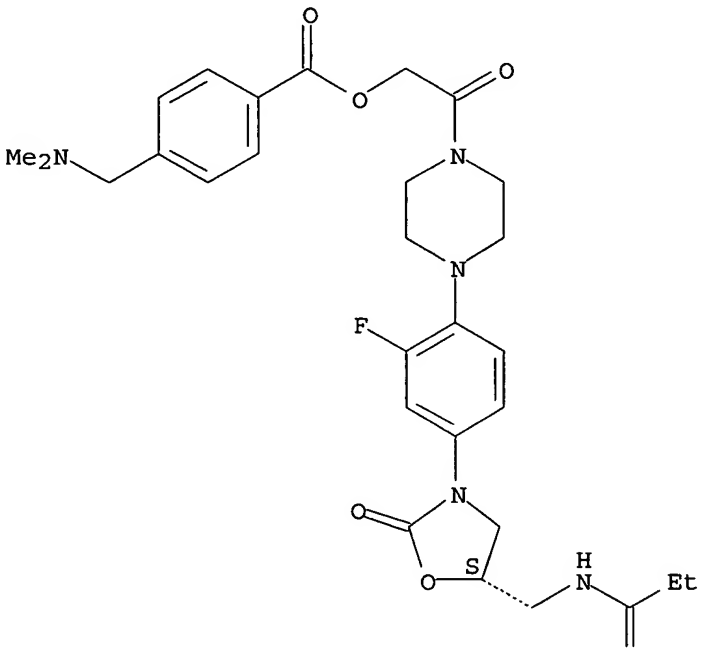
IT **345224-04-6P 345224-05-7P 345224-06-8P**
345224-07-9P 345224-08-0P 345224-09-1P
345224-10-4P 345224-12-6P 345224-13-7P

345224-14-8P 345224-15-9P 345224-16-0P
345224-17-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-[[[(benzoyloxyacetyl)piperazino]phenyl]oxazolidinylmethyl] alkanthioamides and analogs as bactericides)

RN 345224-04-6 HCAPLUS
CN Benzoic acid, 4-[(dimethylamino)methyl]-, 2-[4-[2-fluoro-4-[(5S)-2-oxo-5-[[(1-thioxopropyl)amino]methyl]-3-oxazolidinyl]phenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

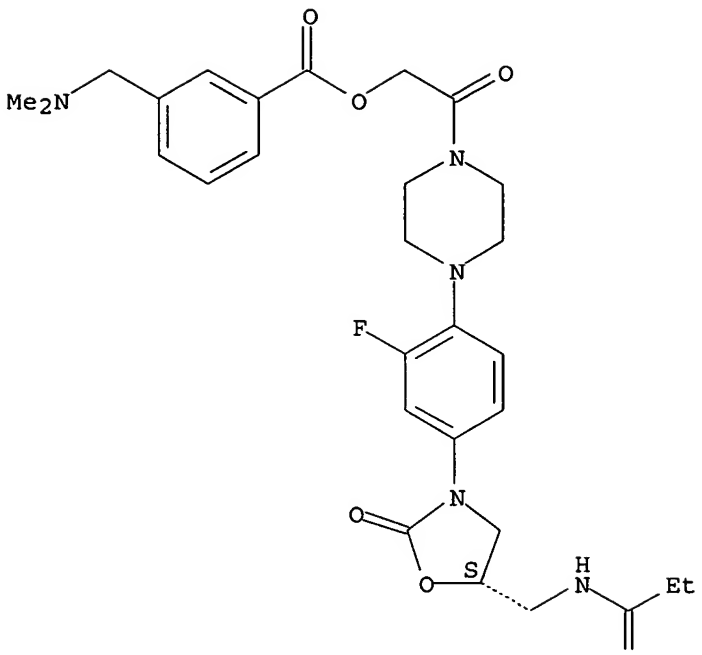


PAGE 2-A

RN 345224-05-7 HCAPLUS
CN Benzoic acid, 3-[(dimethylamino)methyl]-, 2-[4-[2-fluoro-4-[(5S)-2-oxo-5-[[(1-thioxopropyl)amino]methyl]-3-oxazolidinyl]phenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

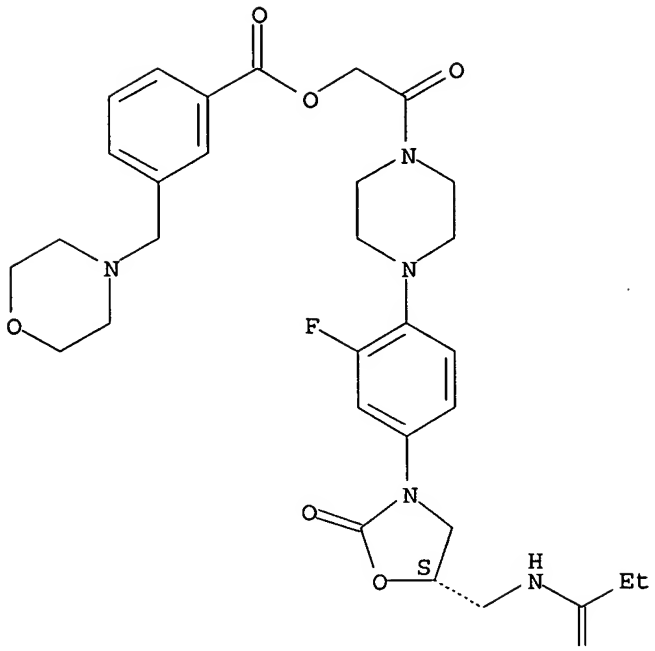


PAGE 2-A

RN 345224-06-8 HCAPLUS
CN Benzoic acid, 3-(4-morpholinylmethyl)-, 2-[4-[2-fluoro-4-[(5S)-2-oxo-5-[[(1-thioxopropyl)amino]methyl]-3-oxazolidinyl]phenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

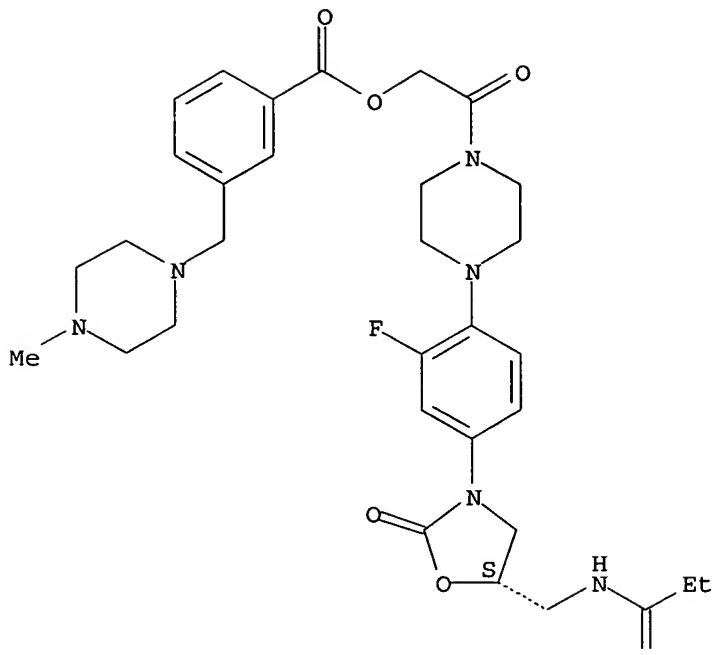


PAGE 2-A

RN 345224-07-9 HCAPLUS
CN Benzoic acid, 3-[(4-methyl-1-piperazinyl)methyl]-, 2-[4-[2-fluoro-4-[(5S)-2-oxo-5-[[(1-thioxopropyl)amino]methyl]-3-oxazolidinyl]phenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

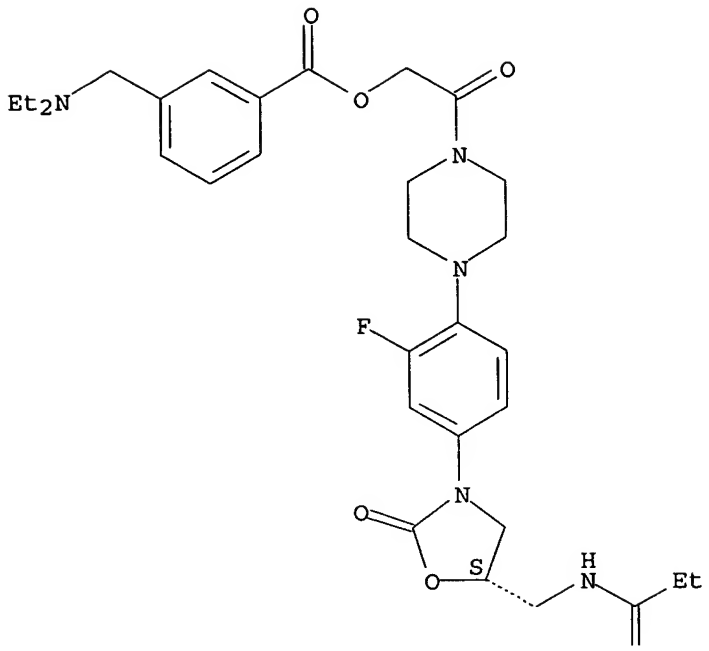


PAGE 2-A

RN 345224-08-0 HCAPLUS
CN Benzoic acid, 3-[(diethylamino)methyl]-, 2-[4-[2-fluoro-4-[(5S)-2-oxo-5-[[(1-thioxopropyl)amino]methyl]-3-oxazolidinyl]phenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

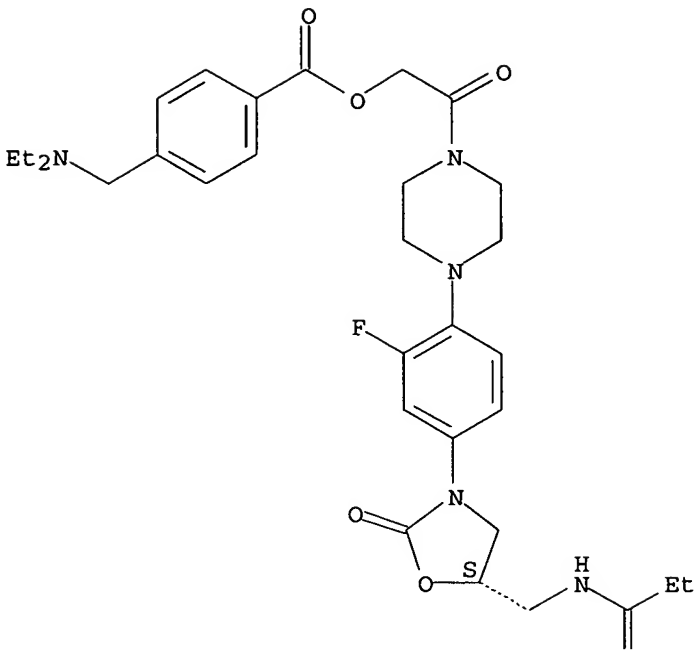


PAGE 2-A

RN 345224-09-1 HCAPLUS
CN Benzoic acid, 4-[(diethylamino)methyl]-, 2-[4-[2-fluoro-4-[(5S)-2-oxo-5-[[(1-thioxopropyl)amino]methyl]-3-oxazolidinyl]phenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

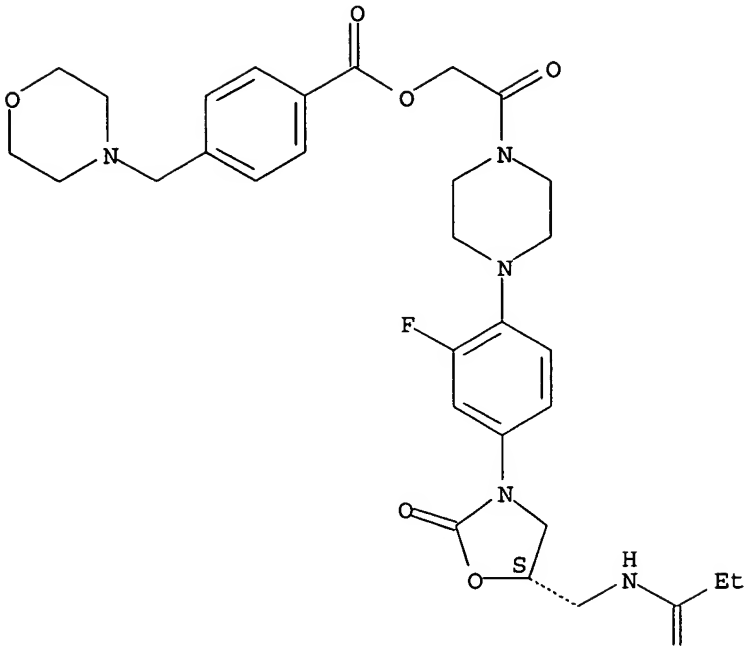


PAGE 2-A

RN 345224-10-4 HCAPLUS
CN Benzoic acid, 4-(4-morpholinylmethyl)-, 2-[4-[2-fluoro-4-[(5S)-2-oxo-5-[[(1-thioxopropyl)amino]methyl]-3-oxazolidinyl]phenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

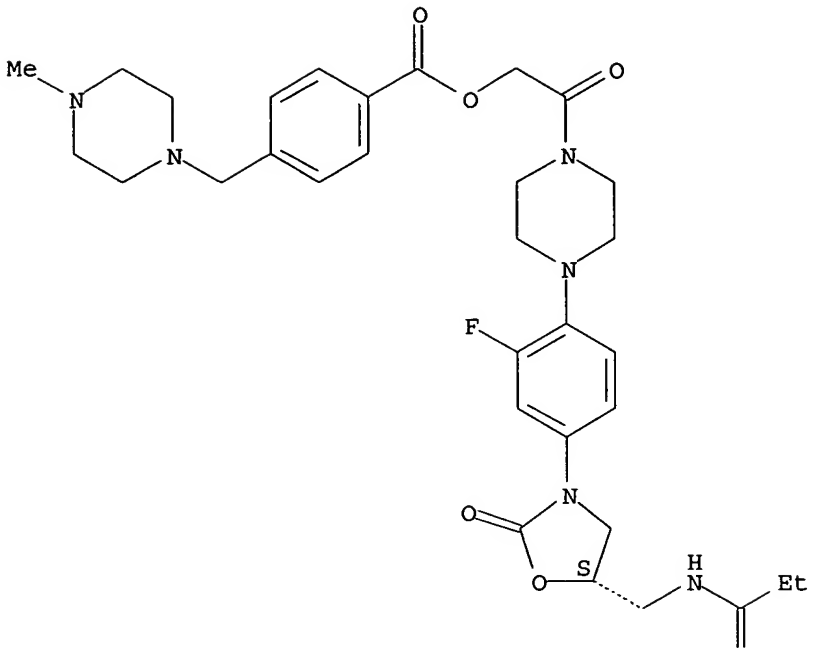


PAGE 2-A

RN 345224-12-6 HCAPLUS
CN Benzoic acid, 4-[(4-methyl-1-piperazinyl)methyl]-, 2-[4-[2-fluoro-4-[(5S)-2-oxo-5-[[(1-thioxopropyl)amino]methyl]-3-oxazolidinyl]phenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

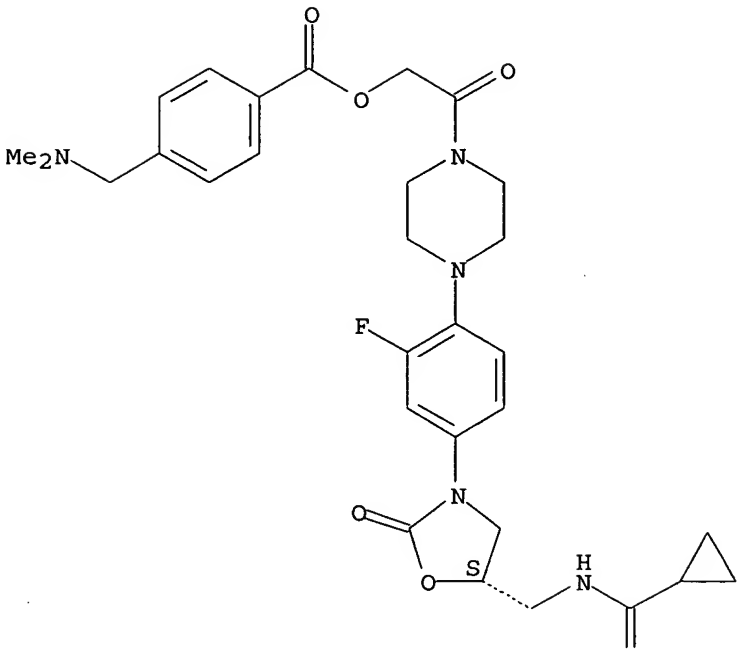


PAGE 2-A

RN 345224-13-7 HCAPLUS
CN Benzoic acid, 4-[(dimethylamino)methyl]-, 2-[4-[4-[(5S)-5-[[(cyclopropylthioxomethyl)amino]methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

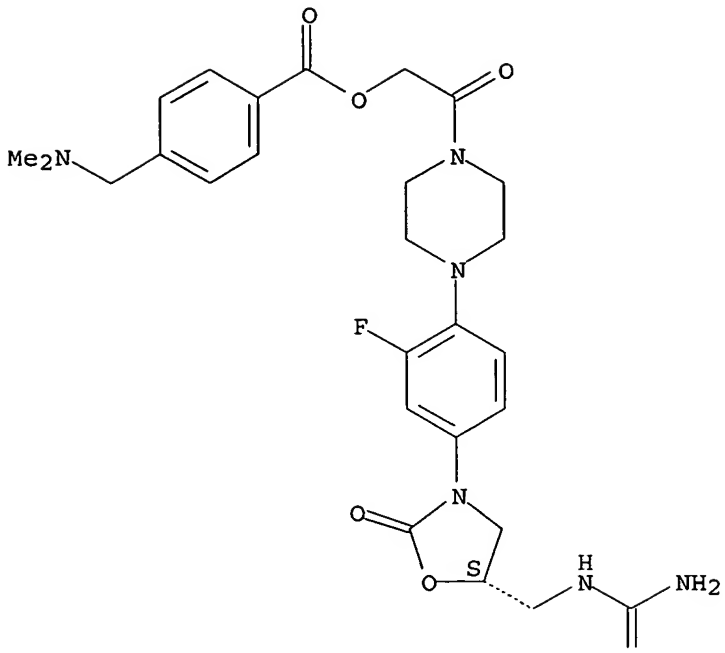


PAGE 2-A

RN 345224-14-8 HCAPLUS
CN Benzoic acid, 4-[(dimethylamino)methyl]-, 2-[4-[4-[(5S)-5-[[(aminothioxomethyl)amino]methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

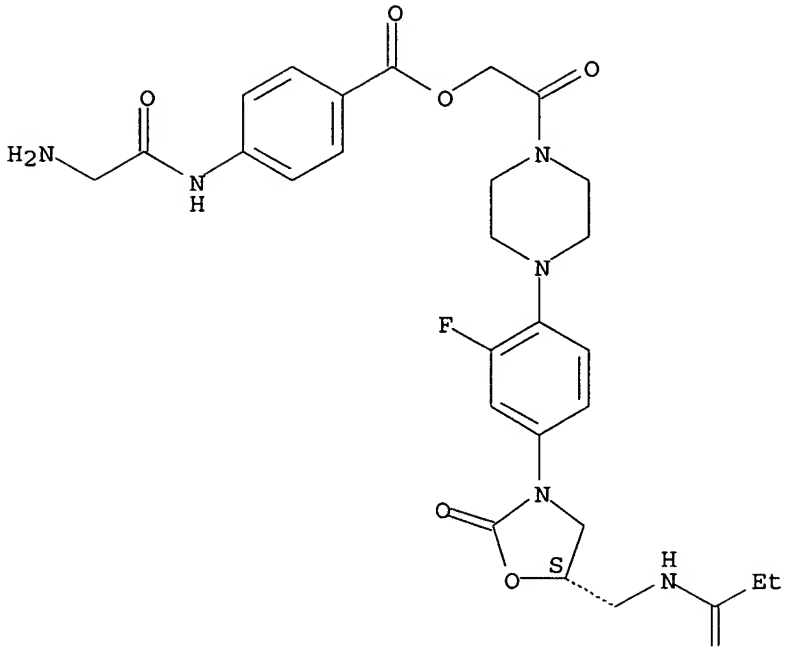


PAGE 2-A

RN 345224-15-9 HCAPLUS
CN Benzoic acid, 4-[(aminoacetyl)amino]-, 2-[4-[2-fluoro-4-[(5S)-2-oxo-5-[[(1-thioxopropyl)amino]methyl]-3-oxazolidinyl]phenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

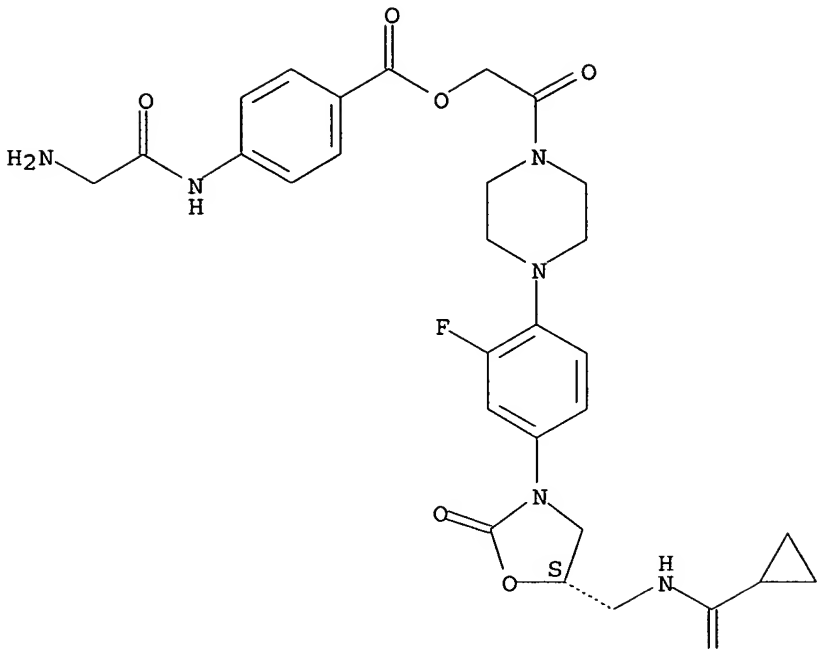


PAGE 2-A

RN 345224-16-0 HCAPLUS
CN Benzoic acid, 4-[(aminoacetyl)amino]-, 2-[4-[4-[(5S)-5-[[(cyclopropylthioxomethyl)amino]methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

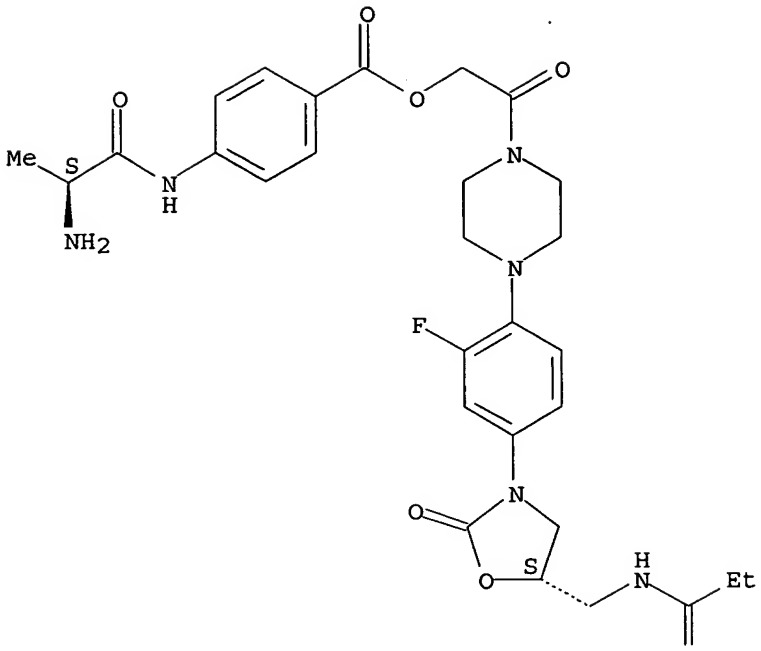


PAGE 2-A

RN 345224-17-1 HCAPLUS
CN Benzoic acid, 4-[[(2S)-2-amino-1-oxopropyl]amino]-, 2-[4-[2-fluoro-4-[(5S)-2-oxo-5-[[(1-thioxopropyl)amino]methyl]-3-oxazolidinyl]phenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

IT **345224-18-2P 345224-20-6P 345224-21-7P**
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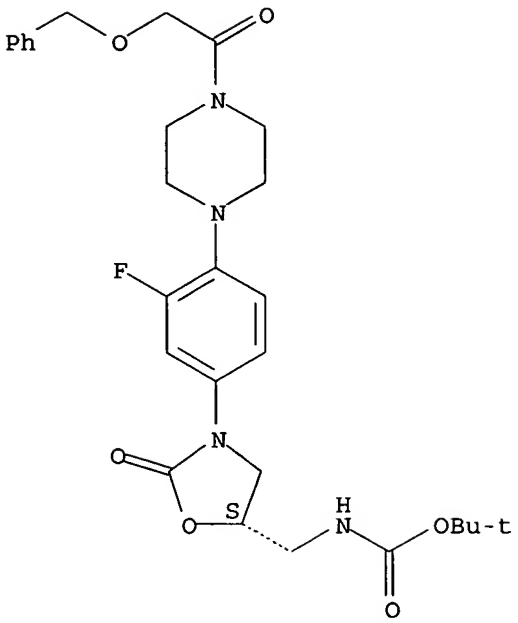
345224-28-4P 345224-29-5P 345224-30-8P
345224-32-0P 345224-33-1P 345224-35-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-[[[(benzoyloxyacetyl)piperazino]phenyl]oxazolidinylmethyl] alkanthioamides and analogs as bactericides)

RN 345224-18-2 HCAPLUS

CN Carbamic acid, [[(5S)-3-[3-fluoro-4-[4-[(phenylmethoxy)acetyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

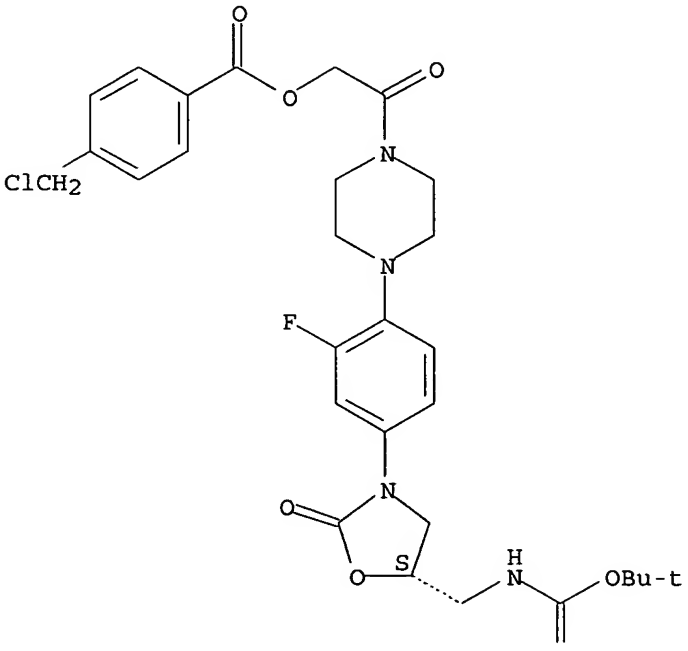
Absolute stereochemistry.



RN 345224-20-6 HCAPLUS
CN Benzoic acid, 4-(chloromethyl)-, 2-[4-[4-[(5S)-5-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



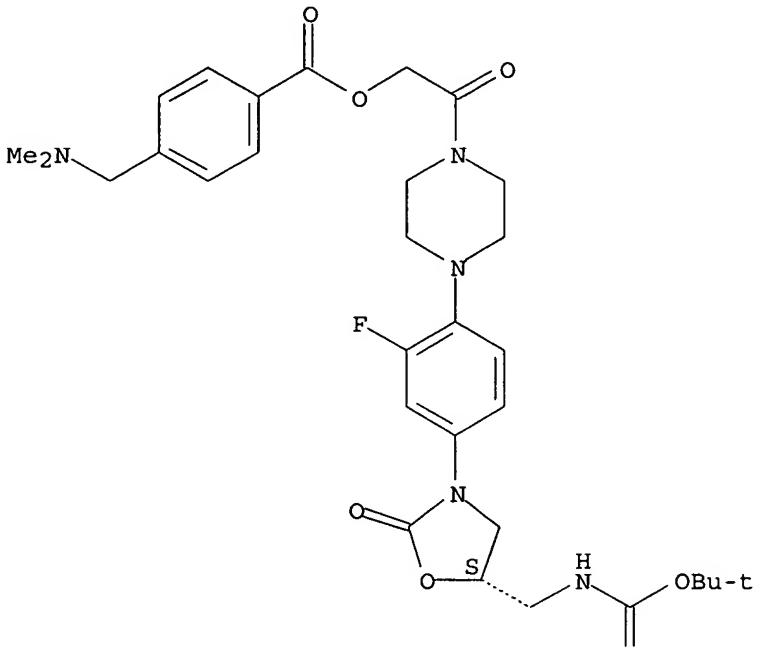
PAGE 2-A

O

RN 345224-21-7 HCAPLUS
CN Benzoic acid, 4-[(dimethylamino)methyl]-, 2-[4-[4-[(5S)-5-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



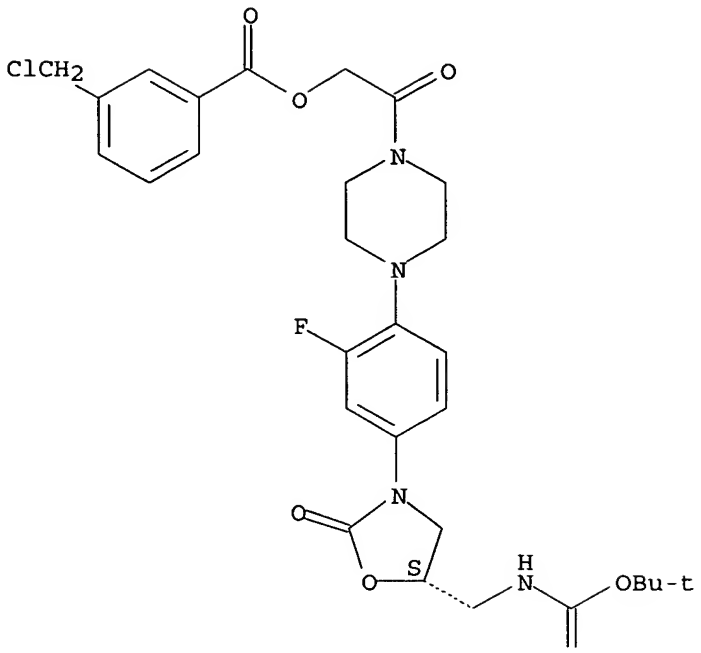
PAGE 2-A

O

RN 345224-23-9 HCAPLUS
CN Benzoic acid, 3-(chloromethyl)-, 2-[4-[4-[(5S)-5-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

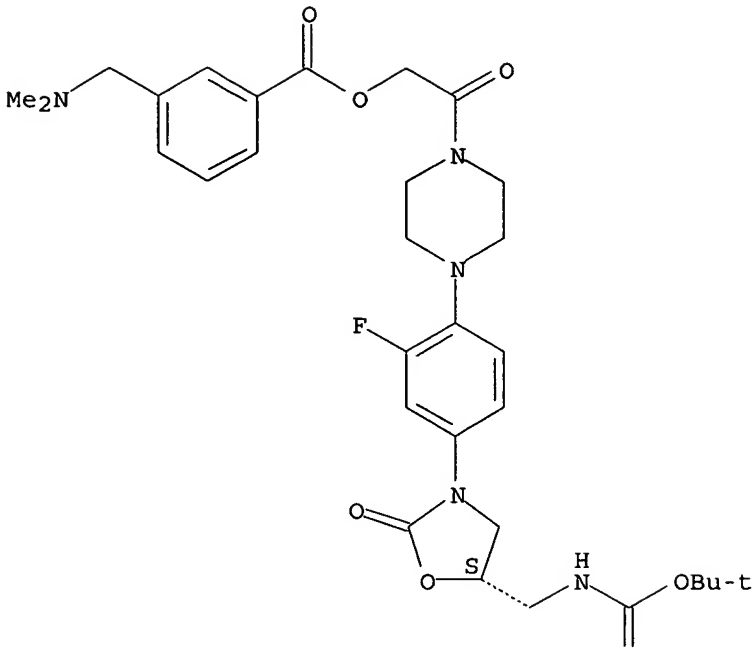


PAGE 2-A

RN 345224-24-0 HCAPLUS
CN Benzoic acid, 3-[(dimethylamino)methyl]-, 2-[4-[4-[(5S)-5-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

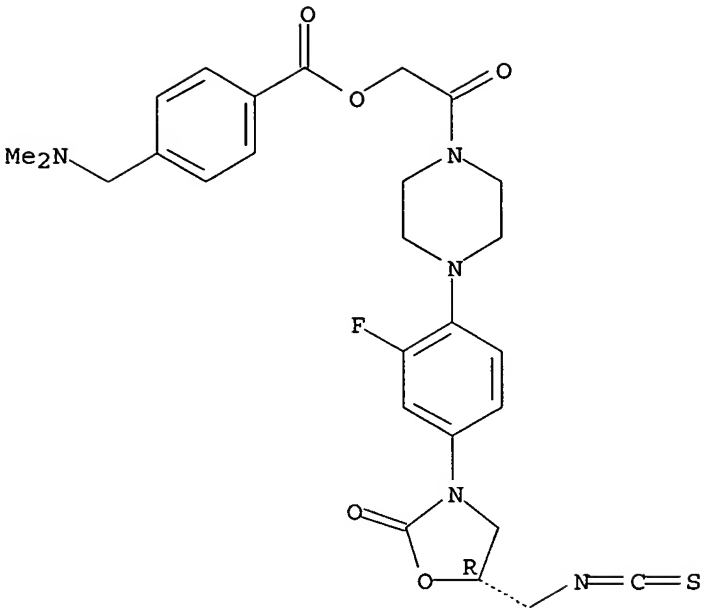
PAGE 1-A



PAGE 2-A

RN 345224-27-3 HCAPLUS
CN Benzoic acid, 4-[(dimethylamino)methyl]-, 2-[4-[2-fluoro-4-[(5R)-5-(isothiocyanatomethyl)-2-oxo-3-oxazolidinyl]phenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

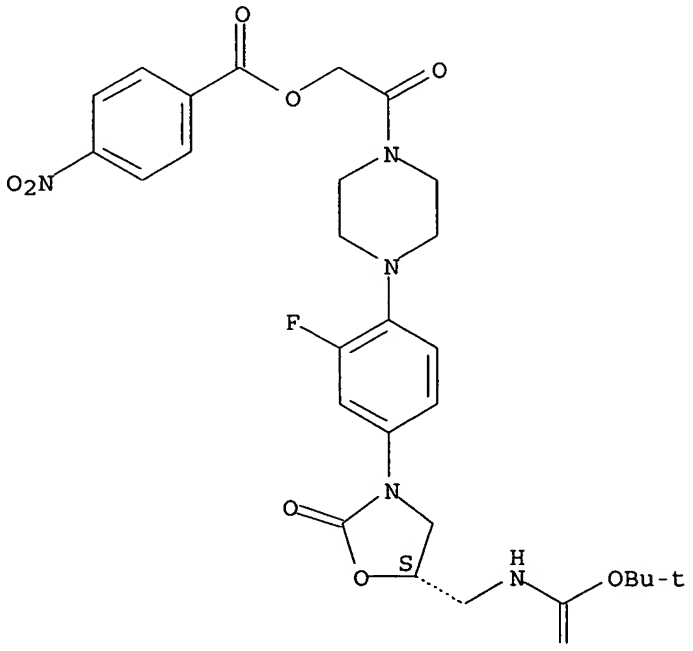
Absolute stereochemistry.



RN 345224-28-4 HCAPLUS
CN Carbamic acid, [[(5S)-3-[3-fluoro-4-[4-[[(4-nitrobenzoyl)oxy]acetyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

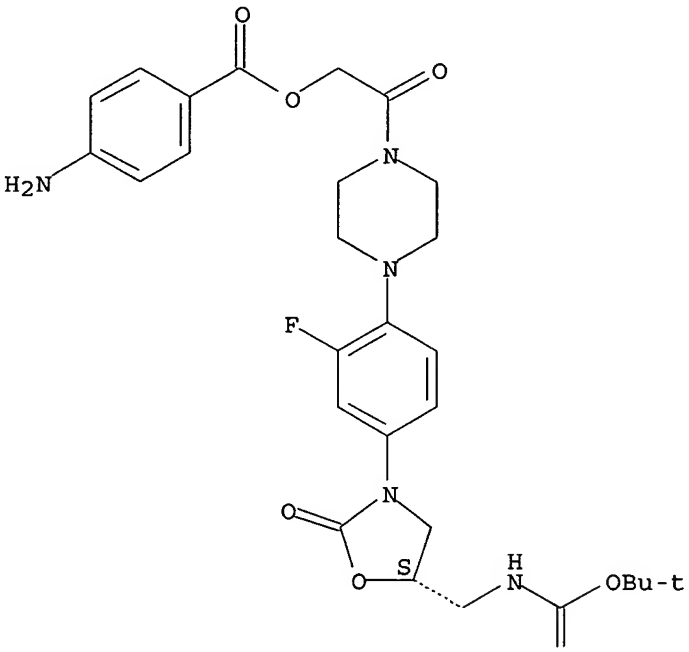


PAGE 2-A

RN 345224-29-5 HCAPLUS
CN Carbamic acid, [[(5S)-3-[4-[4-[[(4-aminobenzoyl)oxy]acetyl]-1-piperazinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

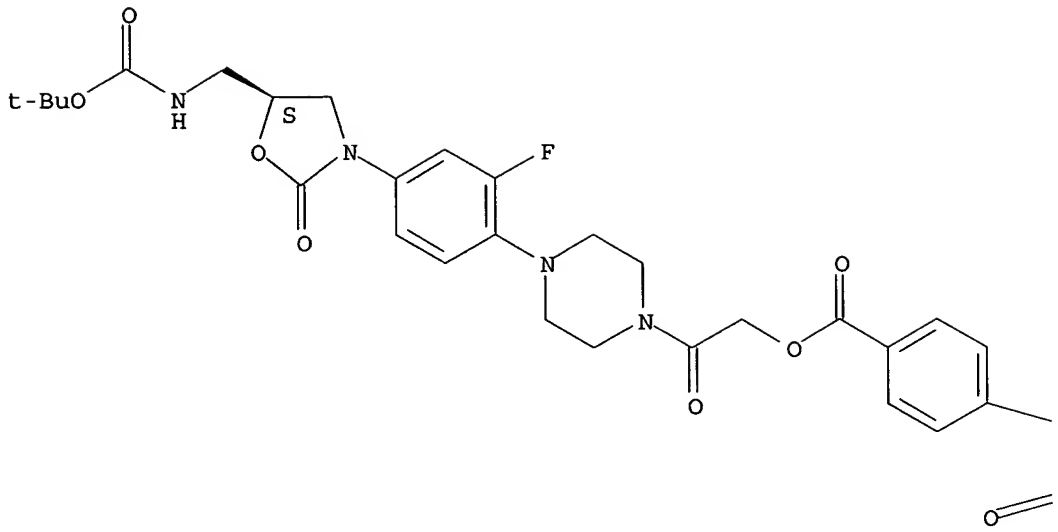


PAGE 2-A

RN 345224-30-8 HCAPLUS
CN Benzoic acid, 4-[[[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]acetyl]amino]-, 2-[4-[4-[(5S)-5-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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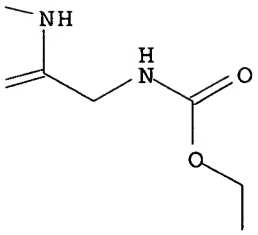

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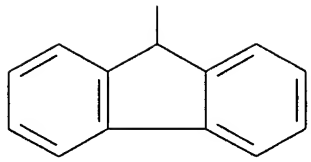
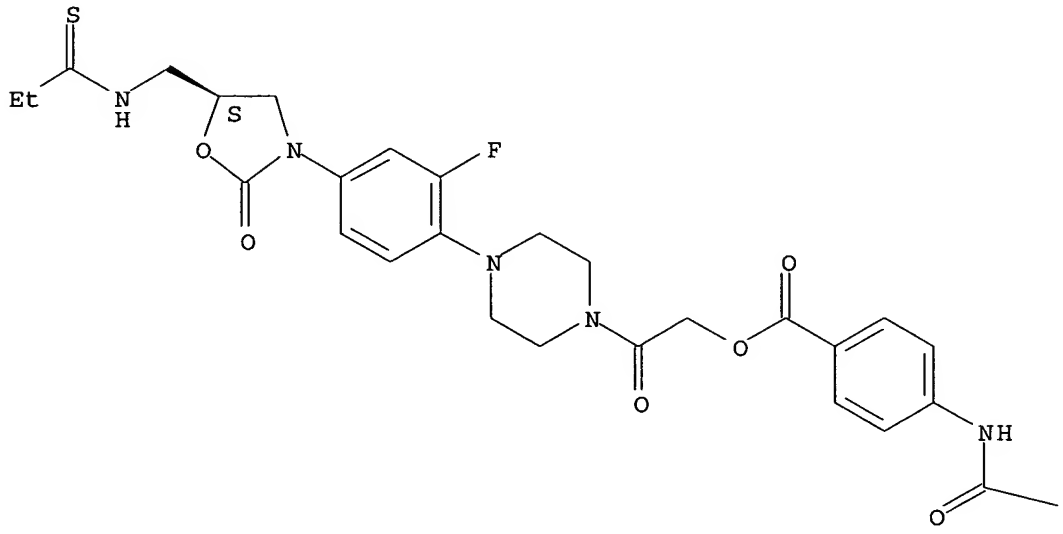


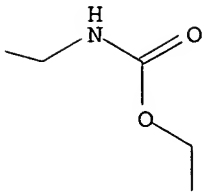
RN 345224-32-0 HCAPLUS
CN Benzoic acid, 4-[[[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]acetyl]amino]-, 2-[4-[2-fluoro-4-[(5S)-2-oxo-5-[[(1-thioxopropyl)amino]methyl]-3-oxazolidinyl]phenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

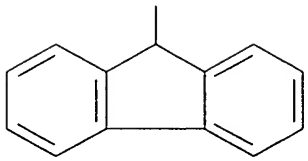
PAGE 1-A



PAGE 1-B



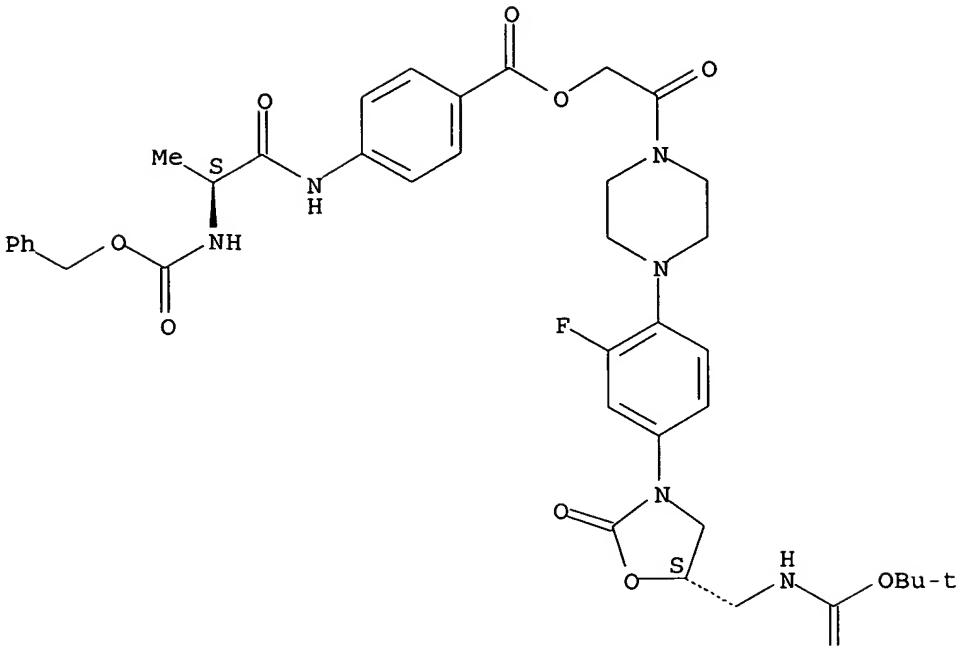
PAGE 2-B



RN 345224-33-1 HCAPLUS
CN Benzoic acid, 4-[[(2S)-1-oxo-2-[[(phenylmethoxy)carbonyl]amino]propyl]amino]-, 2-[4-[4-[(5S)-5-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

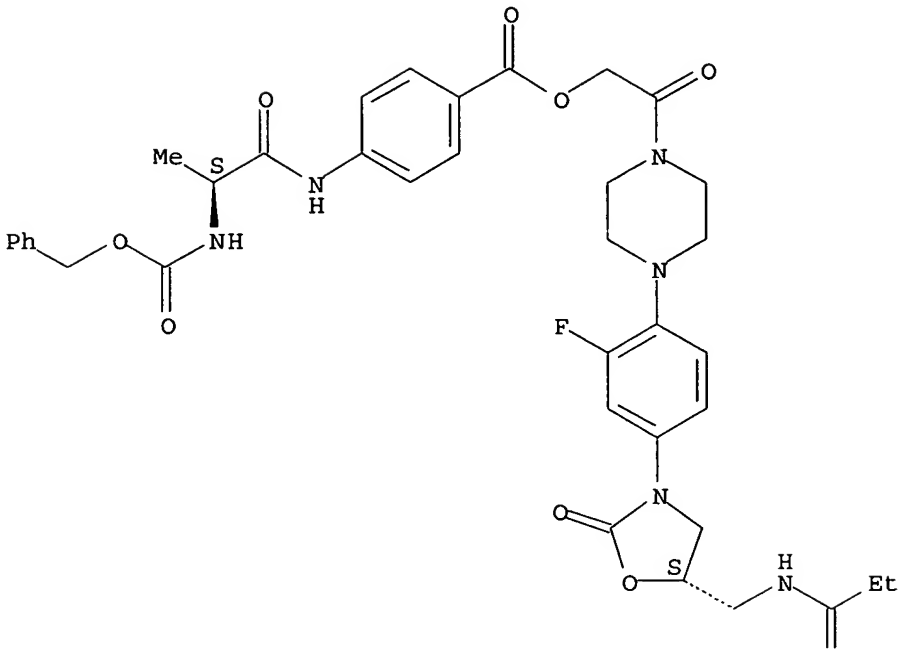


PAGE 2-A

RN 345224-35-3 HCAPLUS
CN Benzoic acid, 4-[[(2S)-1-oxo-2-[[(phenylmethoxy)carbonyl]amino]propyl]amino]-, 2-[4-[2-fluoro-4-[(5S)-2-oxo-5-[[(1-thioxopropyl)amino]methyl]-3-oxazolidinyl]phenyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ACCESSION NUMBER: 2000:384192 HCAPLUS
DOCUMENT NUMBER: 133:30719
TITLE: Oxazolidinone antibacterial agents having a thiocarbonyl functionality
INVENTOR(S): Hester, Jackson B., Jr.; Nidy, Eldon George; Perricone, Salvatore Charles; Poel, Toni-jo
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 183 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000032599	A1	20000608	WO 1998-US25308	19981127

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MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR,
TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2351062	AA	20000608	CA 1998-2351062	19981127
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EP 1133493	A1	20010919	EP 1998-961822	19981127
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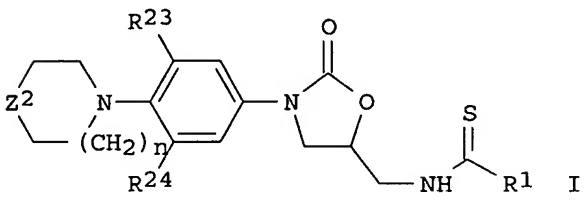

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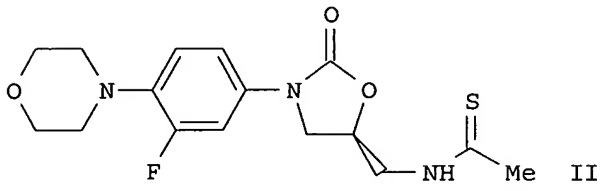


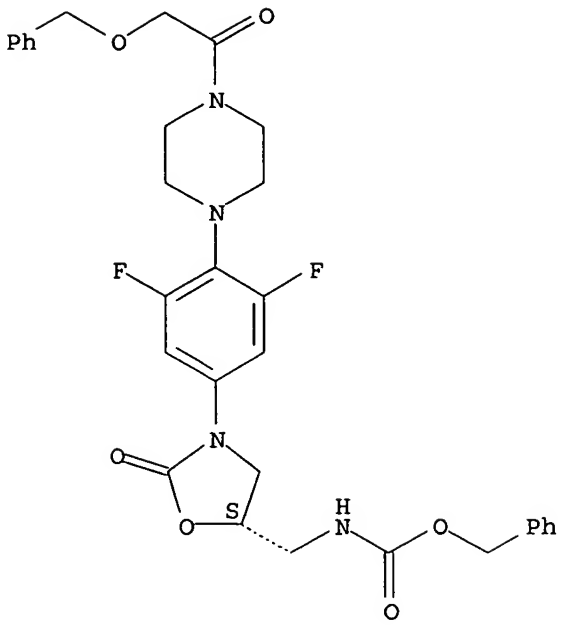
II

AB The title compds. (I) [wherein Z2 = SO2, S(O), S, O, or (un)substituted NH; n = 0-3; R23 and R24 = independently H or F; R1 = H, NH2, NH(alkyl), N(alkyl)2, aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl, alkyl(thio), alkoxy(carbonyl), CN, or cycloalkyl] were prepared by various methods, including conversion of the corresponding amides to (alkyl)thioureas or thioamides. Replacement of the O atom with S atom unexpectedly improved the antimicrobial properties of the compds. For example, II was prepared by treating the corresponding acetamide with Lawesson's Reagent. II inhibited growth of tested gram pos. organisms at concns. 2-4 times lower than the comparison carbonyl-containing compound

IT **216869-45-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of antibacterial oxazolidinone (alkyl)thioamides or thioureas from the corresponding amides or amines)

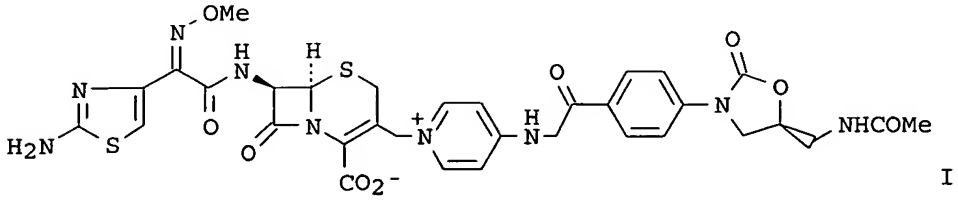
RN 216869-45-3 HCAPLUS
CN Carbamic acid, [[(5S)-3-[3,5-difluoro-4-[4-[(phenylmethoxy)acetyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 27 OF 40 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:26717 HCAPLUS
DOCUMENT NUMBER: 132:207679
TITLE: Synthesis and in vitro antibacterial activity of quaternary ammonium cephalosporin derivatives bearing oxazolidinone moiety
AUTHOR(S): Chung, In Hwa; Kim, Choong Sup; Seo, Jae Hong; Chung, Bong Young
CORPORATE SOURCE: Biochemicals Research Center, Korea Institute of Science and Technology, Seoul, 130-650, S. Korea
SOURCE: Archives of Pharmacal Research (1999), 22(6), 579-584
CODEN: APHRDQ; ISSN: 0253-6269
PUBLISHER: Pharmaceutical Society of Korea
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB Several oxazolidinones having amine moiety were prepared to form a quaternary ammonium salt with cephalosporin nucleus, and antibacterial activity of the quaternary ammonium cephalosporin derivs. (e.g., I) bearing oxazolidinone moiety were examined particularly with expectation of dual activity. However, the cephalosporin-oxazolidinone compds. revealed

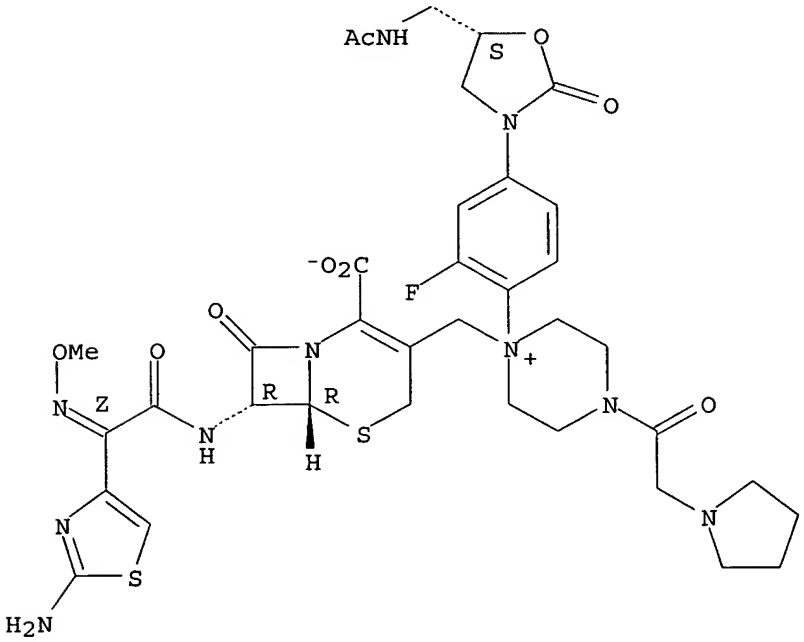
rather weaker antibacterial activity in vitro than their parent oxazolidinone and cephalosporin without showing any characteristic activity as expected.

IT **260262-92-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and antibacterial activity of quaternary ammonium oxazolidinonocephalosporin derivs.)

RN 260262-92-8 HCAPLUS

CN Piperazinium, 1-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-[[(6R,7R)-7-[[(2Z)-(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-4-(1-pyrrolidinylacetyl)-, inner salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

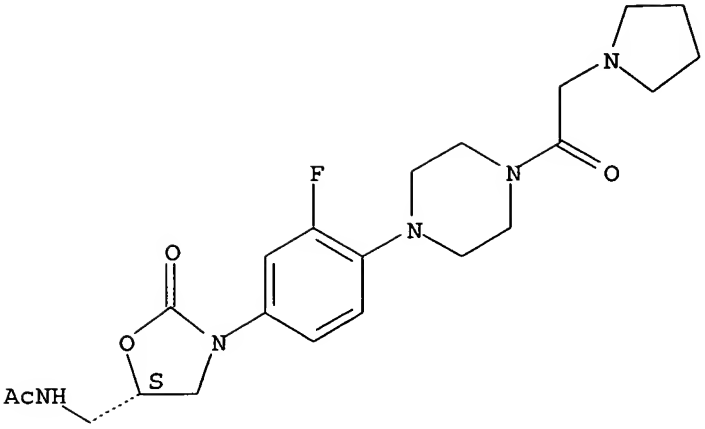


IT **260262-82-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis and antibacterial activity of quaternary ammonium oxazolidinonocephalosporin derivs.)

RN 260262-82-6 HCAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[4-(1-pyrrolidinylacetyl)-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

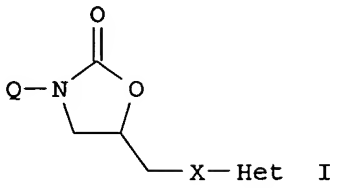
L14 ANSWER 28 OF 40 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:795810 HCAPLUS
DOCUMENT NUMBER: 132:35694
TITLE: Oxazolidinone derivatives, process for their preparation and pharmaceutical compositions containing them as antibiotics
INVENTOR(S): Gravestock, Michael Barry
PATENT ASSIGNEE(S): Zeneca Limited, UK
SOURCE: PCT Int. Appl., 188 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9964417	A2	19991216	WO 1999-GB1753	19990603
WO 9964417	A3	20000203		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2333332	AA	19991216	CA 1999-2333332	19990603
AU 9941571	A1	19991230	AU 1999-41571	19990603
AU 753988	B2	20021031		
BR 9910971	A	20010213	BR 1999-10971	19990603
EP 1082323	A2	20010314	EP 1999-925188	19990603
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200003595	T2	20010723	TR 2000-200003595	19990603
EE 200000707	A	20020415	EE 2000-707	19990603
JP 2002517498	T2	20020618	JP 2000-553426	19990603
NZ 508174	A	20031031	NZ 1999-508174	19990603
ZA 2000006694	A	20020218	ZA 2000-6694	20001118

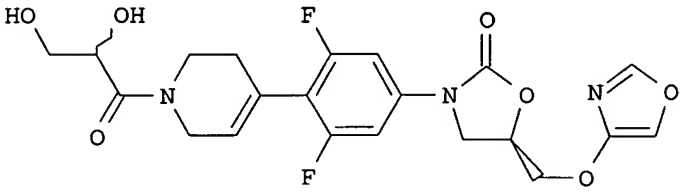
BG 105001	A	20010928	BG 2000-105001		20001129
NO 2000006152	A	20010202	NO 2000-6152		20001204
US 6617339	B1	20030909	US 2000-719012		20001205
US 2003144263	A1	20030731	US 2003-340526		20030109
PRIORITY APPLN. INFO.:			GB 1998-12021	A	19980605
			GB 1998-20164	A	19980917
			GB 1998-26066	A	19981128
			WO 1999-GB1753	W	19990603
			US 2000-719012	B1	20001205

OTHER SOURCE(S): CASREACT 132:35694; MARPAT 132:35694

GI



I



II

AB Title compds. I and their pharmaceutically-acceptable salts and in-vivo-hydrolyzable esters are described [wherein, for example: X = O or S; Het = (un)substituted C-linked 5-membered heteroaryl ring containing 2 to 4 heteroatoms independently selected from N, O, and S; Q = (for example) certain substituted phenyls, 2-pyridyls, or 1,2,5,6-tetrahydropyrid-4-yls]. The compds. are useful as antibacterial agents, and have good activity against a broad range of Gram-pos. pathogens, including organisms known to be resistant to most commonly known antibiotics. For instance, 5(R)-[(isoxazol-3-yloxy)methyl]-3-[4-(1,2,5,6-tetrahydropyrid-4-yl)-3,5-difluorophenyl]oxazolidin-2-one (preparation given) underwent N-acylation by (R,S)-2,3-O-isopropylideneglyceric acid using EDC and Et3N in CH2Cl2 (39%), followed by deprotection with HCl in aqueous THF (80%), to give title compound II. Against coagulase-neg. staphylococci, II had an MIC (μg/mL) of 0.13 for methicillin-sensitive strains, and 0.50 for methicillin-resistant strains.

IT **252260-17-6P**

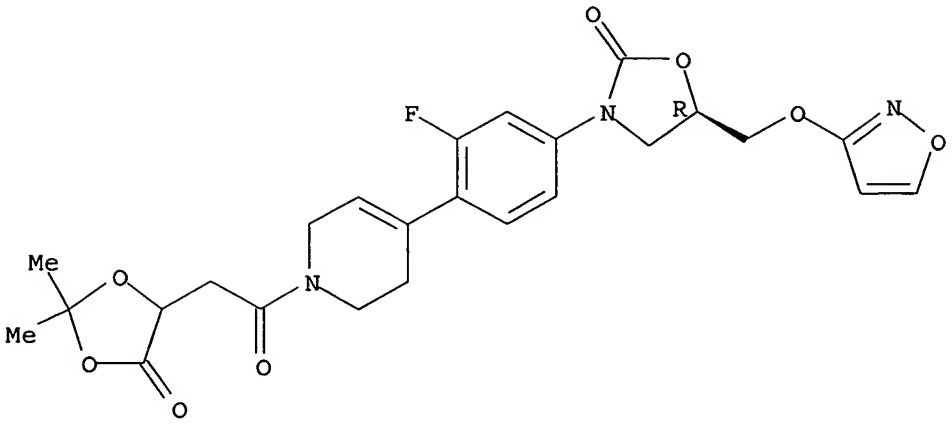
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of antibiotic oxazolidinone derivs.)

RN 252260-17-6 HCAPLUS

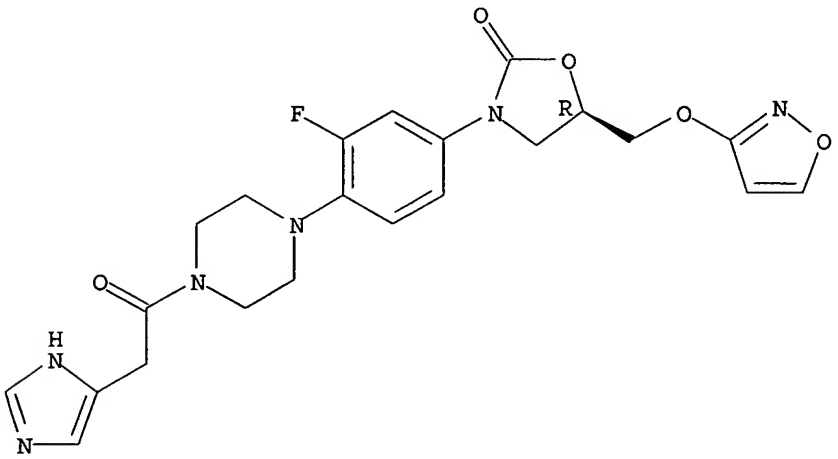
CN Pyridine, 1-[(2,2-dimethyl-5-oxo-1,3-dioxolan-4-yl)acetyl]-4-[2-fluoro-4-[(5R)-5-[(3-isoxazolyloxy)methyl]-2-oxo-3-oxazolidinyl]phenyl]-1,2,3,6-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **252279-95-1P 252279-96-2P 252279-99-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antibiotic oxazolidinone derivs.)
RN 252279-95-1 HCAPLUS
CN Piperazine, 1-[2-fluoro-4-[(5R)-5-[(3-isoxazolyloxy)methyl]-2-oxo-3-oxazolidinyl]phenyl]-4-(1H-imidazol-4-ylacetyl)-, dihydrochloride (9CI) (CA INDEX NAME)

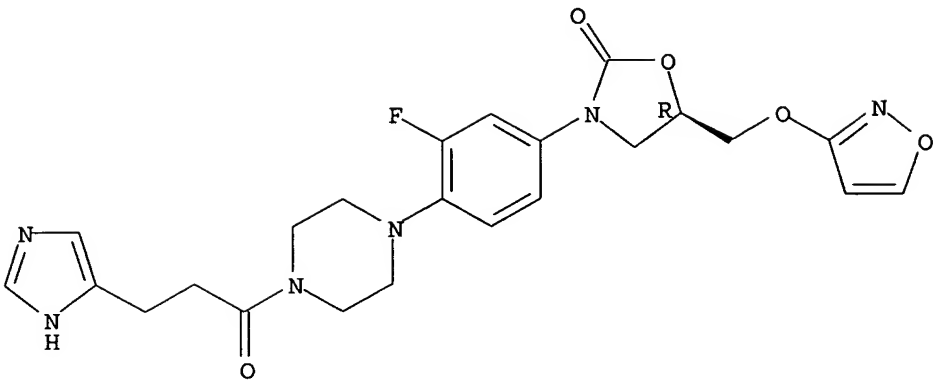
Absolute stereochemistry.



●2 HCl

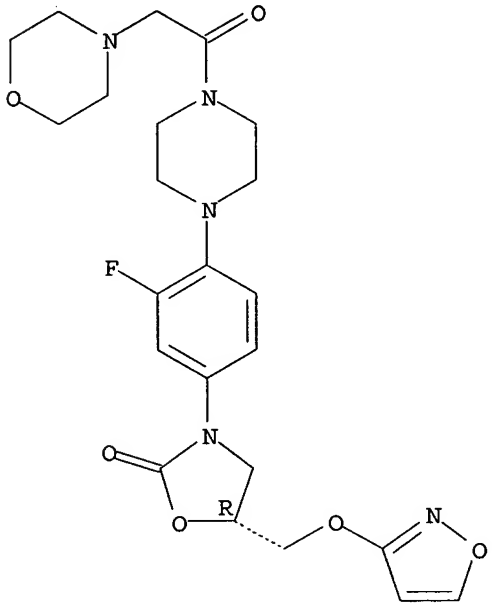
RN 252279-96-2 HCAPLUS
CN Piperazine, 1-[2-fluoro-4-[(5R)-5-[(3-isoxazolyloxy)methyl]-2-oxo-3-oxazolidinyl]phenyl]-4-[3-(1H-imidazol-4-yl)-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



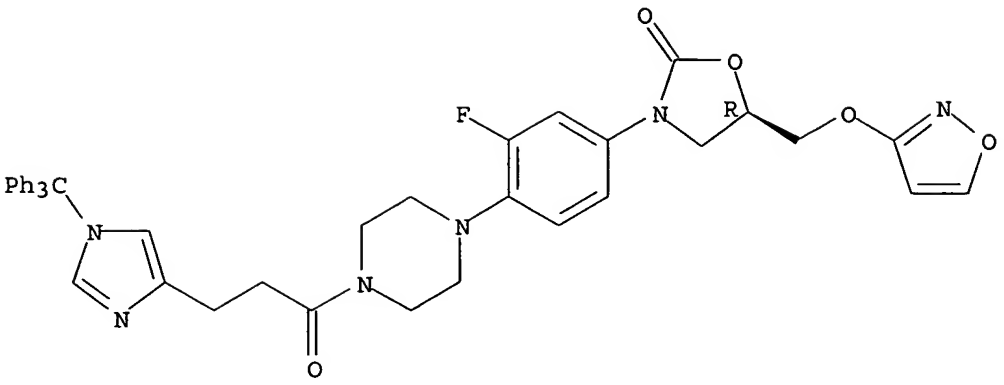
RN 252279-99-5 HCAPLUS
CN Piperazine, 1-[2-fluoro-4-[(5R)-5-[(3-isoxazolyloxy)methyl]-2-oxo-3-oxazolidinyl]phenyl]-4-(4-morpholinylacetyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **252336-73-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of antibiotic oxazolidinone derivs.)
RN 252336-73-5 HCAPLUS
CN Piperazine, 1-[2-fluoro-4-[(5R)-5-[(3-isoxazolyloxy)methyl]-2-oxo-3-oxazolidinyl]phenyl]-4-[1-oxo-3-[1-(triphenylmethyl)-1H-imidazol-4-yl]propyl]- (9CI) (CA INDEX NAME)

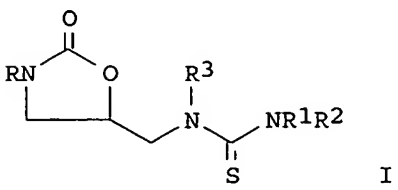
Absolute stereochemistry.



L14 ANSWER 29 OF 40 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:194131 HCAPLUS
DOCUMENT NUMBER: 130:223265
TITLE: Preparation of N-(2-oxothiazolidin-5-ylmethyl)thiourea derivatives as antibacterial agents
INVENTOR(S): Yoshida, Toshihiko; Tokuyama, Ryukou; Tomita, Yayoi
PATENT ASSIGNEE(S): Hokuriku Seiyaku Co., Ltd., Japan
SOURCE: PCT Int. Appl., 137 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9912914	A1	19990318	WO 1998-JP4074	19980910
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
JP 11158164	A2	19990615	JP 1998-272500	19980909
AU 9890015	A1	19990329	AU 1998-90015	19980910
PRIORITY APPLN. INFO.:			JP 1997-265054 A	19970911
			WO 1998-JP4074 W	19980910

OTHER SOURCE(S): MARPAT 130:223265
GI



I

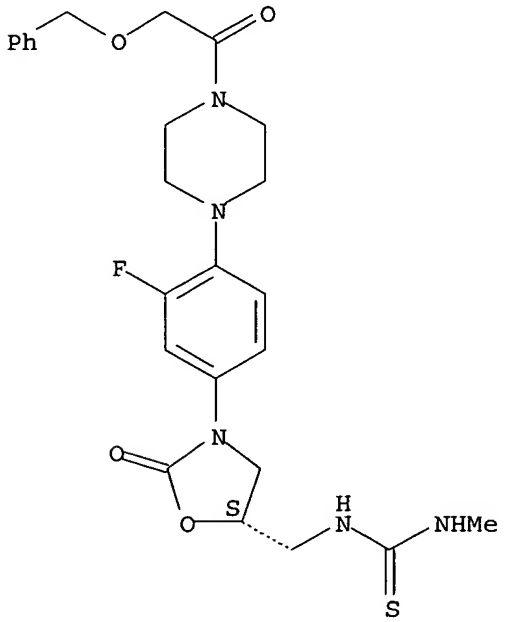
AB Antimicrobial thiourea derivs. of general formula (I) or salts thereof: (wherein R1, R2, and R3 are each hydrogen, alkyl, cycloalkyl, nitrogen-protecting group, alkoxycarbonylalkyl or the like; and R is Ph which may be substituted by halogeno, hydroxyl, mercapto, amino, cyano, nitro, carboxyl, carbamoyl, alkyl, cycloalkyl, alkoxy, alkylamino, alkanoyl, arylcarbonyl, aryl, aralkyl, aryloxy, cycloalkyloxy containing a hetero-atom as a ring atom, a saturated heterocyclic group or the like) are prepared Also claim is an antibacterial agent, in particular against gram pos. bacteria, containing I as the active ingredient. These thiourea derivs. exhibit excellent antibacterial activity against not only normal bacteria but also resistant strains of bacteria, e.g. methicillin-resistant Staphylococcus aureus (MRSA). Thus, addition reaction of (R)-[2-oxo-3-[4-(thiomorpholin-4-yl)phenyl]oxazolidin-5-yl]methyl isothiocyanate with NH3 in MeOH at room temperature for 9 h gave I [R = 4-(thiomorpholin-4-yl)phenyl, R1 = R2 = R3 = H]. I [R = 3-fluoro-4-(pyrrolidino-1-yl)phenyl, R1 = R2 = R3 = H] showed min. inhibitory concentration of 0.39 μg/mL against MRSA HPC1336 and Enterococcus faecalis HPC948 and HPC975.

IT **221202-97-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(oxothiazolidinylmethyl)thiourea derivs. as antibacterial agents)

RN 221202-97-7 HCAPLUS

CN Piperazine, 1-[2-fluoro-4-[(5S)-5-[[[(methylamino)thioxomethyl]amino]methyl]-2-oxo-3-oxazolidinyl]phenyl]-4-[(phenylmethoxy)acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

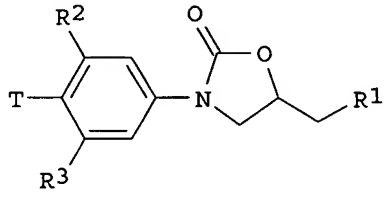
L14 ANSWER 30 OF 40 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:166612 HCAPLUS
DOCUMENT NUMBER: 130:209696
TITLE: Antibiotic oxazolidinone derivatives
INVENTOR(S): Gravestock, Michael Barry
PATENT ASSIGNEE(S): Zeneca Limited, UK
SOURCE: PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

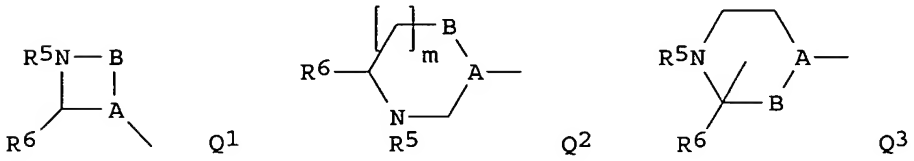
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9910342	A1	19990304	WO 1998-GB2476	19980818
W: JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1005468	A1	20000607	EP 1998-938836	19980818
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001514178	T2	20010911	JP 2000-507671	19980818
US 6605630	B1	20030812	US 2000-486092	20000218
US 2003216374	A1	20031120	US 2003-414320	20030415

PRIORITY APPLN. INFO.:
GB 1997-17807 A 19970822
WO 1998-GB2476 W 19980818
US 2000-486092 A3 20000218

OTHER SOURCE(S): MARPAT 130:209696
GI



I



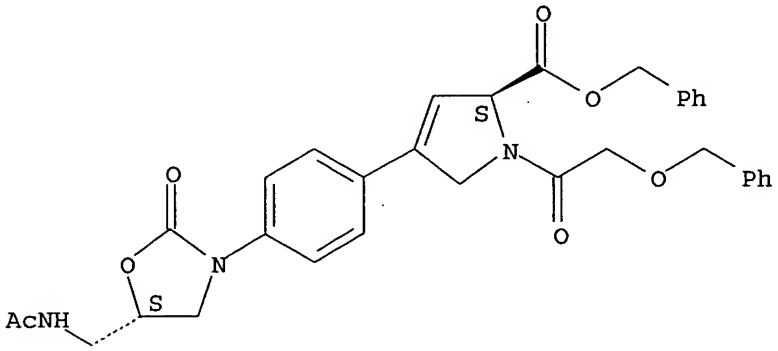
Q1 Q2 Q3

AB The title compds. I [T = Q1, Q2, Q3; R1 = NHC(O)Rb with Rb = (1-4C)alkyl; R2, R3 = H, F; >A-B- is >C:CH- (but not when T is Q1) or >CH-CH2-; R5 = H, R10CO, R10SO2, R10CS with R10 = optionally substituted Ph, (1-10C)alkyl; R5 and R6 are linked to give a 5- or 6-membered ring which is fused to the ring shown in Q1, Q2, Q3 so as to give an optionally substituted bicyclic ring], antibacterial agents, were prepared E.g., N-((5S)-3-(4-((7aS)[3H,5H]-3-oxo-1,7a-dihydropyrrolo[1,2-c]oxazol-6-yl)phenyl)-2-oxooxazolidin-5-ylmethyl)acetamide was prepared I are effective against gram-pos. pathogens.

IT **220992-84-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antibacterial oxazolidinone derivs.)
RN 220992-84-7 HCAPLUS
CN 1H-Pyrrole-2-carboxylic acid, 4-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]phenyl]-2,5-dihydro-1-[(phenylmethoxy)acetyl]-, phenylmethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

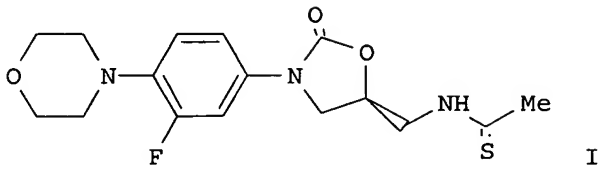
L14 ANSWER 31 OF 40 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:794995 HCAPLUS
DOCUMENT NUMBER: 130:38373
TITLE: Preparation of thiocarbonyloxazolidinones as antibacterial agents
INVENTOR(S): Hester, Jackson B., Jr.; Nidy, Eldon George; Perricone, Salvatore Charles; Poel, Toni-jo
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA; Hester, Jackson B., Jr.
SOURCE: PCT Int. Appl., 118 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9854161	A1	19981203	WO 1998-US9889	19980518
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9874883	A1	19981230	AU 1998-74883	19980513
AU 737995	B2	20010906		
CA 2288750	AA	19981203	CA 1998-2288750	19980518
EP 984947	A1	20000315	EP 1998-922303	19980518
EP 984947	B1	20050420		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

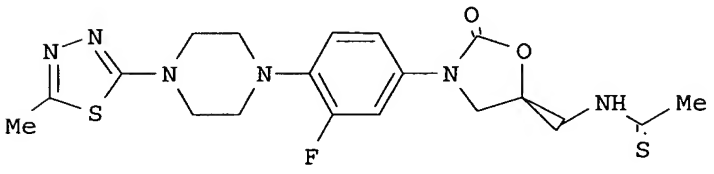
BR 9815518	A	20001121	BR 1998-15518		19980518
NZ 501412	A	20011130	NZ 1998-501412		19980518
JP 2002501530	T2	20020115	JP 1999-500722		19980518
RU 2208613	C2	20030720	RU 1999-128083		19980518
AT 293609	E	20050515	AT 1998-922303		19980518
ES 2242280	T3	20051101	ES 1998-922303		19980518
NO 9905846	A	20000128	NO 1999-5846		19991129
NO 315798	B1	20031027			
FI 9902555	A	19991130	FI 1999-2555		19991130
MX 9911069	A	20000430	MX 1999-11069		19991130
HK 1027569	A1	20040618	HK 2000-106696		20001023
PRIORITY APPLN. INFO.:			US 1997-48342P	P	19970530
			WO 1998-US9889	W	19980518

OTHER SOURCE(S): MARPAT 130:38373

GI



I



II

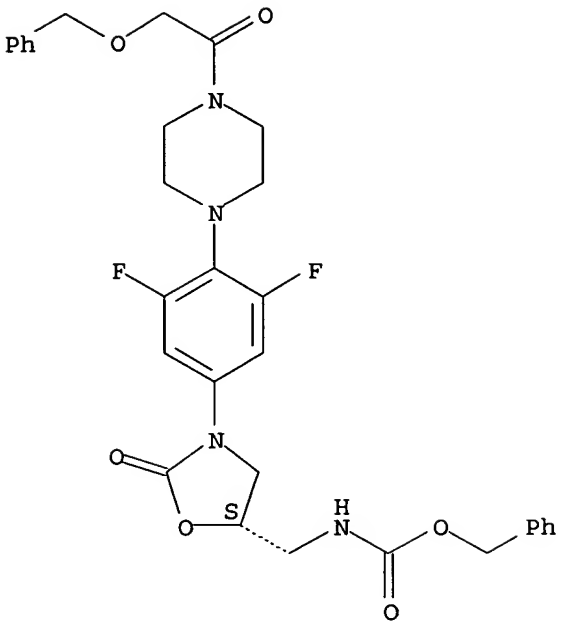
AB Chiral title compds. AGCH2NHCSR [A is (un)substituted Ph, indolinyl; G is 2-oxo-5-oxazolidinyl; R is H, NH2, alkyl, cycloalkyl, etc.] or pharmaceutical acceptable salts are prepared, from amines with Lawesson's Reagent or 1,1'-thiocarbonyldi-2(1H)-pyridone, as antibacterial agents. Title compds. I and II were tested in vitro by standard agar dilution method.

IT **216869-45-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of thiocarbonyloxazolidinones as antibacterial agents)

RN 216869-45-3 HCAPLUS

CN Carbamic acid, [[(5S)-3-[3,5-difluoro-4-[4-[(phenylmethoxy)acetyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

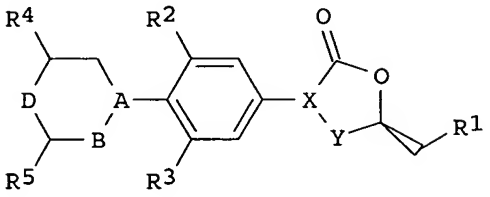
L14 ANSWER 32 OF 40 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:752951 HCAPLUS
DOCUMENT NUMBER: 128:34686
TITLE: Preparation of 3-(tetrahydropyridylphenyl)dihydrofuran-2(3H)-ones and analogs as antibacterial agents
INVENTOR(S): Gravestock, Michael Barry
PATENT ASSIGNEE(S): Zeneca Limited, UK; Gravestock, Michael Barry
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9743280	A1	19971120	WO 1997-GB1061	19970417
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9725722	A1	19971205	AU 1997-25722	19970417
EP 912561	A1	19990506	EP 1997-917340	19970417
EP 912561	B1	20021204		
R: CH, DE, FR, GB, IT, LI				
JP 2000510143	T2	20000808	JP 1997-540608	19970417
US 6110936	A	20000829	US 1999-180475	19990119
US 6350775	B1	20020226	US 2000-621949	20000724

US 2003166684	A1	20030904	US 2001-26923		20011217
US 2002133022	A1	20020919	US 2001-32584		20011221
US 6638955	B2	20031028			
PRIORITY APPLN. INFO.:			GB 1996-9919	A	19960511
			GB 1996-3939	A	19960224
			GB 1996-18404	A	19960904
			WO 1997-GB1061	W	19970417
			US 1997-945160	A3	19971021
			US 1998-180475	A3	19981110
			US 1999-180475	A3	19990119
			US 1999-364389	A3	19990730
			US 2000-621949	A3	20000724
			US 2001-836095	A3	20010417

OTHER SOURCE(S): MARPAT 128:34686

GI



I

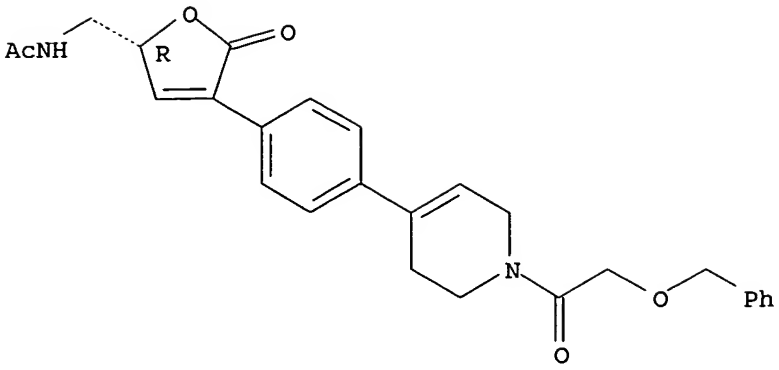
AB Title compds. [I; AB = C:CH, CHCH2, C(OH)CH2; D = O, SO0-2, (un)substituted NH; R1 = OH, alkanoylamino, alkylsulfonylamino, etc.; R2,R3 = H or F; R4,R5 = H or Me; XY = C:CH or CHCH2] were prepared Thus, (5R)-5-acetamidomethyl-3-(trimethylstannylphenyl)dihydrofuran-2(3H)-one (preparation given) was condensed with tert-Bu 1,2,5,6-tetrahydro-4-(trifluoromethylsulfonyloxy)pyridine-1-carboxylate and the deprotected product N-acylated by ClCO2Me to give I (AB = C:CH, D = NCO2Me, R1 = NHAc, R2-R5 = H, XY = CHCH2). Data for biol. activity of I were given.

IT **199682-18-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-(tetrahydropyridylphenyl)dihydrofuran-2(3H)-ones and analogs as antibacterial agents)

RN 199682-18-3 HCAPLUS

CN Acetamide, N-[[2,5-dihydro-5-oxo-4-[4-[1,2,3,6-tetrahydro-1-[(phenylmethoxy)acetyl]-4-pyridinyl]phenyl]-2-furanyl]methyl]-, (R)- (9CI) (CA INDEX NAME)

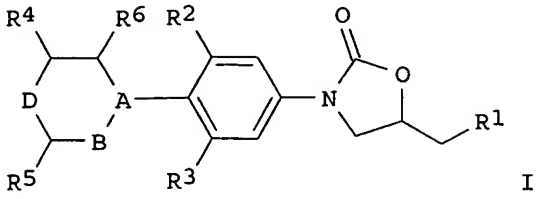
Absolute stereochemistry.



L14 ANSWER 33 OF 40 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:579718 HCAPLUS
DOCUMENT NUMBER: 127:248104
TITLE: Preparation of aryloxooxazolidinylmethylacetamides and related compounds as antibacterials.
INVENTOR(S): Gravestock, Michael Barry
PATENT ASSIGNEE(S): Zeneca Ltd., UK; Gravestock, Michael Barry
SOURCE: PCT Int. Appl., 111 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9730995	A1	19970828	WO 1997-GB462		19970220
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
ZA 9701469	A	19970825	ZA 1997-1469		19970220
AU 9718053	A1	19970910	AU 1997-18053		19970220
EP 882042	A1	19981209	EP 1997-903509		19970220
R: CH, DE, FR, GB, IT, LI					
JP 11514662	T2	19991214	JP 1997-529888		19970220
US 5981528	A	19991109	US 1997-945160		19971021
US 6271383	B1	20010807	US 1999-364389		19990730
US 6365751	B1	20020402	US 2001-836095		20010417
PRIORITY APPLN. INFO.:			GB 1996-3939	A	19960224
			GB 1996-18404	A	19960904
			WO 1997-GB462	W	19970220
			US 1997-945160	A3	19971021
			US 1999-364389	A3	19990730

OTHER SOURCE(S): MARPAT 127:248104
GI



I

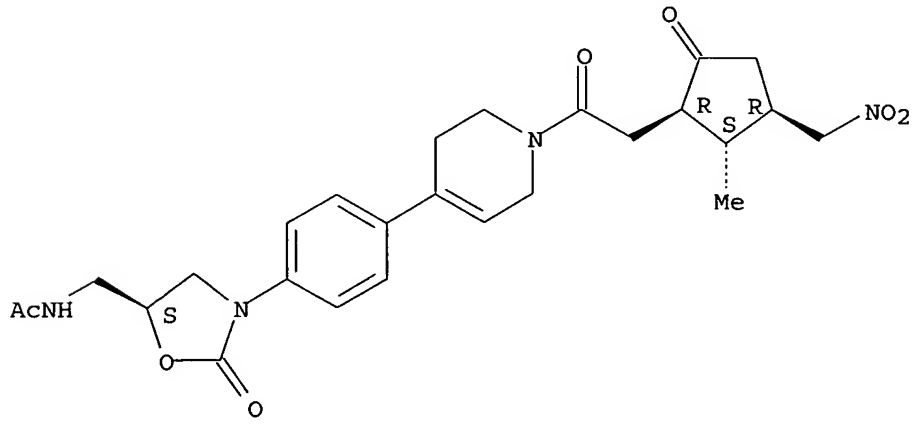
AB Title compds. (I; R1 = OH, Cl, Br, F, alkylsulfonyloxy, amino, N3, alkoxy, alkylthio, alkylaminocarbonyloxy, etc.; R2, R3 = H, F; D = O, S, SO, SO2, imino, acylimino; R4, R5 = H, Br, O, alkyl, alkanoylaminoalkyl, hydroxyalkyl, CO2H, alkoxycarbonyl, etc.; R6 = H, alkyl, OH, alkoxy, alkanoyloxy; AB = C:CRa, CHCHRa, or C(OH)CHRa; Ra = H, alkyl), were prepared Thus, a mixture of tert-Bu 1,2,3,6-tetrahydro-4-(trifluoromethylsulfonyloxy)pyridine-1-carboxylate, Pd2(dibenzylideneacetone)2, Ph3As, and LiCl in N-methylpyrrolidine was treated with (S)-5-acetamidomethyl-3-(4-trimethyltinphenyl)oxazolidin-2-one (preparation given) followed by stirring at room temperature to 40° to give 23% (S)-N-[3-[4-(1-tert-butyloxycarbonyl-1,2,5,6-tetrahydropyrid-4-yl)phenyl]-2-oxooxazolidin-5-ylmethyl]acetamide. The latter showed a min. inhibitory concentration of 1.0 μg/mL against Staphylococcus aureus Oxford.

IT **195816-90-1P 195816-92-3P 195816-93-4P**
195816-94-5P 195816-95-6P 195817-06-2P
195817-08-4P 195817-09-5P 195817-12-0P
195817-13-1P 195817-22-2P 195817-23-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aryloxooxazolidinylmethylacetamides and related compds. as antibacterials)

RN 195816-90-1 HCAPLUS

CN Acetamide, N-[[2-oxo-3-[4-[1,2,3,6-tetrahydro-1-[[2-methyl-3-(nitromethyl)-5-oxocyclopentyl]acetyl]-4-pyridinyl]phenyl]-5-oxazolidinyl]methyl]-, [1R-[1α(S*),2β,3α]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

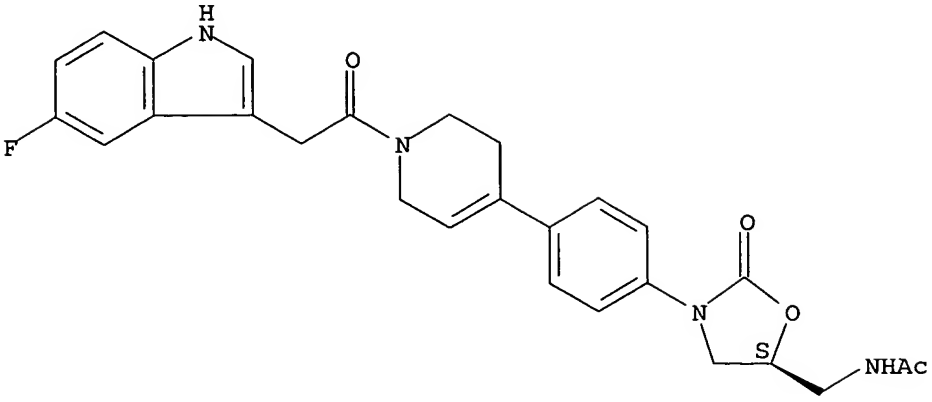


RN 195816-92-3 HCAPLUS

CN Acetamide, N-[[3-[4-[1-[(5-fluoro-1H-indol-3-yl)acetyl]-1,2,3,6-tetrahydro-4-pyridinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX

NAME)

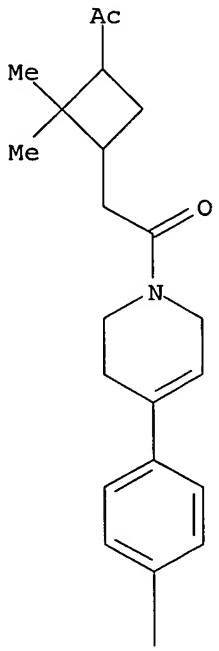
Absolute stereochemistry.



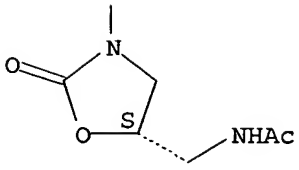
RN 195816-93-4 HCAPLUS
CN Acetamide, N-[[3-[4-[1-[(3-acetyl-2,2-dimethylcyclobutyl)acetyl]-1,2,3,6-tetrahydro-4-pyridinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, [1(S)]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

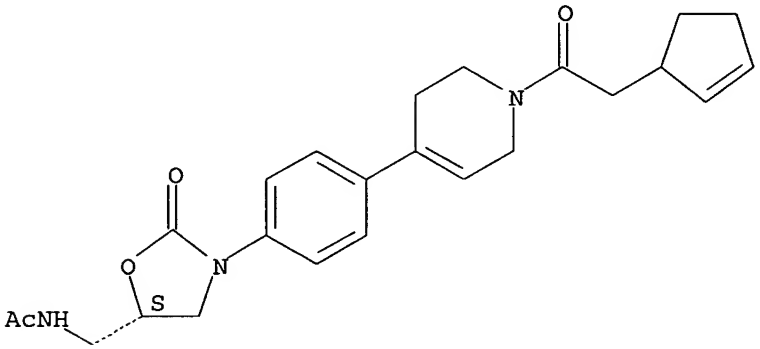


PAGE 2-A



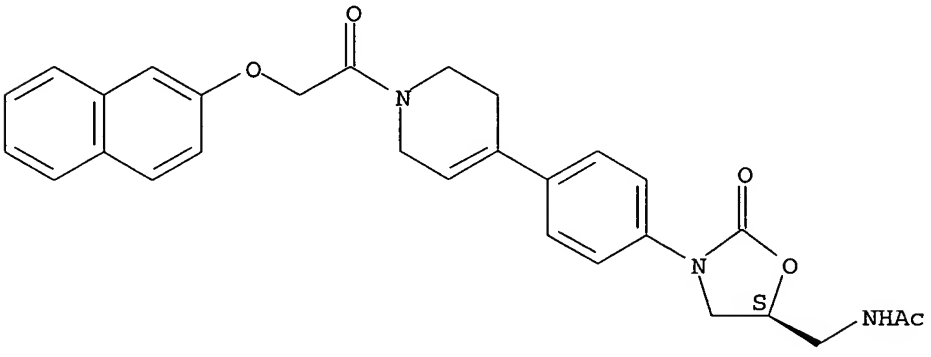
RN 195816-94-5 HCAPLUS
CN Acetamide, N-[[3-[4-[1-(2-cyclopenten-1-ylacetyl)-1,2,3,6-tetrahydro-4-pyridinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



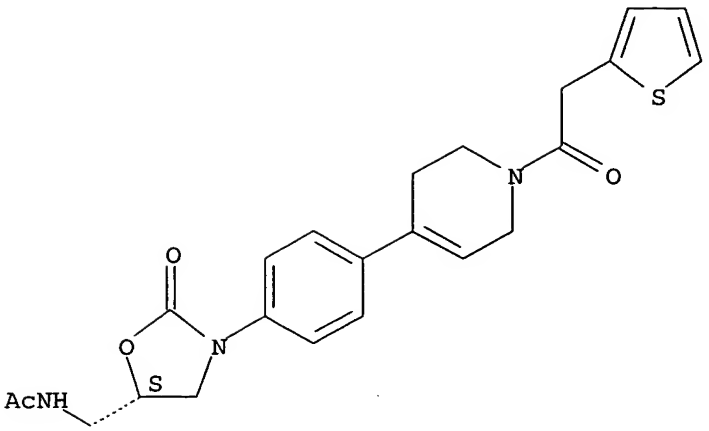
RN 195816-95-6 HCAPLUS
CN Acetamide, N-[[2-oxo-3-[4-[1,2,3,6-tetrahydro-1-[(2-naphthalenyloxy)acetyl]-4-pyridinyl]phenyl]-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



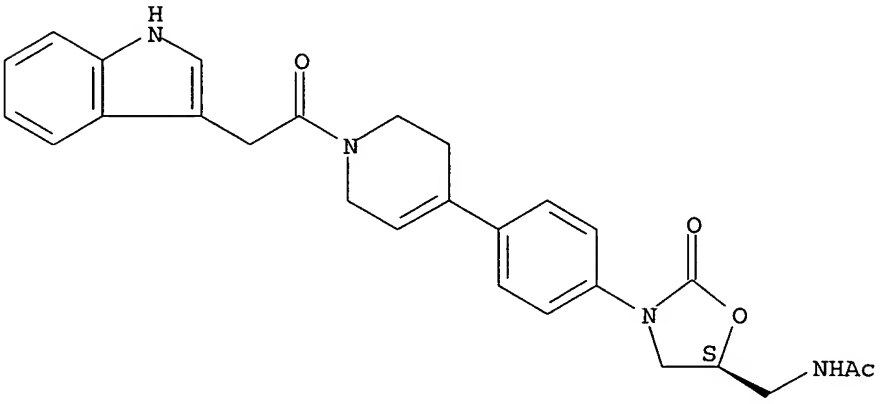
RN 195817-06-2 HCAPLUS
CN Acetamide, N-[[2-oxo-3-[4-[1,2,3,6-tetrahydro-1-(2-thienylacetyl)-4-pyridinyl]phenyl]-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



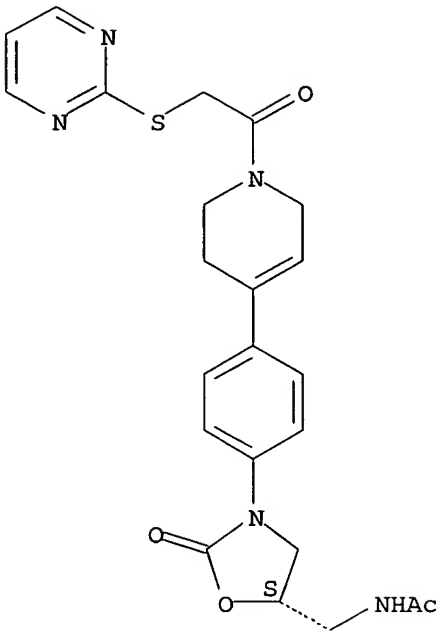
RN 195817-08-4 HCAPLUS
CN Acetamide, N-[[2-oxo-3-[4-[1,2,3,6-tetrahydro-1-(1H-indol-3-ylacetyl)-4-pyridinyl]phenyl]-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



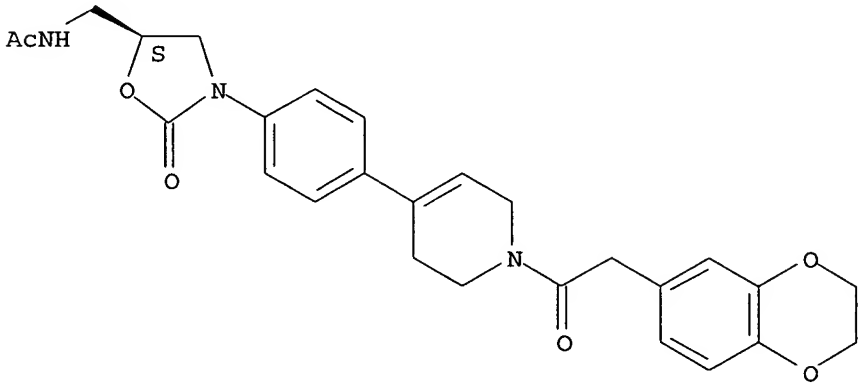
RN 195817-09-5 HCAPLUS
CN Acetamide, N-[[2-oxo-3-[4-[1,2,3,6-tetrahydro-1-[(2-pyrimidinylthio)acetyl]-4-pyridinyl]phenyl]-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



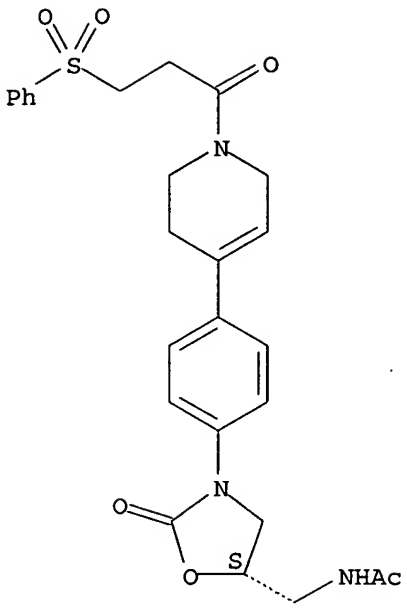
RN 195817-12-0 HCAPLUS
CN Acetamide, N-[[3-[4-[1-[(2,3-dihydro-1,4-benzodioxin-6-yl)acetyl]-1,2,3,6-tetrahydro-4-pyridinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



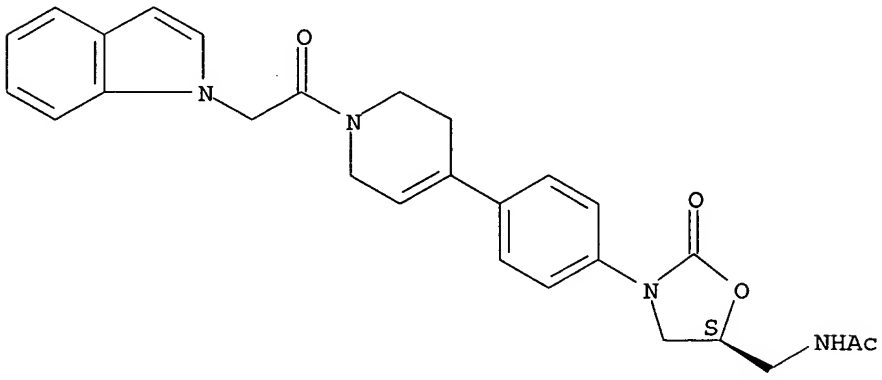
RN 195817-13-1 HCAPLUS
CN Acetamide, N-[[2-oxo-3-[4-[1,2,3,6-tetrahydro-1-[1-oxo-3-(phenylsulfonyl)propyl]-4-pyridinyl]phenyl]-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



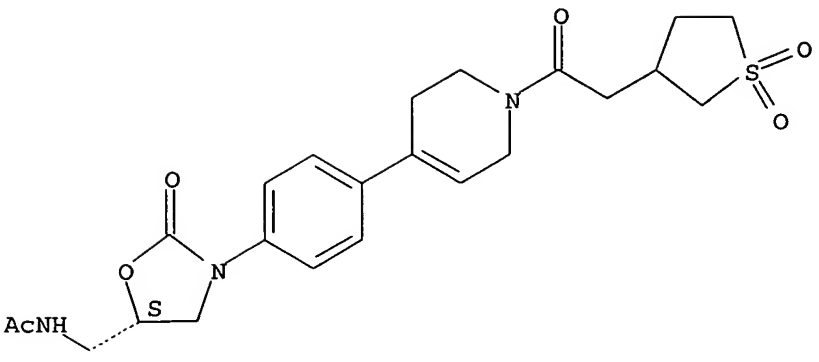
RN 195817-22-2 HCAPLUS
CN Acetamide, N-[[2-oxo-3-[4-[1,2,3,6-tetrahydro-1-(1H-indol-1-ylacetyl)-4-pyridinyl]phenyl]-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 195817-23-3 HCAPLUS
CN Acetamide, N-[[2-oxo-3-[4-[1,2,3,6-tetrahydro-1-[(tetrahydro-1,1-dioxido-3-thienyl)acetyl]-4-pyridinyl]phenyl]-5-oxazolidinyl]methyl]-, (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

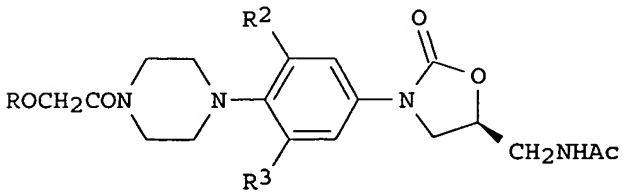


L14 ANSWER 34 OF 40 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:539252 HCAPLUS
DOCUMENT NUMBER: 127:190756
TITLE: Preparation of N-hydroxyacetyl-N'-oxooxazolidinylphenylpiperazines as antibacterials.
INVENTOR(S): Brickner, Steven J.; Barbachyn, Michael R.; Hutchinson, Douglas K.
PATENT ASSIGNEE(S): Pharmacia & Upjohn Co., USA
SOURCE: U.S., 12 pp., Cont.-in-part of U.S. Ser. No. 155,988, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5652238	A	19970729	US 1996-640899	19960509
WO 9514684	A1	19950601	WO 1994-US10582	19940927
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 1993-155988 B2 19931122
WO 1994-US10582 W 19940927

OTHER SOURCE(S): MARPAT 127:190756
GI



I

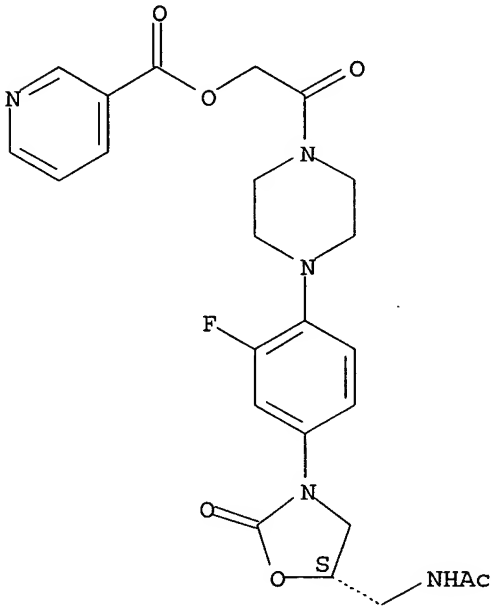
AB Title compds. [I; R = COR1, PO32-, PO3H2; R1 = alkyl, N(R4)2, alkyl-N(R4)2, C6H4N(R4)2, C6H4NHC(O)CH2NH2, C2H4-morpholinyl, pyridinyl, hydroxyalkyl, methoxyalkyl, acetylalkyl, methoxyalkoxy, piperazinyl, piperazinylalkyl (optionally substituted with alkyl), imidazolyl, carboxyalkyl, C(CH2OH)2CH3; R2, R3 = H, F; ≥1 of R2, R3 = F; R4 = H, alkyl], were prepared Thus, hydroxyacetic acid, 2-[4-[4-[5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2,6-difluorophenyl]-1-piperazinyl]-2-oxoethyl ester (preparation given) showed an ED50 = 1 mg/kg orally against Staphylococcus aureus.

IT **170104-51-5P 170104-52-6P 170104-53-7P**
170104-54-8P 170104-56-0P 170104-57-1P
170104-70-8P 170104-77-5P 170104-78-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-hydroxyacetyl-N'-oxooxazolidinylphenylpiperazines as antibacterials)

RN 170104-51-5 HCAPLUS

CN 3-Pyridinecarboxylic acid, 2-[4-[4-[5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester, (S)- (9CI) (CA INDEX NAME)

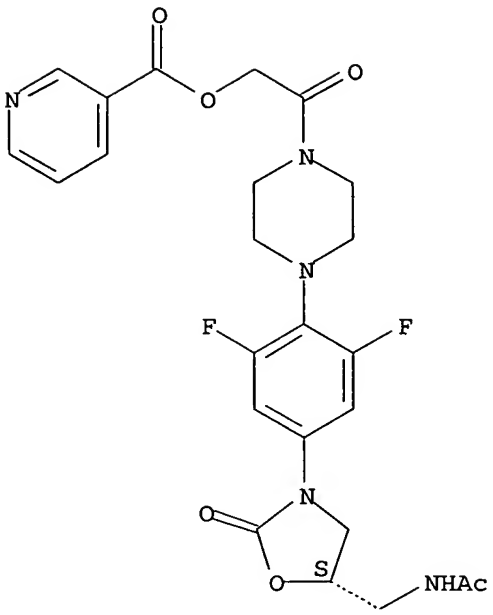
Absolute stereochemistry.



RN 170104-52-6 HCAPLUS

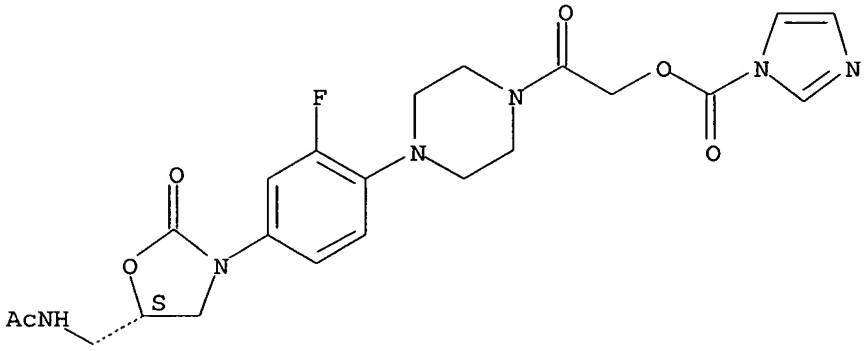
CN 3-Pyridinecarboxylic acid, 2-[4-[4-[5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2,6-difluorophenyl]-1-piperazinyl]-2-oxoethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



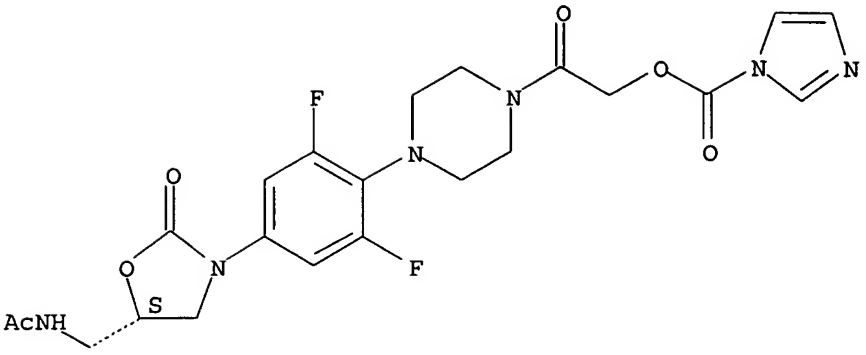
RN 170104-53-7 HCAPLUS
CN 1H-Imidazole-1-carboxylic acid, 2-[4-[4-[5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



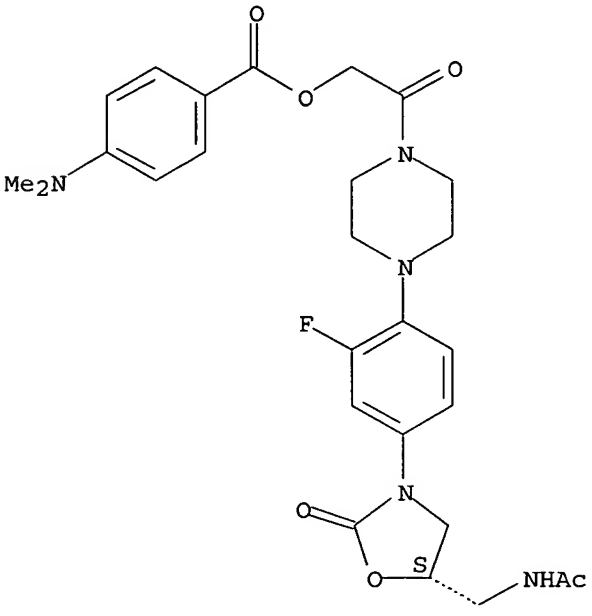
RN 170104-54-8 HCAPLUS
CN 1H-Imidazole-1-carboxylic acid, 2-[4-[4-[5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2,6-difluorophenyl]-1-piperazinyl]-2-oxoethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



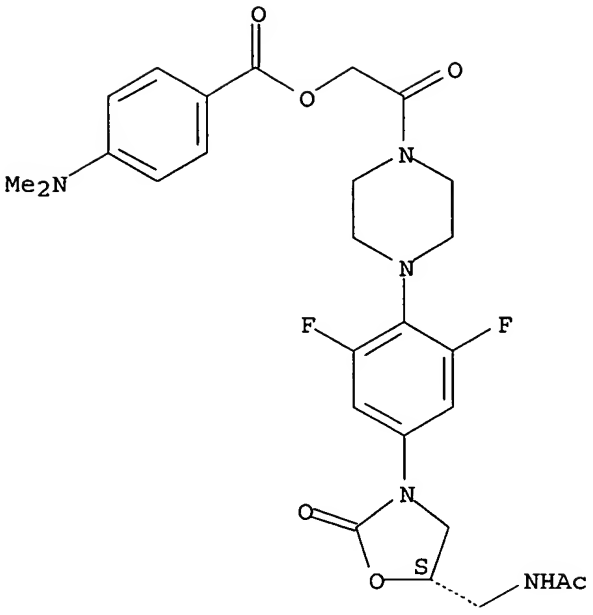
RN 170104-56-0 HCAPLUS
CN Benzoic acid, 4-(dimethylamino)-, 2-[4-[4-[5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



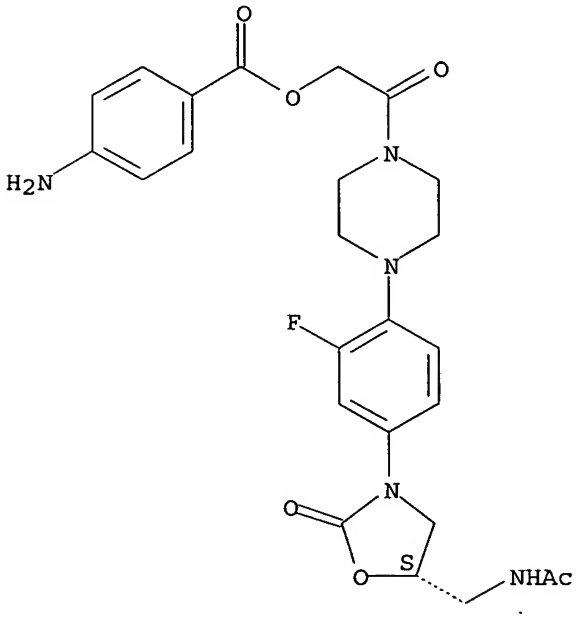
RN 170104-57-1 HCAPLUS
CN Benzoic acid, 4-(dimethylamino)-, 2-[4-[4-[5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2,6-difluorophenyl]-1-piperazinyl]-2-oxoethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



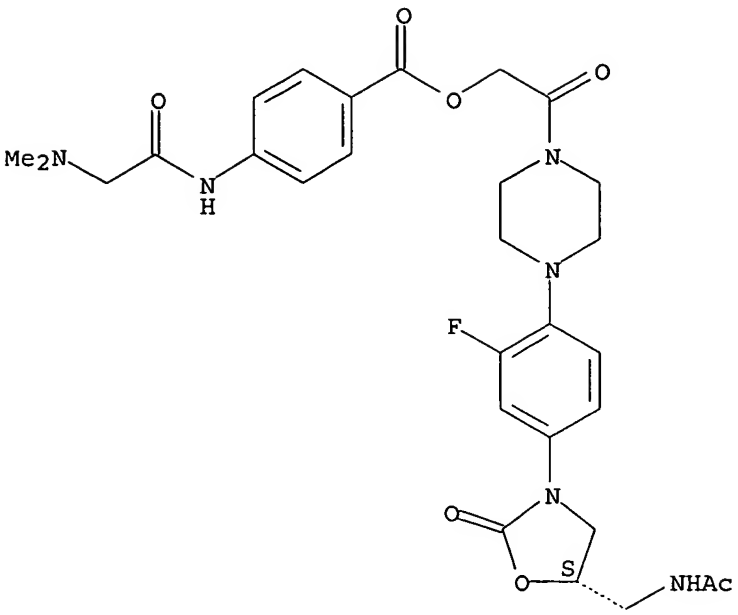
RN 170104-70-8 HCAPLUS
CN Acetamide, N-[[3-[4-[4-[[(4-aminobenzoyl)oxy]acetyl]-1-piperazinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



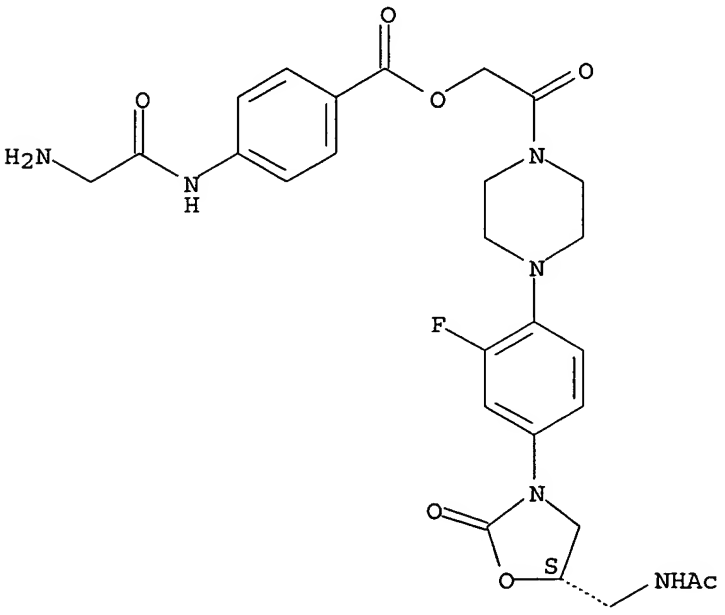
RN 170104-77-5 HCAPLUS
CN Benzoic acid, 4-[[(dimethylamino)acetyl]amino]-, 2-[4-[4-[5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 170104-78-6 HCAPLUS
CN Benzoic acid, 4-[(aminoacetyl)amino]-, 2-[4-[4-[5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

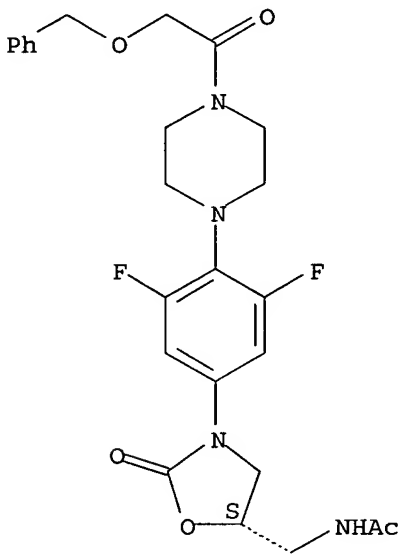


IT **170104-87-7P 170104-90-2P 170104-94-6P 174649-08-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(preparation of N-hydroxyacetyl-N'-oxooxazolidinylphenylpiperazines as antibacterials)

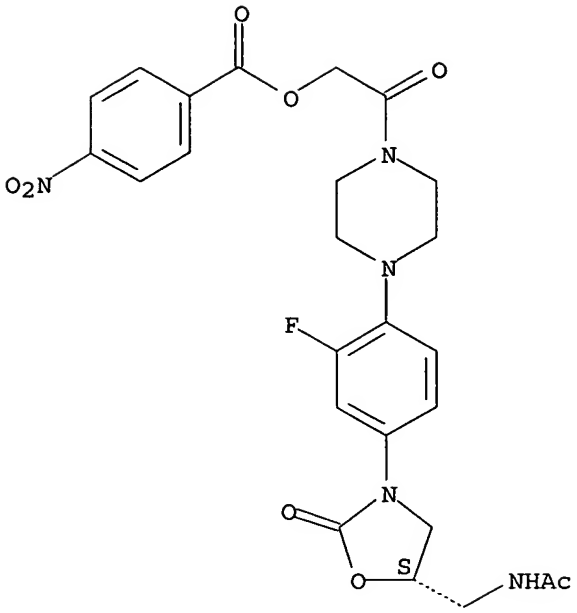
RN 170104-87-7 HCAPLUS
CN Acetamide, N-[[3-[3,5-difluoro-4-[4-[(phenylmethoxy)acetyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



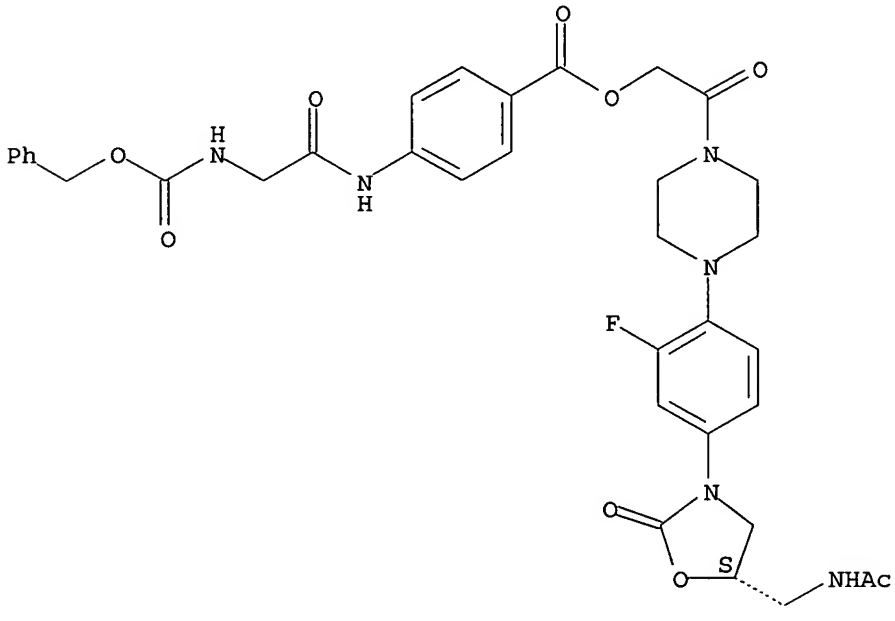
RN 170104-90-2 HCAPLUS
CN Acetamide, N-[[3-[3-fluoro-4-[4-[[(4-nitrobenzoyl)oxy]acetyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



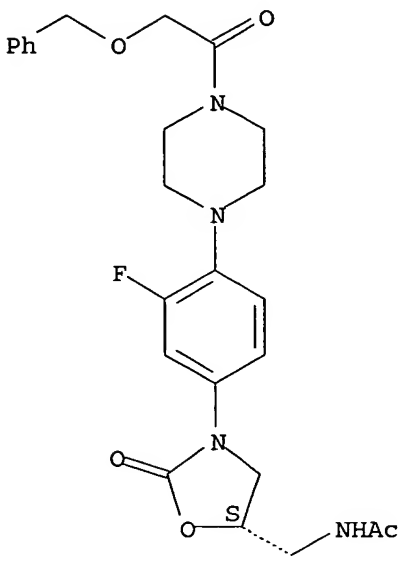
RN 170104-94-6 HCAPLUS
CN Benzoic acid, 4-[[[[(phenylmethoxy)carbonyl]amino]acetyl]amino]-, 2-[4-[4-[5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 174649-08-2 HCAPLUS
CN Acetamide, N-[[3-[3-fluoro-4-[4-[(phenylmethoxy)acetyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

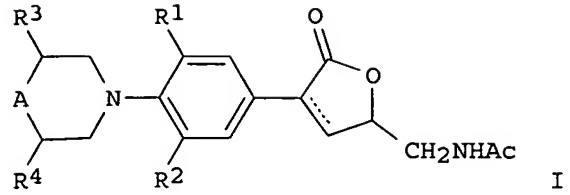
Absolute stereochemistry.



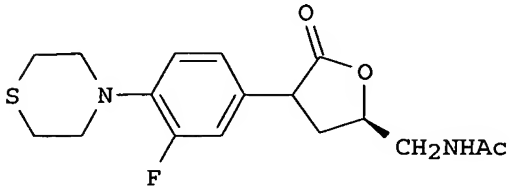
L14 ANSWER 35 OF 40 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:369757 HCAPLUS
DOCUMENT NUMBER: 126:343482
TITLE: Preparation of 5-(acetamidomethyl)-3-aryldihydrofuran-2-one and tetrahydrofuran-2-one derivatives with antibiotic activity
INVENTOR(S): Gravestock, Michael Barry
PATENT ASSIGNEE(S): Zeneca Limited, UK; Gravestock, Michael Barry
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9714690	A1	19970424	WO 1996-GB2504	19961015
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG				
AU 9672248	A1	19970507	AU 1996-72248	19961015
EP 858453	A1	19980819	EP 1996-933552	19961015
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 11513680	T2	19991124	JP 1996-515591	19961015
PRIORITY APPLN. INFO.:			GB 1995-21508 A	19951020
			WO 1996-GB2504 W	19961015

OTHER SOURCE(S): MARPAT 126:343482
GI



I



II

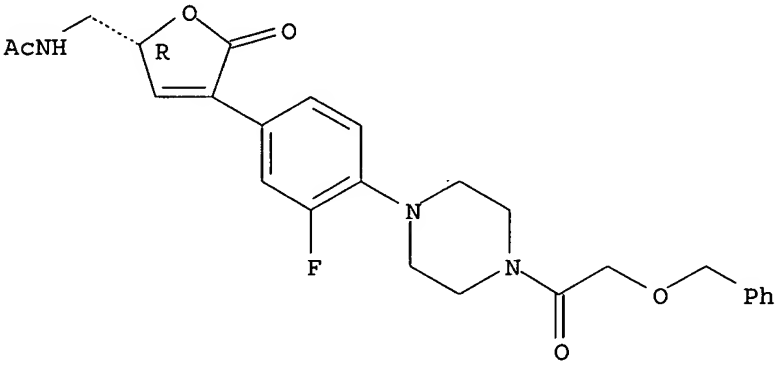
AB Furanone compds. of formula I [R1, R2 = H, F; R3, R4 = H, Me; A = O, S, SO, SO2, (substituted) NH] are prepared as antibacterial agents. Thus, II was prepared in 8 steps from thiomorpholine, 3,4-difluoroacetophenone, and (S)-(2,2-dimethyl-1,3-dioxan-4-yl)iodomethane. II showed activity against Staphylococcus aureus, coagulase neg. Staphylococcus, Streptococcus pyogenes, Enterococcus faecalis and Bacillus subtilus.

IT **189763-93-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (acetamidomethyl)arylfuran-2-one derivs. with antibiotic activity)

RN 189763-93-7 HCAPLUS

CN Acetamide, N-[[4-[3-fluoro-4-[4-[(phenylmethoxy)acetyl]-1-piperazinyl]phenyl]-2,5-dihydro-5-oxo-2-furanyl]methyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 36 OF 40 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:302929 HCAPLUS

DOCUMENT NUMBER: 126:277463

TITLE: Phenyloxazolidinones having a C-C bond to 4-8 membered heterocyclic rings, and their use as antimicrobials.

INVENTOR(S): Hutchinson, Douglas K.; Ennis, Michael D.; Hoffman, Robert L.; Thomas, Richard C.; Poel, Toni-Jo;

Barbachyn, Michael Robert; Brickner, Steven J.; Anderson, David J.
PATENT ASSIGNEE(S): Upjohn Co., USA
SOURCE: PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9709328	A1	19970313	WO 1996-US12766	19960813
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA				
CA 2228647	AA	19970313	CA 1996-2228647	19960813
AU 9667181	A1	19970327	AU 1996-67181	19960813
AU 716493	B2	20000224		
EP 856002	A1	19980805	EP 1996-927316	19960813
EP 856002	B1	20011024		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
CN 1197457	A	19981028	CN 1996-197155	19960813
CN 1072222	B	20011003		
BR 9610474	A	19990302	BR 1996-10474	19960813
JP 11512386	T2	19991026	JP 1996-511190	19960813
NZ 315469	A	20000128	NZ 1996-315469	19960813
RU 2175324	C2	20011027	RU 1998-105678	19960813
AT 207487	E	20011115	AT 1996-927316	19960813
ES 2165516	T3	20020316	ES 1996-927316	19960813
SK 283487	B6	20030805	SK 1998-195	19960813
PL 186524	B1	20040130	PL 1996-325152	19960813
ZA 9606935	A	19980216	ZA 1996-6935	19960815
TW 419468	B	20010121	TW 1996-85110539	19960829
FI 9800452	A	19980227	FI 1998-452	19980227
NO 9800855	A	19980430	NO 1998-855	19980227
NO 311520	B1	20011203		
US 6166056	A	20001226	US 1998-138205	19980824
HK 1014946	A1	20020301	HK 1999-100058	19990107
US 6051716	A	20000418	US 1999-247346	19990210
US 6043266	A	20000328	US 1999-313468	19990517
US 6313307	B1	20011106	US 2000-518788	20000303
US 6358942	B1	20020319	US 2000-713670	20001115
US 2005054683	A1	20050310	US 2003-470575	20030322

PRIORITY APPLN. INFO.:

US 1995-3149P	P	19950901
US 1996-696313	A3	19960813
WO 1996-US12766	W	19960813
US 1998-138205	A3	19980824
US 1999-247347	A1	19990210
US 2000-518701	B1	20000303

OTHER SOURCE(S): MARPAT 126:277463
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

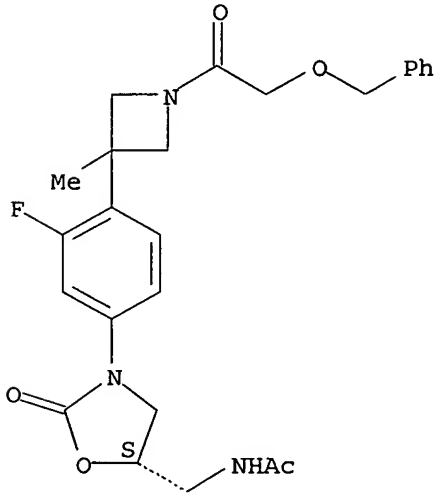
AB Compds. of formula I, or their pharmaceutically acceptable salts, are claimed [wherein X = NR1, S(O)g, or O; R1 = H, C1-6 alkyl [(un)substituted with 1 or more OH, cyano, or halo], arylalkyl, acyl, CO2H or derivs., acyl, heterocyclyl, etc.; R2 = H, C1-6 alkyl, aralkyl, halo; R3, R4 = H or halo; R5 = H, C1-12 (halo)alkyl, C3-12 cycloalkyl, C1-6 alkoxy; m, n = 0-5; (m+n) = 1-5]. The compds. are useful as antimicrobial agents. For instance, Et cyanoacetate was arylated with 3,4-F2C6H3NO2 and alkylated with MeI (100%), followed by hydrogenation of the nitrile and nitro groups (97%), cyclization to an azetidinone (60%), reduction of the amide carbonyl, protection of both ring and sidechain N atoms as the di-Cbz derivative (51%), lithiation with BuLi, and reaction with (R)-glycidyl butyrate (64%), to give intermediate alc. II. This alc. was converted to its mesylate ester (100%), which was ammonolyzed, followed by N-acetylation (84%), hydrogenolysis (99%), and reaction with Me chloroformate (77%), to give title compound III. This compound had an ED50 comparable to vancomycin (5.00 mg/kg vs. 3.00 mg/kg, resp.) against Staphylococcus aureus, in vivo in mice.

IT **188974-24-5P 188974-27-8P 188974-30-3P**
188974-46-1P 188974-53-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of (heterocyclylphenyl)oxazolidinone derivs. as antibacterials)

RN 188974-24-5 HCAPLUS

CN Acetamide, N-[[3-[3-fluoro-4-[3-methyl-1-[(phenylmethoxy)acetyl]-3-azetidinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

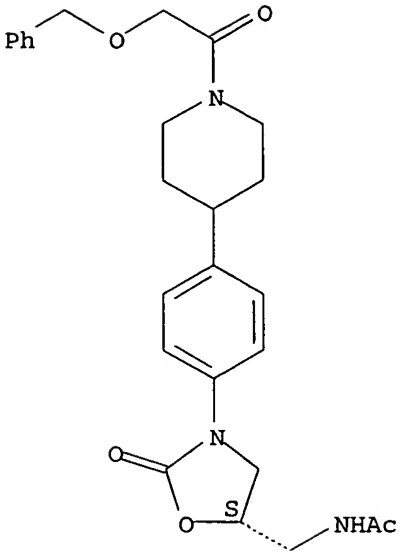
Absolute stereochemistry. Rotation (-).



RN 188974-27-8 HCAPLUS

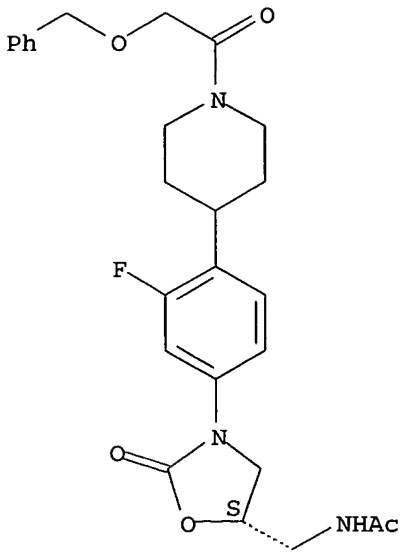
CN Acetamide, N-[[2-oxo-3-[4-[1-[(phenylmethoxy)acetyl]-4-piperidinyl]phenyl]-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



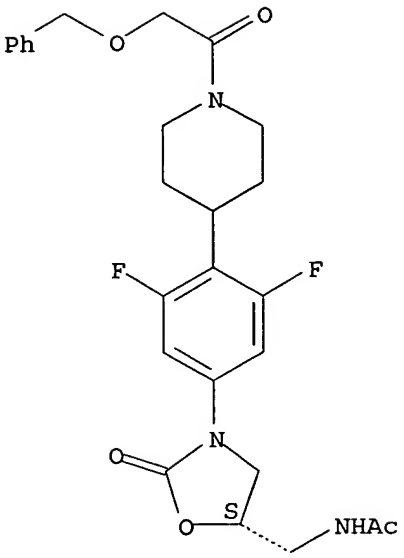
RN 188974-30-3 HCAPLUS
CN Acetamide, N-[[3-[3-fluoro-4-[1-[(phenylmethoxy)acetyl]-4-piperidinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



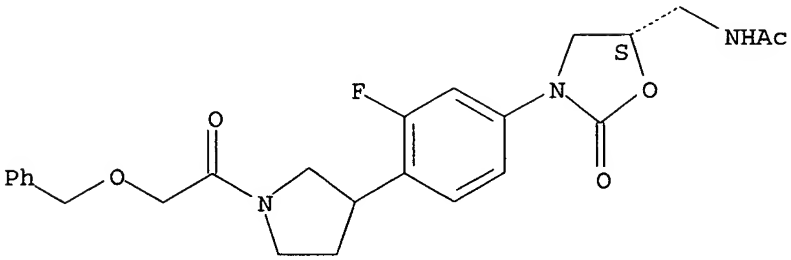
RN 188974-46-1 HCAPLUS
CN Acetamide, N-[[3-[3,5-difluoro-4-[1-[(phenylmethoxy)acetyl]-4-piperidinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 188974-53-0 HCAPLUS
CN Acetamide, N-[[(5S)-3-[3-fluoro-4-[1-[(phenylmethoxy)acetyl]-3-pyrrolidinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



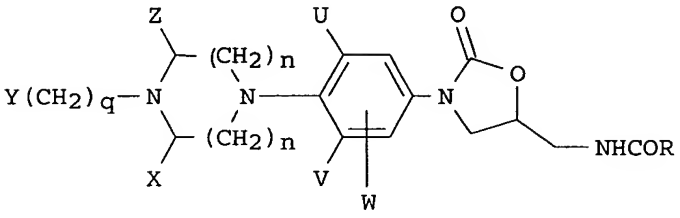
L14 ANSWER 37 OF 40 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:537790 HCAPLUS
DOCUMENT NUMBER: 125:221870
TITLE: (Piperazinylphenyl)oxazolidinone antimicrobials
INVENTOR(S): Hutchinson, Douglas K.; Barbachyn, Michael R.; Brickner, Steven J.; Gammill, Ronald B.; Patel, Mahesh V.
PATENT ASSIGNEE(S): Upjohn Co., USA
SOURCE: U.S., 19 pp., Cont.-in-part of U.S. Ser. No. 880, 432, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5547950	A	19960820	US 1994-332822	19941031

HU 72296	A2	19960429	HU 1994-3208		19930421
CZ 281884	B6	19970312	CZ 1994-2505		19930421
PT 640077	T	20021129	PT 1993-912267		19930421
ES 2180545	T3	20030216	ES 1993-912267		19930421
ZA 9302855	A	19941024	ZA 1993-2855		19930422
IL 105555	A1	19980715	IL 1993-105555		19930429
CN 1079964	A	19931229	CN 1993-105039		19930508
CN 1044236	B	19990721			
US 5700799	A	19971223	US 1996-610031		19960304
LV 13075	B	20040120	LV 2003-70		20030626
PRIORITY APPLN. INFO.:			US 1992-880432	B2	19920508
			US 1994-332822	A3	19941031

OTHER SOURCE(S): MARPAT 125:221870

GI



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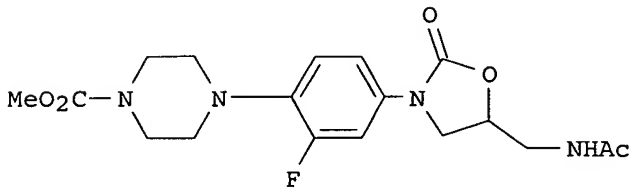


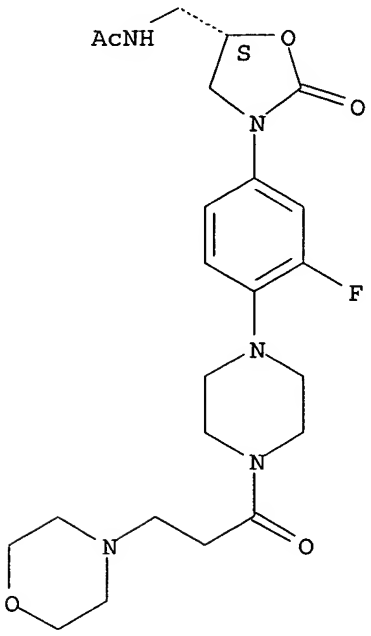
II

AB Title compds. I or pharmaceutically acceptable salts thereof wherein: each n is independently 1 to 3; Y is chosen from, e.g., (a) C(O)C1-6 alkyl, C(O)OC1-6 alkyl or benzoyl, (b) N(R3)2 where R3 is independently hydrogen, C1-4 alkyl or Ph which can be substituted with one to three F, Cl, OCH3, OH, NH2, or C1-4 alkyl, wherein each occurrence of said C1-6 alkyl may be substituted with one or more F, Cl, Br, I, OR1, CO2R1, CN, SR1, or R1 (where R1 is a hydrogen or C1-4 alkyl); X and Z are independently C1-6 alkyl, C3-12 cycloalkyl or hydrogen, or X and Z form a C0-3 bridging group, preferably X and Z are hydrogen; U, V and W are independently C1-6 alkyl, F, Cl, Br, hydrogen or a C1-6 alkyl substituted with one or more of F, Cl, Br or I, preferably U and V are F and W is hydrogen; R is hydrogen, C1-12 alkyl, C3-12 cycloalkyl, C1-6 alkoxy, C1-6 alkyl substituted with one or more F, Cl, Br, I or OH; and q is 0 to 4 inclusive, are useful antimicrobial agents, effective against a number of human and veterinary pathogens, including multiply-resistant staphylococci and streptococci, as well as anaerobic organisms such as bacteroides and clostridia species, and acid-fast organisms such as Mycobacterium tuberculosis and Mycobacterium avium. Thus, e.g., arylation of piperazine with 3,4-difluoronitrobenzene afforded 1-(2-fluoro-4-nitrophenyl)piperazine; Boc protection followed by reduction provided 1-(tert-butoxycarbonyl)-4-(2-fluoro-4-aminophenyl)piperazine; the latter was converted to the Cbz

derivative and then allylated to give 1-(tert-butoxycarbonyl)-4-(2-fluoro-4-benzyloxycarbonylallylamino)piperazine; dihydroxylation followed by cyclization afforded 3-[3-fluoro-4-(4-tert-butoxycarbonylpiperazin-1-yl)phenyl]-5-hydroxymethyl-2-oxazolidinone; the 5-hydroxymethyl group was converted to a 5-acetylaminomethyl group by mesylation, azidification, hydrogenation, and acetylation; finally, Boc deprotection followed by treatment with MeO2CCl afforded oxazolidinone II which exhibited antibacterial activity ED50 of 1.8 mg/kg PO against S. aureus vs. 1.8 mg/kg SC for vancomycin, and 2.3 mg/kg PO against S. pyogenes vs. 2.6 mg/kg SC for clindamycin.

IT **154590-97-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
((piperazinylphenyl)oxazolidinone antimicrobials)
RN 154590-97-3 HCAPLUS
CN Acetamide, N-[[3-[3-fluoro-4-[4-[3-(4-morpholinyl)-1-oxopropyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 38 OF 40 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:58412 HCAPLUS
DOCUMENT NUMBER: 124:232297
TITLE: Synthesis and Antibacterial Activity of U-100592 and U-100766, Two Oxazolidinone Antibacterial Agents for the Potential Treatment of Multidrug-Resistant Gram-Positive Bacterial Infections
AUTHOR(S): Brickner, Steven J.; Hutchinson, Douglas K.; Barbachyn, Michael R.; Manninen, Peter R.; Ulanowicz, Debra A.; Garmon, Stuart A.; Grega, Kevin C.; Hendges, Susan K.; Toops, Dana S.; et al.
CORPORATE SOURCE: Upjohn Laboratories, Upjohn Company, Kalamazoo, MI,

49001, USA
SOURCE: Journal of Medicinal Chemistry (1996), 39(3), 673-9
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

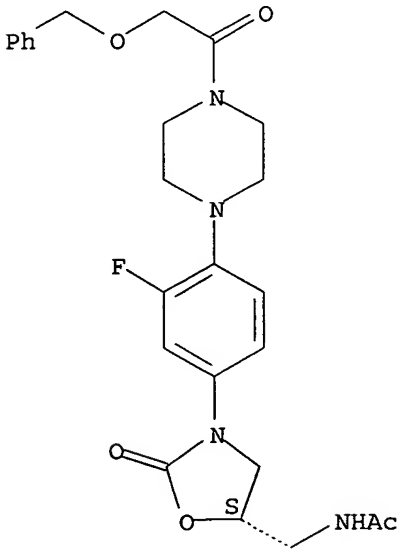
AB Bacterial resistance development has become a very serious clin. problem for many classes of antibiotics. The 3-aryl-2-oxazolidinones are a relatively new class of synthetic antibacterial agents, having a new mechanism of action which involves very early inhibition of bacterial protein synthesis. Two potent, synthetic oxazolidinones, U-100592 [i.e., (S)-N-[[3-[3-fluoro-4-[4-(hydroxyacetyl)-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide] and U-100766 [i.e., (S)-N-[[3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide] were prepared, which are currently in clin. development for the treatment of serious multidrug-resistant Gram-pos. bacterial infections caused by strains of staphylococci, streptococci, and enterococci. The in vitro and in vivo (po and i.v.) activities of U-100592 and U-100766 against representative strains are similar to those of vancomycin. U-100592 and U-100766 demonstrate potent in vitro activity against Mycobacterium tuberculosis. A novel and practical asym. synthesis of (5S)-(acetamidomethyl)-2-oxazolidinones was developed and was employed for the synthesis of U-100592 and U-100766. This involved the reaction of N-lithioarylcarbamates with (R)-glycidyl butyrate, resulting in excellent yields and high enantiomeric purity of the intermediate (R)-5-(hydroxymethyl)-2-oxazolidinones.

IT **174649-08-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and bactericidal activity of U-100592 and U-100766)

RN 174649-08-2 HCAPLUS

CN Acetamide, N-[[3-[3-fluoro-4-[4-[(phenylmethoxy)acetyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

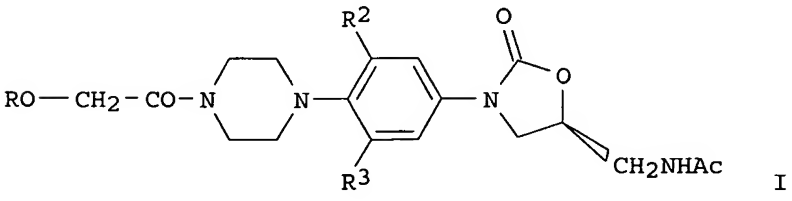
Absolute stereochemistry.



L14 ANSWER 39 OF 40 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:909447 HCAPLUS
DOCUMENT NUMBER: 123:314020
TITLE: Esters of substituted-hydroxyacetyl piperazine phenyl oxazolidinones as antimicrobials
INVENTOR(S): Brickner, Steven J.; Barbachyn, Michel R.; Hutchinson, Douglas K.
PATENT ASSIGNEE(S): Upjohn Co., USA
SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9514684	A1	19950601	WO 1994-US10582	19940927
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2174107	AA	19950601	CA 1994-2174107	19940927
CA 2174107	C	20050412		
AU 9480103	A1	19950613	AU 1994-80103	19940927
AU 698699	B2	19981105		
EP 730591	A1	19960911	EP 1994-931278	19940927
EP 730591	B1	19990714		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1135752	A	19961113	CN 1994-194241	19940927
CN 1046276	B	19991110		
JP 09505582	T2	19970603	JP 1995-515048	19940927
JP 3698724	B2	20050921		
AT 182142	E	19990715	AT 1994-931278	19940927
ES 2133588	T3	19990916	ES 1994-931278	19940927
ZA 9407885	A	19960409	ZA 1994-7885	19941007
TW 427987	B	20010401	TW 1994-83109509	19941013
US 5652238	A	19970729	US 1996-640899	19960509
GR 3031420	T3	20000131	GR 1999-402509	19991007
LV 12538	B	20001220	LV 2000-91	20000714
PRIORITY APPLN. INFO.:			US 1993-155988 A2	19931122
			WO 1994-US10582 W	19940927

OTHER SOURCE(S): MARPAT 123:314020
GI



I

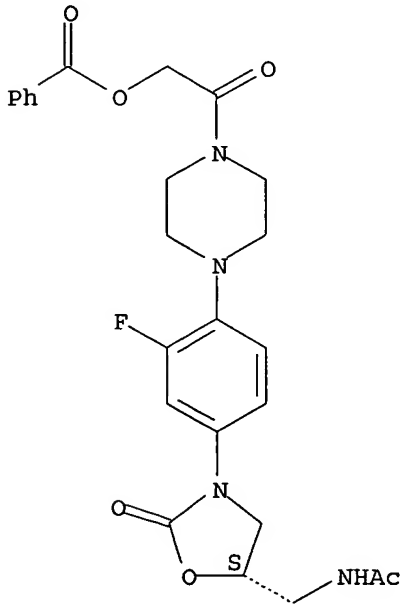
AB Compds. I and pharmaceutically acceptable salts are claimed [wherein R =

COR1, PO3, or P(O)(OH)2; R1 = C1-6 alkyl, N(R4)2, C1-6 alkyl-N(R4)2, -C6H4N(R4)2, C6H4NHCOCH2NH2, C2H4-morpholinyl, pyridinyl, C1-6 alkyl-OH, C1-6 alkyl-OMe, C1-6 alkyl-Ac, OC1-6 alkyl-OMe, C0-3 alkyl-piperazinyl (optionally substituted with C1-3), imidazolyl, C1-6 alkyl-CO2H, C(CH2OH)2CH3; R2 and R3 = H or F (1 or both must = F); R4 = H or C1-6 alkyl], and 30 examples were prepared and tested. The compds. are water soluble (data given), and are useful antimicrobial agents, effective against a number of human and veterinary pathogens, including multiply-resistant staphylococci, enterococci and streptococci, as well as anaerobic organisms such as bacteroides and clostridia species, and acid-fast organisms such as Mycobacterium tuberculosis. For example, reaction of (S)-N-[[3-[3-fluoro-4-(1-piperazinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide with PhCH2OCH2COCl and Et3N gave I (R = PhCH2, R2 = H, R3 = F), which underwant hydrogenolysis over Pd/C to give 86.5% I (R = R2 = H, R3 = F). Reaction of this with carbonyldiimidazole in THF gave 82% I (R = Q, R2 = H, R3 = F) (II), which had aqueous solubility of 1.4
mg/mL in phosphate buffer at pH 7. In a test against lethal infection of mice with Staphylococcus aureus, II had an oral and s.c. ED50 of 2 mg/kg, equivalent to that of vancomycin s.c. in the same test.

IT **170104-80-0P 170104-87-7P 170104-90-2P**
170104-94-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of esters of [[(hydroxyacetyl)piperazinyl]phenyl] oxazolidinones as antimicrobials)

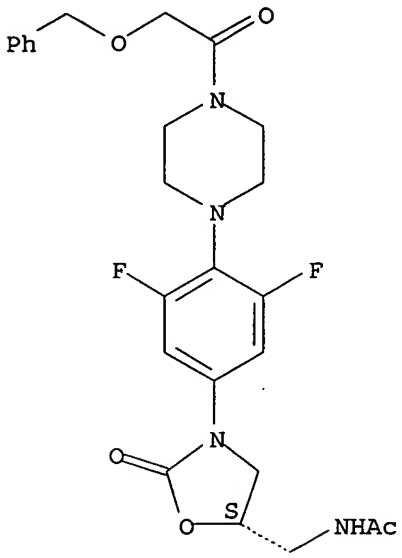
RN 170104-80-0 HCAPLUS
CN Acetamide, N-[[3-[4-[4-[(benzoyloxy)acetyl]-1-piperazinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



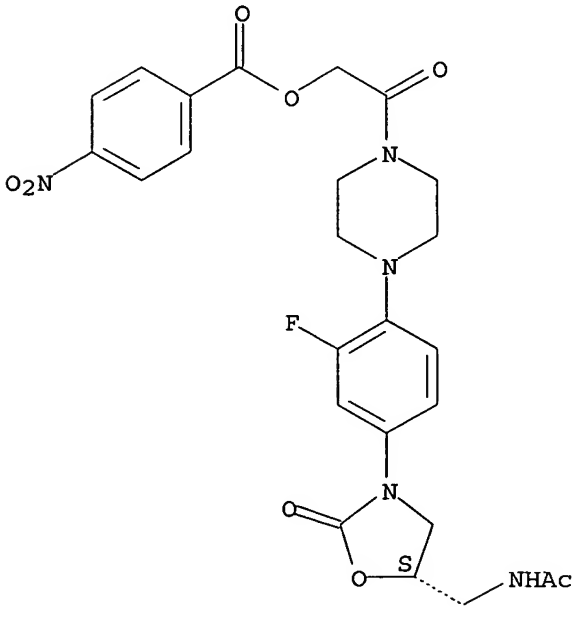
RN 170104-87-7 HCAPLUS
CN Acetamide, N-[[3-[3,5-difluoro-4-[4-[(phenylmethoxy)acetyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



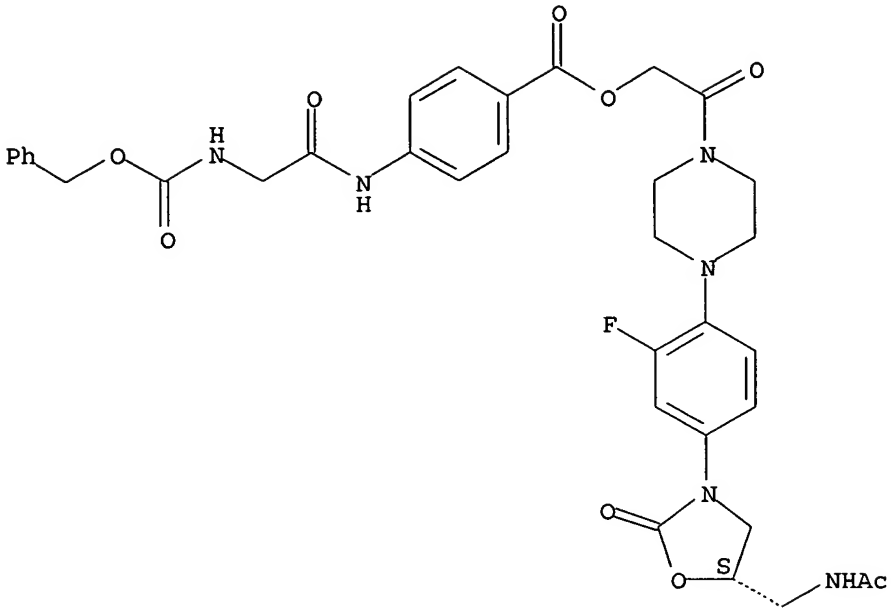
RN 170104-90-2 HCAPLUS
CN Acetamide, N-[[3-[3-fluoro-4-[4-[[(4-nitrobenzoyl)oxy]acetyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



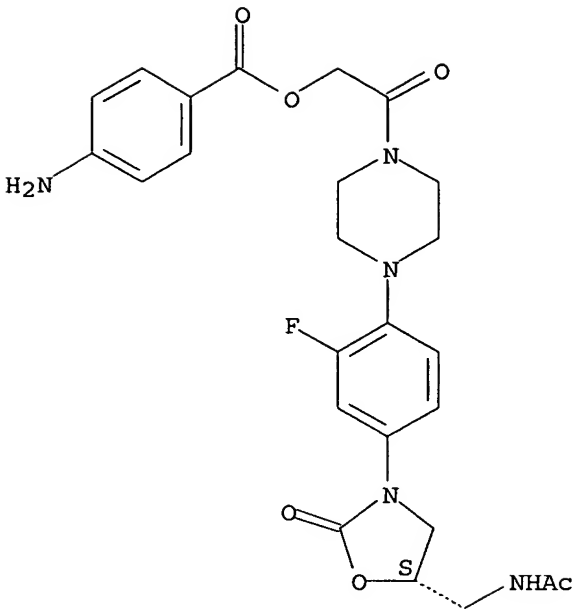
RN 170104-94-6 HCAPLUS
CN Benzoic acid, 4-[[[[(phenylmethoxy)carbonyl]amino]acetyl]amino]-, 2-[4-[4-[5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **170104-70-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of esters of [[(hydroxyacetyl)piperazinyl]phenyl]oxazolidinones as antimicrobials)
RN 170104-70-8 HCAPLUS
CN Acetamide, N-[[3-[4-[4-[[(4-aminobenzoyl)oxy]acetyl]-1-piperazinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

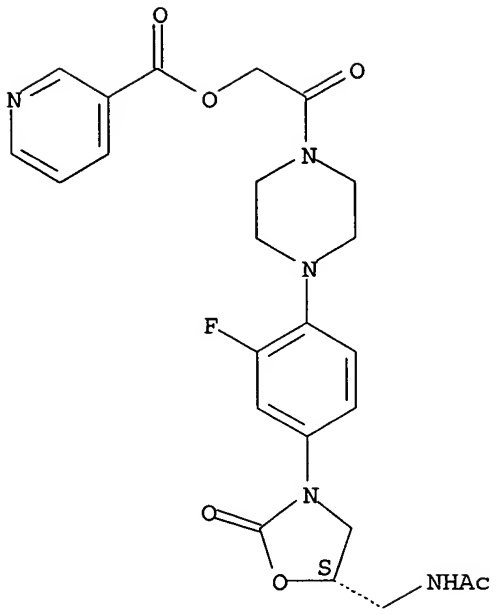


IT **170104-51-5P 170104-52-6P 170104-53-7P**
170104-56-0P 170104-57-1P 170104-77-5P
170104-78-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of esters of [[(hydroxyacetyl)piperazinyl]phenyl]oxazolidinones as antimicrobials)

RN 170104-51-5 HCAPLUS

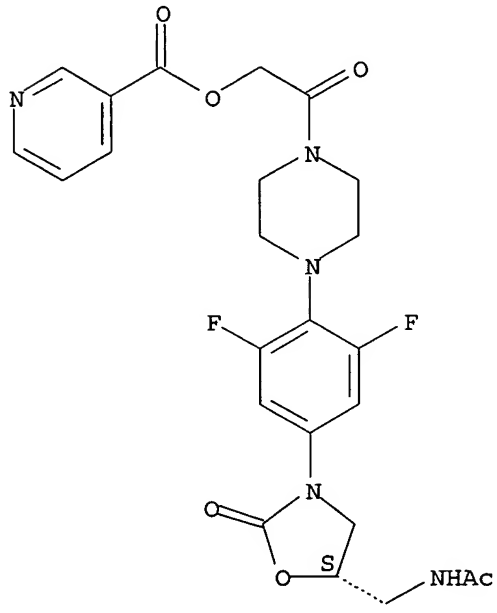
CN 3-Pyridinecarboxylic acid, 2-[4-[4-[5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



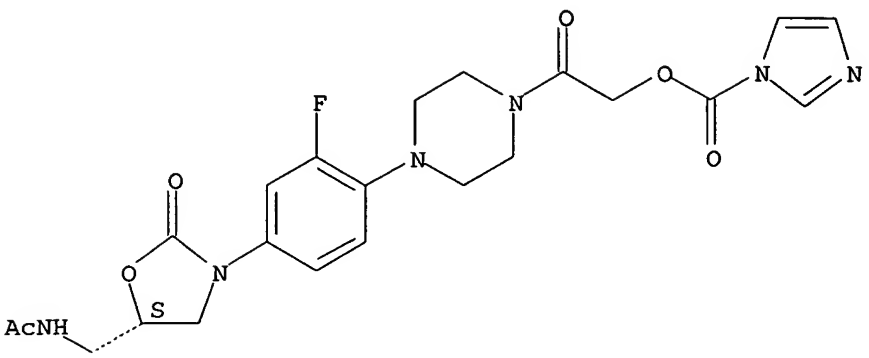
RN 170104-52-6 HCAPLUS
CN 3-Pyridinecarboxylic acid, 2-[4-[4-[5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2,6-difluorophenyl]-1-piperazinyl]-2-oxoethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



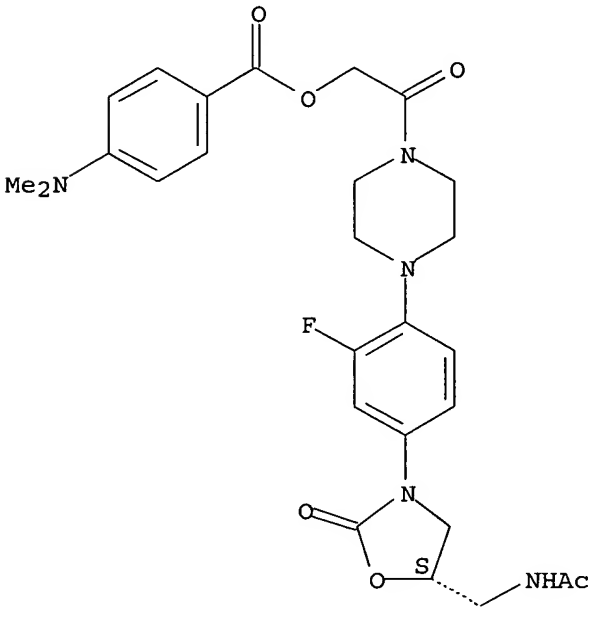
RN 170104-53-7 HCAPLUS
CN 1H-Imidazole-1-carboxylic acid, 2-[4-[4-[5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



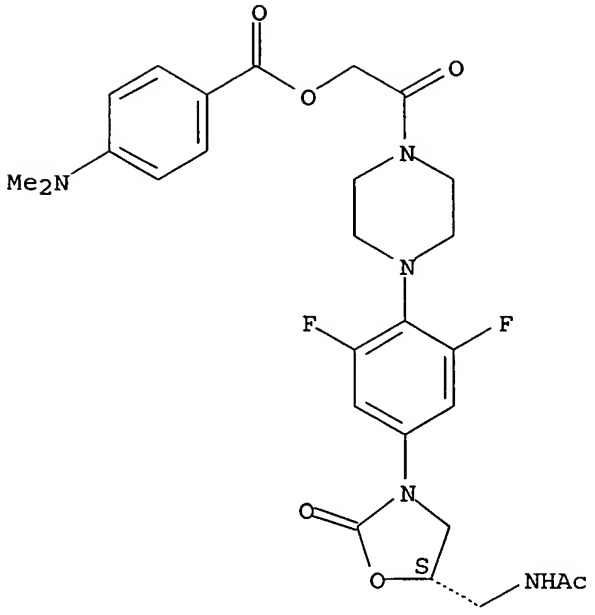
RN 170104-56-0 HCAPLUS
CN Benzoic acid, 4-(dimethylamino)-, 2-[4-[4-[5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



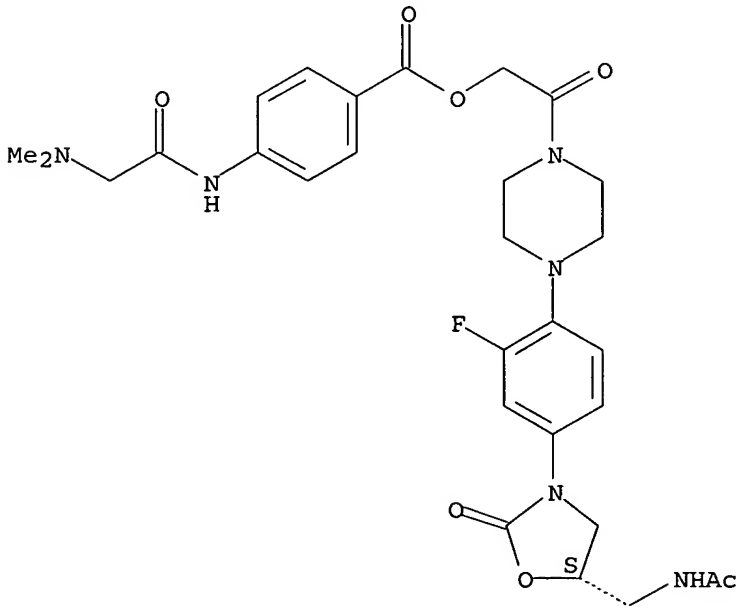
RN 170104-57-1 HCAPLUS
CN Benzoic acid, 4-(dimethylamino)-, 2-[4-[4-[5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2,6-difluorophenyl]-1-piperazinyl]-2-oxoethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



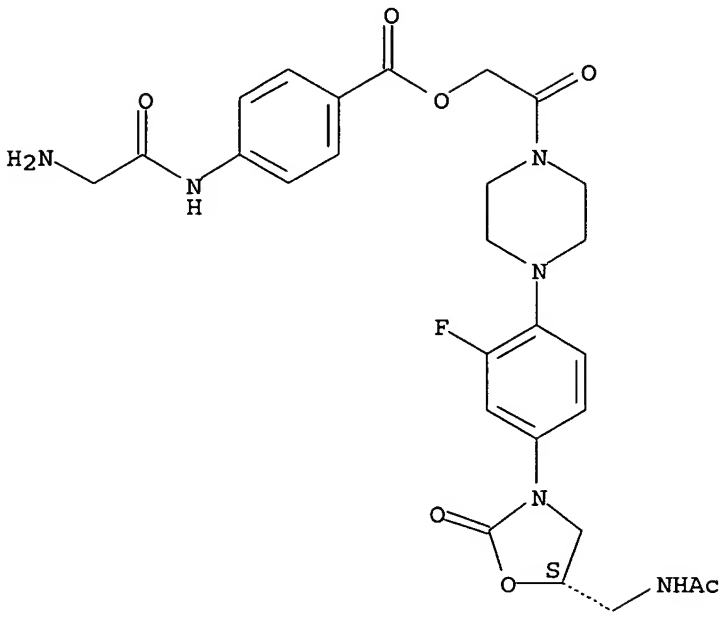
RN 170104-77-5 HCAPLUS
CN Benzoic acid, 4-[[(dimethylamino)acetyl]amino]-, 2-[4-[4-[5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



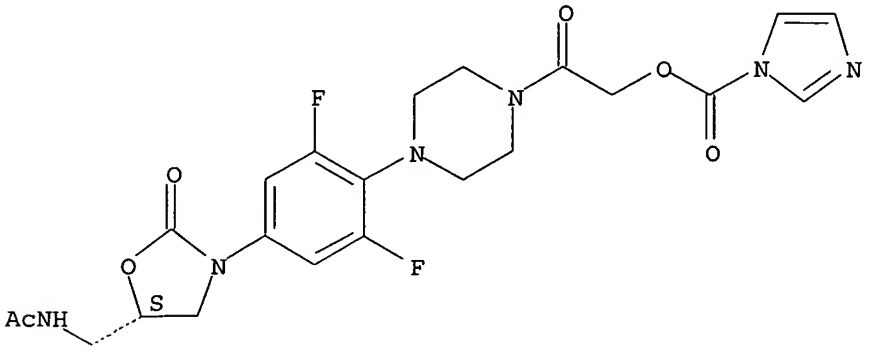
RN 170104-78-6 HCAPLUS
CN Benzoic acid, 4-[(aminoacetyl)amino]-, 2-[4-[4-[5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinyl]-2-oxoethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **170104-54-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of esters of [[(hydroxyacetyl)piperazinyl]phenyl]oxazolidinones as antimicrobials)
RN 170104-54-8 HCAPLUS
CN 1H-Imidazole-1-carboxylic acid, 2-[4-[4-[5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2,6-difluorophenyl]-1-piperazinyl]-2-oxoethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 40 OF 40 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1994:323599 HCAPLUS
DOCUMENT NUMBER: 120:323599
TITLE: Oxazolidinones antibiotics containing a substituted

diazine moiety

INVENTOR(S): Hutchinson, Douglas K.; Brickner, Steven Joseph; Barbachyn, Michael Robert; Gammill, Ronald B.; Patel, Mahest V.

PATENT ASSIGNEE(S): Upjohn Co., USA

SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9323384	A1	19931125	WO 1993-US3570	19930421
W: AT, AU, BB, BG, BR, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
SK 283420	B6	20030701	SK 1994-1337	19920421
AU 9342877	A1	19931213	AU 1993-42877	19930421
AU 668733	B2	19960516		
EP 640077	A1	19950301	EP 1993-912267	19930421
EP 640077	B1	20020626		
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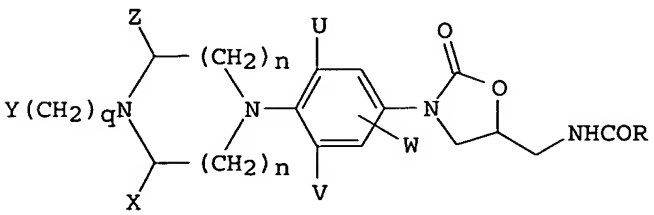
JP 07506829	T2	19950727	JP 1993-520226	19930421
JP 3255920	B2	20020212		
HU 72296	A2	19960429	HU 1994-3208	19930421
CZ 281884	B6	19970312	CZ 1994-2505	19930421
RU 2105003	C1	19980220	RU 1994-46011	19930421
PL 174850	B1	19980930	PL 1993-321588	19930421
PL 174909	B1	19981030	PL 1993-306030	19930421
AT 219770	E	20020715	AT 1993-912267	19930421
PT 640077	T	20021129	PT 1993-912267	19930421
ES 2180545	T3	20030216	ES 1993-912267	19930421
CA 2133079	C	20040803	CA 1993-2133079	19930421
ZA 9302855	A	19941024	ZA 1993-2855	19930422
IL 105555	A1	19980715	IL 1993-105555	19930429
CN 1079964	A	19931229	CN 1993-105039	19930508
CN 1044236	B	19990721		
NO 9404237	A	19950104	NO 1994-4237	19941107
NO 306112	B1	19990920		
FI 9405246	A	19941108	FI 1994-5246	19941108
LV 13075	B	20040120	LV 2003-70	20030626

PRIORITY APPLN. INFO.: US 1992-880432 A1 19920508
WO 1993-US3570 W 19930421

OTHER SOURCE(S): MARPAT 120:323599

GI



I

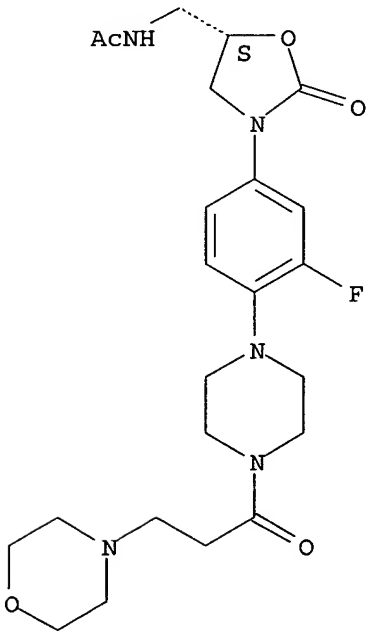
AB The title compds. [I; R = H, (un)substituted C1-6 alkyl, C3-12 cycloalkyl, C1-6 alkoxy, etc.; U, V, W = (un)substituted C1-6 alkyl, F, Cl, Br, H; X, Z = C1-6 alkyl, C3-12 cycloalkyl, H; Y = H, C1-6 alkyl, aryl, OH, (un)substituted PhO, (un)substituted piperidino, etc.], effective against members of human and veterinary pathogens, including multiple-drug-resistant Staphylococci, Streptococci, anaerobic organisms such as Bacteroides and Clostridia, and acid-fast organisms such as Mycobacterium tuberculosis and Mycobacterium avium, are prepared Thus, Me 4-[4-[5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-1-piperazinecarboxylate, prepared from 3,4-difluoronitrobenzene in 12 steps, demonstrated 50% oral ED in the Murine Assay procedure using female mice injected with S. aureus (UC# 6685) of 4.0 mg/kg, vs. 6.6 for ciprofloxacin.

IT **154590-97-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation as antibiotic)

RN 154590-97-3 HCAPLUS

CN Acetamide, N-[[3-[3-fluoro-4-[4-[3-(4-morpholinyl)-1-oxopropyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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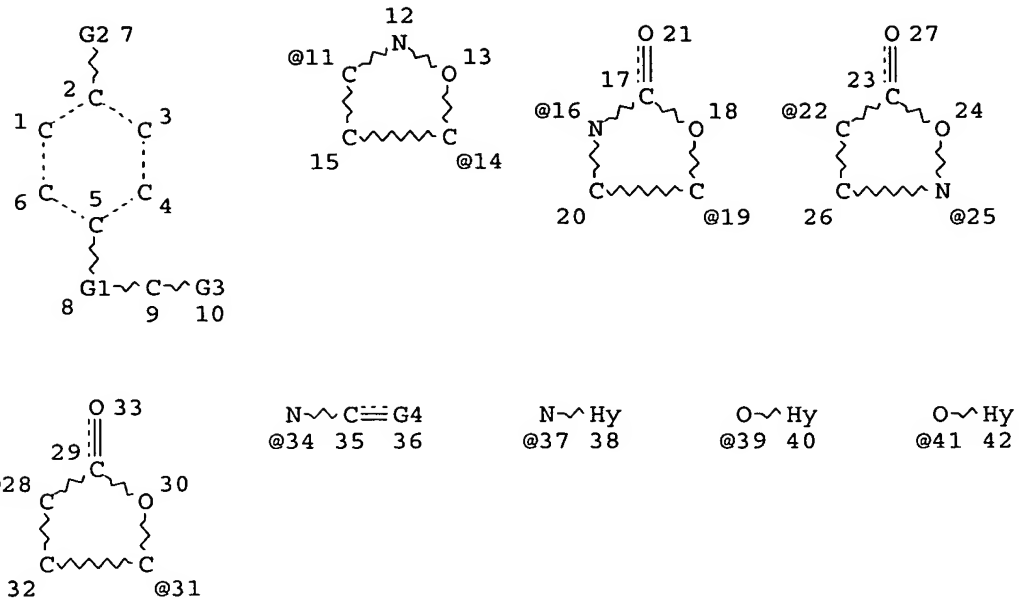
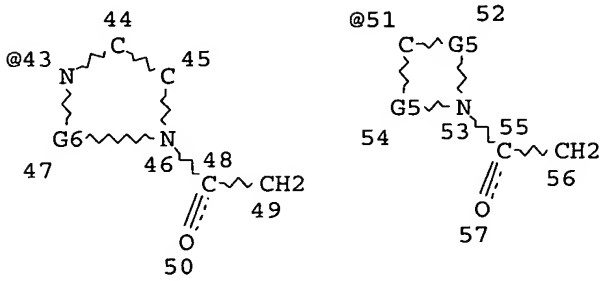


Page 1-A



Page 2-A
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VAR G3=34/HY/37/39/41
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REP G6=(2-3) C
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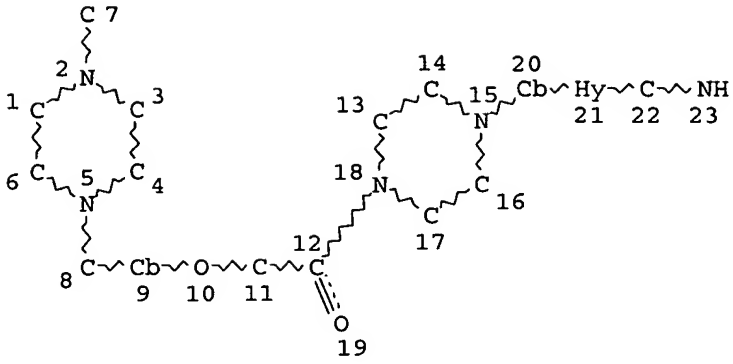
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 57

STEREO ATTRIBUTES: NONE
L3 632 SEA FILE=REGISTRY SSS FUL L1
L7 STR



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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

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NUMBER OF NODES IS 23

STEREO ATTRIBUTES: NONE
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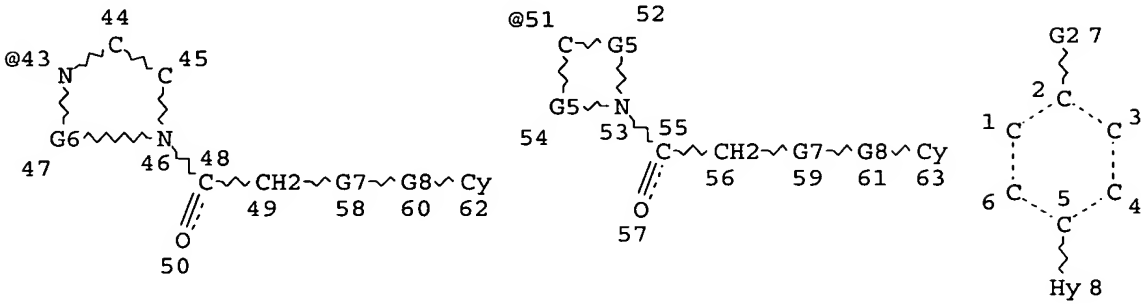

VAR G2=51/43
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REP G6=(2-3) C
REP G7=(0-2) C
REP G8=(0-2) A
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 29

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L19           25 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L18 AND (L15 OR L16)
L20           16 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (L17 OR L19) NOT (L9 OR L14)


=> d ibib abs hitstr l20 1-16

L20  ANSWER 1 OF 16  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         2005:1260967  HCAPLUS
DOCUMENT NUMBER:          144:22912
TITLE:                    Substituted 2,3,5-trifluorophenyl
                          oxazolidinones for use as antibacterial agents
                          and their preparation, pharmaceutical compositions,
                          and methods of use
INVENTOR(S):              Barbachyn, Michael Robert; Harris, Christina
                          Renee; Josyula, Vara Prasad Venkata Nagendra
PATENT ASSIGNEE(S):       Pharmacia & Upjohn Company LLC, USA
SOURCE:                   PCT Int. Appl., 37 pp., which which which
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
     ---------------      ----   --------    --------------------    --------
     WO 2005113520         A1    20051201    WO 2005-IB1294          20050509
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,
             LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,
             NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,
             SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
             ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
             RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
             MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.:                       US 2004-572738P     P  20040520
                                             US 2004-572739P     P  20040520
                                             US 2004-572799P     P  20040520
                                             US 2004-572802P     P  20040520
OTHER SOURCE(S):          MARPAT 144:22912
GI
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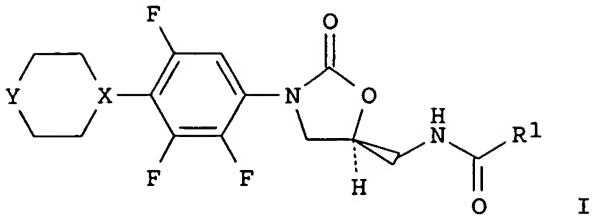

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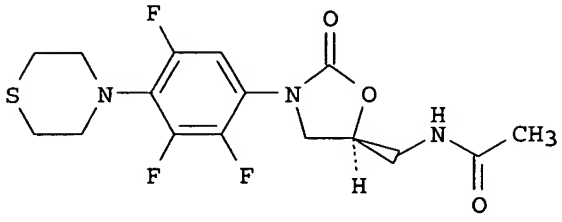


II

AB The invention relates to trifluorophenyl **oxazolidinones** I, and to a process for their synthesis. I are useful antimicrobial agents, effective against a number of human and veterinary pathogens. Claimed compds. include I and their pharmaceutically acceptable salts or prodrugs [wherein: X is CH or N, and Y is O or S(O)n; or X is N, and Y is HOCH2C(O)N; R1 is C1-6 alkyl, O-C1-6-alkyl, or NH-C1-6-alkyl; and n = 0-2]. Syntheses of 5 examples are described in detail. For instance, example compound II was prepared in 6 steps. Thus, 2,3,4,5-tetrafluoronitrobenzene reacted with thiomorpholine in MeCN in the presence of DIPEA to give 4-(2,3,6-trifluoro-4-nitrophenyl)thiomorpholine. This nitro compound was reduced to the corresponding amine with SnCl2, followed by conversion to the N-CBZ derivative Treatment of this carbamate with LiOBu-tert and cyclization with (S)-ClCH2CH(OH)CH2NH-Boc, removal of Boc, and N-acetylation, gave II. This compound had MIC90 values of 4 μg/mL against Staphylococcus aureus and 2 μg/mL against Streptococcus pneumoniae. In a test for inhibition of human monoamine oxidase A (side effect), II had a Ki value of 84 μM, and other compds. I had Ki up to 3000 μM. These higher Ki values indicate lower potential for undesirable drug-drug interactions.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

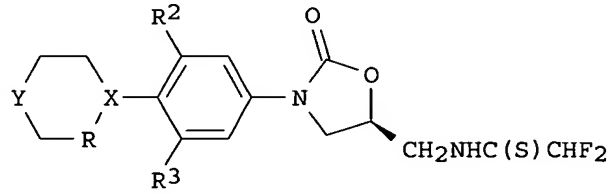
L20 ANSWER 2 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:20668 HCAPLUS
DOCUMENT NUMBER: 140:77137
TITLE: Preparation of **oxazolidinone** difluorothioacetamide derivatives as antibacterial agents
INVENTOR(S): **Hester, Jackson B., Jr.**; Adams, Wade J.; Stevens, Jeffrey C.; Scott, Carole; Gordeev, Mikhail F.; Singh, Upinder
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004002967	A1	20040108	WO 2003-US16217	20030616
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2489411	AA	20040108	CA 2003-2489411	20030616
AU 2003239581	A1	20040119	AU 2003-239581	20030616
US 2004077626	A1	20040422	US 2003-462412	20030616
US 6927229	B2	20050809		
EP 1519924	A1	20050406	EP 2003-734139	20030616
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005533818	T2	20051110	JP 2004-517569	20030616

PRIORITY APPLN. INFO.: US 2002-392213P P 20020628
WO 2003-US16217 W 20030616

OTHER SOURCE(S): CASREACT 140:77137; MARPAT 140:77137

GI



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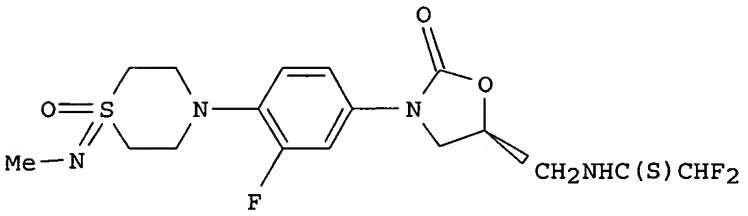

II

AB The present invention describes difluorothioacetamide **oxazolidinones** (shown as I; R is -CH2- or -CH2CH2-; R2 and R3 = H or F; X is -N- or -CH-; Y is -SO-, -SO2-, or -SONR4-; and R4 is H or C1-4alkyl; e.g. II) as novel antibacterial agents (no data), and antimicrobial combination therapies for combating infective diseases caused by gram-pos. and gram-neg. bacteria. A method of preparation is claimed and 31 example prepns. are included. For example, 2,2-difluoro-N-[[(5S)-3-[3-fluoro-4-((Z)-1-imino-1-oxidohexahydrothiopyran-4-yl)phenyl]-2-oxo-1,3-**oxazolidin**-5-yl]methyl]ethanethioamide was prepared from [[(5S)-3-[3-fluoro-4-((Z)-1-imino-1-oxidohexahydrothiopyran-4-yl)phenyl]-2-oxo-1,3-**oxazolidin**-5-yl]methyl]amine and O-(3,3-diphenylpropyl) difluoroethanethioate (prepared from difluoroacetic acid and 3,3-diphenyl-1-propanol in Et2O in the presence of 4-dimethylaminopyridine and diisopropyl carbodiimide) in MeOH/CH2Cl2. In another example (method not claimed), II was prepared in 3 steps starting from (5S)-5-

[(acetylamino)methyl]-3-[3-fluoro-4-[1-(methylimino)-1-oxido-1,4-thiazinan-4-yl]phenyl]-1,3-**oxazolidin**-2-one and involving intermediates (5S)-5-(aminomethyl)-3-[3-fluoro-4-[1-(methylimino)-1-oxido-1,4-thiazinan-4-yl]phenyl]-1,3-**oxazolidin**-2-one (by acetyl removal) and 2,2-difluoro-N-[[(5S)-3-[3-fluoro-4-[1-(methylimino)-1-oxido-1,4-thiazinan-4-yl]phenyl]-2-oxo-1,3-**oxazolidin**-5-yl]methyl]acetamide (by condensation with difluoroacetic acid) and involving oxo conversion to thioxo using Lawesson's reagent in the final step.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 3 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:58066 HCAPLUS
DOCUMENT NUMBER: 138:112415
TITLE: Preparation of amide-containing **oxazolidinones** having improved solubility and bioavailability
INVENTOR(S): **Hester, Jackson B., Jr.**
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 331 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2003006440	A2	20030123	WO 2002-US22526		20020712
WO 2003006440	C1	20030710			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2452513	AA	20030123	CA 2002-2452513		20020712
US 2004014967	A1	20040122	US 2002-194914		20020712
US 7049443	B2	20060523			
EP 1451164	A2	20040901	EP 2002-752358		20020712
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE					
JP 2005520782	T2	20050714	JP 2003-512212		20020712
PRIORITY APPLN. INFO.:			US 2001-304808P	P	20010712
			WO 2002-US22526	W	20020712

OTHER SOURCE(S): MARPAT 138:112415
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention is directed to amide-containing **oxazolidinones** (1) which have an improved solubility (no data) and a method of improving the solubility of amide-containing **oxazolidinone** bactericides. A very broad range of compds. 1 is claimed (see claims for details). Also claimed is a

method of conversion of amide-containing **oxazolidinones** to more water-soluble derivs. comprising reaction with 3-(2-((dipropoxyphosphinyl)oxy)-4,6-dimethylphenyl)-3-methylbutanoyl chloride to form a C(O)NRC(O) or C(O)NRC(S) linkage followed by deprotection to give a phosphoric acid monoester. However, the only example is somewhat different in that I is prepared starting from II and III, followed by N-acylation and hydrogenation. In addition to the presence of the phosphonooxy group in compds. 1, also claimed are compds. 1 containing an acyloxy group. The bioavailability of these **oxazolidinones** is improved by improving the solubility thereof. Also included in the examples are prepns. of .apprx.25 amide-containing **oxazolidinones**, from which compds. 1 can potentially be prepared

L20 ANSWER 4 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:472710 HCAPLUS
DOCUMENT NUMBER: 135:61315
TITLE: Preparation **oxazolidinone** antimicrobial agents having a sulfoximine functionality
INVENTOR(S): **Hester, Jackson B., Jr.**; Alexander, David L.
PATENT ASSIGNEE(S): Pharmacia & Upjohn Co., USA
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001046185	A1	20010628	WO 2000-US32451	20001212
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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CA 2389482	AA	20010628	CA 2000-2389482	20001212
AU 2001020502	A5	20010703	AU 2001-20502	20001212
AU 782078	B2	20050630		
EP 1242417	A1	20020925	EP 2000-983792	20001212
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BR 2000016605	A	20030225	BR 2000-16605	20001212
JP 2003518117	T2	20030603	JP 2001-547095	20001212
NZ 519725	A	20040528	NZ 2000-519725	20001212
US 2001046987	A1	20011129	US 2000-736858	20001214
ZA 2002004166	A	20030825	ZA 2002-4166	20020524
NO 2002002973	A	20020820	NO 2002-2973	20020620

PRIORITY APPLN. INFO.: US 1999-171916P P 19991221
WO 2000-US32451 W 20001212

OTHER SOURCE(S): MARPAT 135:61315
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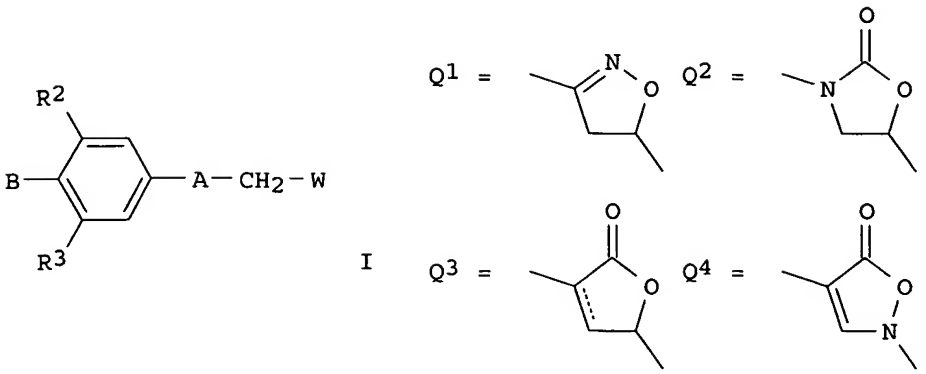

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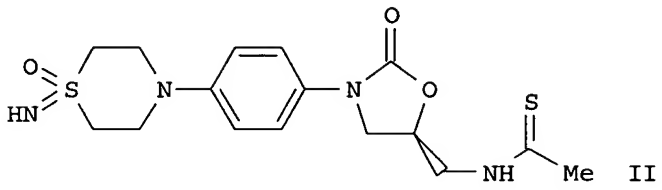

II

AB The title compds. (I) [wherein A = Q1-Q4; B = specified heterocycles containing a SONR5 group; W = NHC(X)R1 or Y-het with provisos; X = O or S with provisos; Y = NH, O, or S; R1 = (un)substituted H, NH2, alkyl(amino), alkenyl, alkoxy, alkylthio, or cycloalkyl(alkyl); R2 and R3 = independently H, F, Cl, Me, or Et; R5 = H or (un)substituted alkyl, alkanoyl, alkoxycarbonyl, CONHR6, or CSNHR6; R6 = Ph or (un)substituted alkyl; p = 0-2; q = 1-5 with provisos; m = 0-2; n = 2 or 3; or a pharmaceutically acceptable salt thereof] were prepared as potent Gram-pos. and Gram-neg. antibacterial agents. For example, the 3-[4-(1-imino-1-oxido-1λ4,4-thiazinan-4-yl)phenyl] **oxazolidinone** (II) was synthesized by reaction of (S)-N-[[3-[3-fluoro-4-(1-oxothiomorpholin-4-yl)phenyl]-2-oxo-5-**oxazolidinyl**]methyl]acetamide with NaN3 in the presence of polyphosphoric acid to give the sulfoximine, deacetylation, and addition of Et dithioacetate to the amine. II displayed antibacterial activity against Staphylococcus aureus, Staphylococcus epidermidis, Enterococcus faecium, Streptococcus pneumoniae, Streptococcus pyogenes, Enterococcus faecalis, Moraxella catarrhalis, and H. influenzae with min. inhibitory concns. of <4 μg/mL.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 5 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:338528 HCAPLUS
DOCUMENT NUMBER: 134:340497
TITLE: Antibacterial sultam and sultone derived **oxazolidinones**
INVENTOR(S): Anderson, David John; **Hester, Jackson B., Jr.**
PATENT ASSIGNEE(S): Pharmacia & Upjohn Co., USA
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001032657	A1	20010510	WO 2000-US28864	20001030
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2383992	AA	20010510	CA 2000-2383992	20001030
US 6348459	B1	20020219	US 2000-699709	20001030
BR 2000014303	A	20020521	BR 2000-14303	20001030
EP 1237889	A1	20020911	EP 2000-973660	20001030
EP 1237889	B1	20040901		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, MC, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003513096	T2	20030408	JP 2001-535359	20001030
AU 771655	B2	20040401	AU 2001-12149	20001030
AU 2001012149	A5	20010514		
AT 275147	E	20040915	AT 2000-973660	20001030
PT 1237889	T	20041231	PT 2000-973660	20001030
ES 2226937	T3	20050401	ES 2000-973660	20001030
US 2002055505	A1	20020509	US 2001-32958	20011101
US 6420360	B2	20020716		
ZA 2002002605	A	20030703	ZA 2002-2605	20020403
HK 1049833	A1	20050218	HK 2003-101880	20030314
PRIORITY APPLN. INFO.:			US 1999-163537P	P 19991104
			US 2000-699709	A3 20001030
			WO 2000-US28864	W 20001030

OTHER SOURCE(S): MARPAT 134:340497

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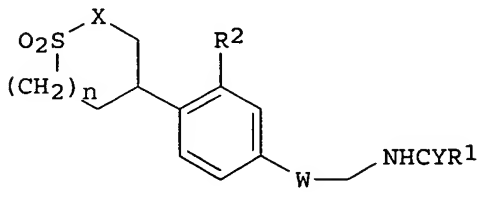

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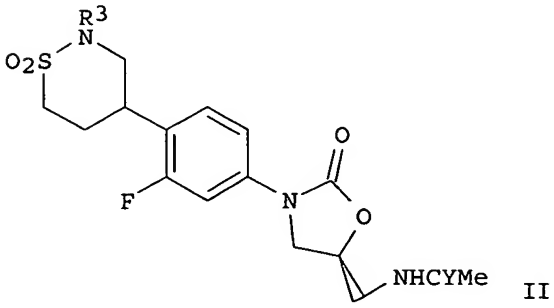


II

AB Title compds. I [W = 2-oxo-3,5-**oxazolidinediyl**, 5-oxo-2,4-isoxazolediyl; X = O, (un)substituted NH; Y = O, S; R1 = H, alkyl, fluoroalkyl, chloroalkyl, hydroxyalkyl, alkoxycarbonyl, alkoxy, cycloalkyl, (un)substituted NH2; R2 = H, F] were prepared for use as antibacterial agents (no data). Thus, 2,4-F(O2N)C6H3CH2CO2Me underwent addition reaction with CH2:CHSO2N(CH2C6H4OMe)2, followed by demethoxybenzylation, cyclization to the sultam, and reduction of the oxo group to give 4-(2-fluoro-4-nitrophenyl)dihydro-2H-1,2-thiazin-3(4H)-one 1,1-dioxide. This compound was allylated, followed by reduction of the nitro group to amine, benzyloxycarbonylation, reaction with N-[(2S)-oxiranylmethyl]acetamide and deallylation to give the **oxazolidinone** II [R3 = H, Y = O]. This compound was converted to II [R3 = Me, Y = O; R3 = H, Me, Y = S].

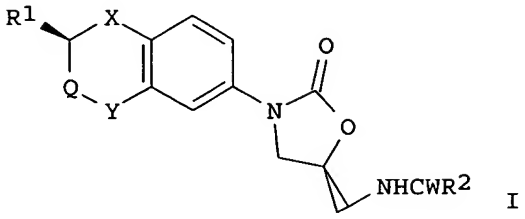
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 6 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:861676 HCAPLUS
DOCUMENT NUMBER: 134:29408
TITLE: Preparation of **bicyclyloxazolidinones** as antibacterials.
INVENTOR(S): Genin, Michael J.; Barbachyn, Michael R.; **Hester, Jackson B., Jr.**; Johnson, Paul D.
PATENT ASSIGNEE(S): Pharmacia and Upjohn Company, USA
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000073301	A1	20001207	WO 2000-US8224	20000517
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2372233	AA	20001207	CA 2000-2372233	20000517
EP 1181288	A1	20020227	EP 2000-930095	20000517
EP 1181288	B1	20030730		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000010982	A	20020305	BR 2000-10982	20000517
US 6387896	B1	20020514	US 2000-572167	20000517
JP 2003501351	T2	20030114	JP 2001-500626	20000517
AT 246189	E	20030815	AT 2000-930095	20000517
NZ 515754	A	20031031	NZ 2000-515754	20000517
AU 767380	B2	20031106	AU 2000-47975	20000517
PT 1181288	T	20031231	PT 2000-930095	20000517
ES 2203473	T3	20040416	ES 2000-930095	20000517
ZA 2001009384	A	20030214	ZA 2001-9384	20011114
US 2002143009	A1	20021003	US 2002-90400	20020304

HK 1046680	A1	20041231	HK 2002-107873		20021030
PRIORITY APPLN. INFO.:			US 1999-136250P	P	19990527
			US 2000-572167	A3	20000517
			WO 2000-US8224	W	20000517

OTHER SOURCE(S): MARPAT 134:29408
GI



I

AB Title compds. [I; W = O, S; X = S, SO, SO2, imino; Y = O, NH, CH2, S, SO, SO2; R1 = (substituted) alkyl; R2 = H, (substituted) alkyl, cyclopropyl, alkoxy, amino; Q = (CH2)n; n = 0, 1], were prepared Thus, N-[[(5S)-3-[(2R)-1-formyl-2-methyl-2,3-dihydro-1H-indol-5-yl]-2-oxo-1,3-**oxazolidin**-5-yl]methyl]ethanethioamide (prepared in 9 steps from 2-methyl-5-nitro-2,3-dihydro-1H-indole) showed a min. inhibitory concentration of <0.5 μg/mL against S. aureus UC9213.

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 7 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:111851 HCAPLUS
DOCUMENT NUMBER: 132:305627
TITLE: Substituent effects on the antibacterial activity of nitrogen-carbon-linked (azolylphenyl) **oxazolidinones** with expanded activity against the fastidious Gram-negative organisms Haemophilus influenzae and Moraxella catarrhalis
AUTHOR(S): Genin, Michael J.; Allwine, Debra A.; Anderson, David J.; Barbachyn, Michael R.; Emmert, D. Edward; Garmon, Stuart A.; Graber, David R.; Grega, Kevin C.; **Hester, Jackson B.**; Hutchinson, Douglas K.; Morris, Joel; Reischer, Robert J.; Ford, Charles W.; Zurenko, Gary E.; Hamel, Judith C.; Schaadt, Ronda D.; Stapert, Douglas; Yagi, Betty H.
CORPORATE SOURCE: Pharmacia Upjohn Inc., Kalamazoo, MI, 49001, USA
SOURCE: Journal of Medicinal Chemistry (2000), 43(5), 953-970
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A series of new nitrogen-carbon-linked (azolylphenyl)**oxazolidinone** antibacterial agents has been prepared in an effort to expand the spectrum of activity of this class of antibiotics to include Gram-neg. organisms. Pyrrole, pyrazole, imidazole, triazole, and tetrazole moieties have been used to replace the morpholine ring of linezolid. These changes resulted in the preparation of compds. with good activity against the fastidious Gram-neg. organisms Haemophilus influenzae and Moraxella catarrhalis. The unsubstituted pyrrolyl analog 3 and the 1H-1,2,3-triazolyl analog 6 have MICs against H. influenzae = 4 μg/mL and M. catarrhalis = 2 μg/mL.

Various substituents were also placed on the azole moieties in order to study their effects on antibacterial activity in vitro and in vivo. Differences in activity were observed for many analogs that cannot be rationalized solely on the basis of sterics and position/number of nitrogen atoms in the azole ring. Differences in activity rely strongly on subtle changes in the electronic character of the overall azole systems. Aldehyde, aldoxime, and cyano azoles generally led to dramatic improvements in activity against both Gram-pos. and Gram-neg. bacteria relative to unsubstituted counterparts. However, amide, ester, amino, hydroxy, alkoxy, and alkyl substituents resulted in no improvement or a loss in antibacterial activity. The placement of a cyano moiety on the azole often generates analogs with interesting antibacterial activity in vitro and in vivo. In particular, the 3-cyanopyrrole, 4-cyanopyrazole, and 4-cyano-1H-1,2,3-triazole congeners 28, 50, and 90 had S. aureus MICs ≤ 0.5-1 μg/mL and H. influenzae and M. catarrhalis MICs = 2-4 μg/mL. These analogs are also very effective vs. S. aureus and S. pneumoniae in mouse models of human infection with ED50s in the range of 1.2-1.9 mg/kg vs. 2.8-4.0 mg/kg for the eperezolid (1) control.

REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 8 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:325931 HCAPLUS
DOCUMENT NUMBER: 130:338127
TITLE: Preparation of N-**oxodiazepinophenyloxazolidinones** as bactericides
INVENTOR(S): **Hester, Jackson B., Jr.**
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9924428	A1	19990520	WO 1998-US22639	19981030
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2303959	AA	19990520	CA 1998-2303959	19981030
AU 9912778	A1	19990531	AU 1999-12778	19981030
AU 739055	B2	20011004		
US 5998406	A	19991207	US 1998-183432	19981030
EP 1030852	A1	20000830	EP 1998-956200	19981030
EP 1030852	B1	20030917		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9813985	A	20000926	BR 1998-13985	19981030
TR 200001330	T2	20001121	TR 2000-200001330	19981030
JP 2001522849	T2	20011120	JP 2000-520440	19981030
NZ 504503	A	20021025	NZ 1998-504503	19981030
AT 250054	E	20031015	AT 1998-956200	19981030
RU 2215740	C2	20031110	RU 2000-114891	19981030

IL 136062	A1	20040208	IL 1998-136062		19981030
PT 1030852	T	20040227	PT 1998-956200		19981030
ES 2207010	T3	20040516	ES 1998-956200		19981030
SK 284577	B6	20050701	SK 2000-618		19981030
NO 2000002434	A	20000511	NO 2000-2434		20000511
NO 317291	B1	20041004			
HK 1030373	A1	20041119	HK 2001-101329		20010223
PRIORITY APPLN. INFO.:			US 1997-65376P	P	19971112
			WO 1998-US22639	W	19981030

OTHER SOURCE(S): MARPAT 130:338127
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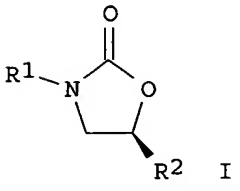

I

AB Title compds. [I; R1 = RZ1Z2; R = H, (un)substituted alkyl, alkenyl, alkynyl; R2 = CH2NHZR3; R3 = NH2, alkyl, alkoxy, etc.; ZCO or CS; Z1 = 5-oxo-1,2,3,4,6,7-hexahydro-1,4-diazepine-4,1-diyl; Z2 = (un)substituted 1,4-phenylene] were prepared Thus, I [R1 = 3-fluoro-4-(5-oxo-1,2,3,4,6,7-hexahydro-1,4-diazepine-1-yl)phenyl, R2 = CH2NHAc] was prepared Data for biol. activity of I were given.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 9 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:756612 HCAPLUS
DOCUMENT NUMBER: 130:110183
TITLE: Nitrogen-Carbon-Linked (Azolylphenyl) **oxazolidinones** with Potent Antibacterial Activity Against the Fastidious Gram-Negative Organisms Haemophilus influenzae and Moraxella catarrhalis
AUTHOR(S): Genin, Michael J.; Hutchinson, Douglas K.; Allwine, Debra A.; **Hester, Jackson B.**; Emmert, D. Edward; Garmon, Stuart A.; Ford, Charles W.; Zurenko, Gary E.; Hamel, Judith C.; Schaadt, Ronda D.; Stapert, Douglas; Yagi, Betty H.; Friis, Janice M.; Shobe, Eric M.; Adams, Wade J.
CORPORATE SOURCE: Pharmacia Upjohn Inc., Kalamazoo, MI, 49001, USA
SOURCE: Journal of Medicinal Chemistry (1998), 41(26), 5144-5147
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
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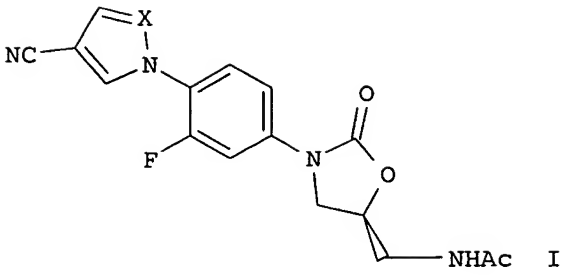

I

AB The **azolylphenyloxazolidines** I [X = CH, N] were prepared from 3,4-difluoronitrobenzene. I had min. inhibitory concs. against H. influenzae and M. catarrhalis of 2-4 μg/mL.

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 10 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:761990 HCAPLUS
DOCUMENT NUMBER: 123:286095
TITLE: Amines to sensitize multidrug-resistant cells
INVENTOR(S): Abraham, Irene; **Hester, Jackson B., Jr.**
PATENT ASSIGNEE(S): Upjohn Co., USA
SOURCE: U.S., 20 pp. Cont.-in-part of U.S. Ser. No. 682,809, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5436337	A	19950725	US 1993-132515	19931006
PRIORITY APPLN. INFO.:			US 1993-132515 B2	19931006
			US 1991-682809	19910409

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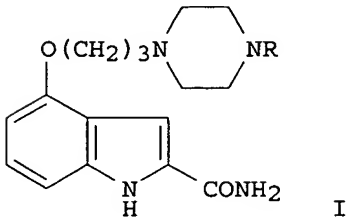

I

AB The piperazines I [R = CHPh2, 2,4-dipyrrolidino-6-pyrimidinyl] were prepared for use as sensitizers for anticancer therapy. Thus, 4-benzyloxyindole-2-carboxylic acid was amidated, debenzylated, and alkylated to give I [R = CHPh2], which was dehydrated to the nitrile (II). II was combined with adriamycin to treat drug-resistant pancreatic carcinoma. Steroidal amines, alkylamines, bicyclic amines, bicyclic ethers, and naphthoxazines are also useful in treating individuals who have cancer that has become

resistant to cancer chemotherapeutic agents and in preventing the resistance from developing or slowing the rate of resistance to the chemotherapeutic agents.

L20 ANSWER 11 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1994:671277 HCAPLUS
DOCUMENT NUMBER: 121:271277
TITLE: Epithelial cell permeability of a series of peptidic HIV protease inhibitors: aminoterminal substituent effects
AUTHOR(S): Conradi, Robert A.; Hilgers, Allen R.; Burton, Philip S.; **Hester, Jackson B.**
CORPORATE SOURCE: Upjohn Laboratories, Upjohn Company, Kalamazoo, MI, 49001, USA
SOURCE: Journal of Drug Targeting (1994), 2(2), 167-71
CODEN: JDTAEH; ISSN: 1061-186X
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The influence of the aminoterminal substituent in a homologous series of tetrapeptide analogs on transport across Caco-2 cell monolayers was studied. In a series of **pyridylcarboxamide** regioisomers, the 2-pyridyl isomer was significantly more permeable than either the 3- or 4-congeners. The uniqueness of this peptide was further suggested by examining the partitioning behavior between heptane and ethylene glycol, a system which has been developed as a simple estimate of the desolvation energy or hydrogen bonding potential of a peptide. In this model, the 2-isomer has a much larger partition coefficient than either the 3- or 4-analogs, consistent with its being less solvated than expected based on simple structural considerations. Factors possibly contributing to this decreased effective polarity could be steric interactions or intramol. hydrogen bonding.

L20 ANSWER 12 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1993:234486 HCAPLUS
DOCUMENT NUMBER: 118:234486
TITLE: Preparation of phosphorus containing compounds as inhibitors of retroviruses
INVENTOR(S): **Hester, Jackson B.**; Fisher, Jed F.; Thaisrivongs, Suvit; Maggiora, Linda Louise; Sawyer, Tomi Kim
PATENT ASSIGNEE(S): Upjohn Co., USA
SOURCE: PCT Int. Appl., 159 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9217490	A1	19921015	WO 1992-US2238	19920327
W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KP, KR, LK, MG, MN, MW, NO, PL, RO, RU, SD, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, MC, ML, MR, NL, SE, SN, TD, TG				
AU 9217487	A1	19921102	AU 1992-17487	19920327
EP 578745	A1	19940119	EP 1992-910121	19920327
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE				
JP 06506463	T2	19940721	JP 1992-509356	19920327
PRIORITY APPLN. INFO.:			US 1991-679508	A2 19910404

WO 1992-US2238 A 19920327

OTHER SOURCE(S): MARPAT 118:234486

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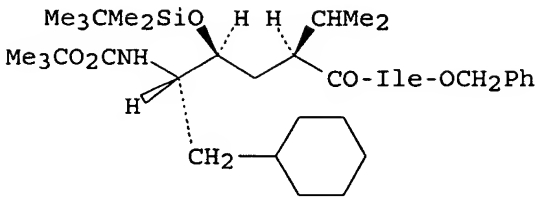

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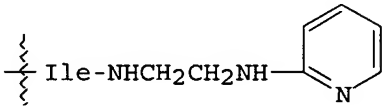

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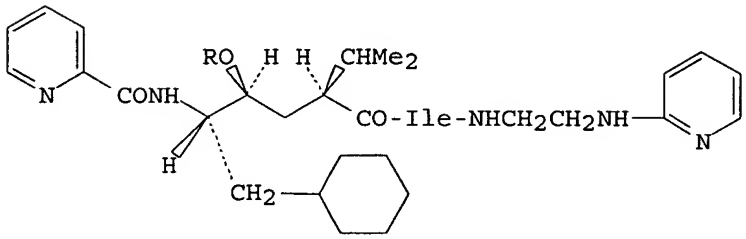

III

AB Phosphorus-containing peptides X-C-D-E-F-G-Z [X = H, C1-C7 alkyl, aralkyl, alkylheterocyclyl, alkylcycloalkyl, substituted acyl; C-G = independently bond, amino acid residue, dipeptide transition state analog, phosphorylated amino acid, phosphorylated dipeptide transition state analog; Z = OH, alkoxy, (substituted) amino], having at least one O-phosphate monoester or diester, parent compds. thereof, and pharmaceutically acceptable salts thereof, were prepared as inhibitors for mammalian cells infected with retroviruses. Thus, hydrogenolysis of benzyl ester I (preparation given), followed by amidation with 2-(2-aminoethylamino)pyridine gave II. Deprotection of II followed by amidation with picolinic acid gave III (R = SiMe2CMe3), which was desilylated and phosphorylated to give a title derivative III (R = PO3H2).

L20 ANSWER 13 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1993:52416 HCAPLUS
DOCUMENT NUMBER: 118:52416
TITLE: Use of amines to sensitize multidrug-resistant cells
INVENTOR(S): Abraham, Irene; **Hester, Jackson Boling**
PATENT ASSIGNEE(S): Upjohn Co., USA
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 9218089 A2 19921029 WO 1992-US2237 19920327
WO 9218089 A3 19930304
W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KP, KR, LK, MG, MN, MW, NO, PL, RO, RU, SD, US
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, MC, ML, MR, NL, SE, SN, TD, TG
AU 9217738 A1 19921117 AU 1992-17738 19920327
EP 579754 A1 19940126 EP 1992-910802 19920327
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE
PRIORITY APPLN. INFO.: US 1991-682809 A2 19910409
WO 1992-US2237 A 19920327

OTHER SOURCE(S): MARPAT 118:52416

AB Multidrug resistance to cancer therapeutic agents in human cancer patients is treated by administering a sensitizing agent comprising a steroidal, aliphatic, or bicyclic amine, a bicyclic or tricyclic ether, or an indole derivative (Markush structures given). Thus, in a patient with pancreatic carcinoma treated with Adriamycin, the development of Adriamycin resistance was reversed by treatment with 4-[3-[4-(diphenylmethyl)-1-piperazinyl]propoxy]indole-2-**carboxamide** (I) (0.01-5.0 mg/kg/h over 5 days). I was prepared by amidation of 4-(benzyloxy)indole-2-carboxylic acid, catalytic hydrogenation, and condensation of the product 4-hydroxyindole-2-**carboxamide** with 1-chloro-3-[4-(diphenylmethyl)-1-piperazinylpropane.

L20 ANSWER 14 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1989:439862 HCAPLUS
DOCUMENT NUMBER: 111:39862
TITLE: Preparation of renin inhibitory peptides containing a cyclopropyl amino acid and/or a cycloalkyl transition-state analogue
INVENTOR(S): Gammill, Ronald B.; **Hester, Jackson B., Jr.**
PATENT ASSIGNEE(S): Upjohn Co., USA
SOURCE: PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8807053	A1	19880922	WO 1988-US547	19880302
W: AU, DK, FI, JP, KR, NO, US				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
AU 8814297	A1	19881010	AU 1988-14297	19880302
EP 349570	A1	19900110	EP 1988-902695	19880302
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 02502457	T2	19900809	JP 1988-502520	19880302

PRIORITY APPLN. INFO.: US 1987-23404 A2 19870309
WO 1988-US547 A 19880302

OTHER SOURCE(S): MARPAT 111:39862
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Renin inhibitory peptides having a non-cleavable transition state insert corresponding to the 10,11-position of angiotensinogen and containing

≥1 cyclopropylaminoacid Q1 and/or a cycloalkylaminoacid non-cleavable transition insert Q2 (I), specifically X-X1-X2-X3-X4-X5-X6-X7-X8-X9-Z [II; X = H, C1-5 alkyl, acyl; X1, X3 = null, OCH(CHR8R9)CO, Q1, etc.; X2 = null, Q3; X4 = NR8CH(CHR8R9)CO, Q1, etc.; X5X6 = Q2,Q4, etc.; X7 = null, Q1, NR8CH(CHR8R12)CO, Q5; X8 = Q1, NR8CH(CHR8R12)CO; X9 = Q1, NR8CH(CHR8R14)CO; M = CO,CH2; Q = CH2, CHOH, O, S; Z = OH, alkoxy, amino; R1, R2, R3, R8 = H, C1-5 alkyl, arylalkyl, heterocyclylalkyl, 1- or 2-adamantyl; R1R2 = spiro(hetero)cyclyl; R4, R5 = H, C1-5 alkylaryl, arylalkyl, halo; R6 = H, C1-5 alkyl; R7 = H, C1-5 alkyl, aryl, C3-7 cycloalkyl, heterocyclyl, C1-3 alkoxy, alkylthio; R9 = H, OH, C1-5 alkyl, aminoalkyl, aryl, heteroaryl, MeS, amino, etc.; R10 = H, C1-5 alkyl, aryl, C3-7 cycloalkyl, heterocyclyl, C1-3 alkoxy, alkylthio; R11 = H, Me2CH, Me2CHCH2, PhCH2, C5-7 cycloalkyl, etc.; R12 = H, OH, C1-5 alkyl, aryl, heterocyclyl, guanidinylalkyl, etc.; R13 = H, CH2OH, alkyl, aralkyl, heterocyclylalkyl, etc.; R14 = H, OH, aminoalkyl, guanidinylalkyl; n = 1, 2; r = 0-3], useful as antihypertensives (no data) were prepared Cyclopropanecarboxylate III was obtained in 8 steps from phenylalaninol . III in turn was converted to Q6-Ile-AMP [AMP = 2-(aminomethyl)pyridinyl].

L20 ANSWER 15 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1989:82275 HCAPLUS
DOCUMENT NUMBER: 110:82275
TITLE: Use of nicorandil to treat alopecia
INVENTOR(S): **Hester, Jackson B., Jr.**
PATENT ASSIGNEE(S): Upjohn Co., USA
SOURCE: PCT Int. Appl., 14 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8804171	A1	19880616	WO 1987-US2915	19871110
W: AU, DK, FI, JP, KR, NO, US				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
AU 8782769	A1	19880630	AU 1987-82769	19871110
EP 333743	A1	19890927	EP 1987-907890	19871110
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 02501570	T2	19900531	JP 1988-500167	19871110
PRIORITY APPLN. INFO.:			US 1986-941191 A1	19861212
			WO 1987-US2915 A	19871110

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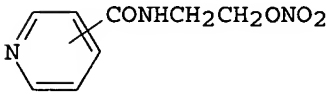

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AB The pyridine derivs. I, and specifically nicorandil (position 3-isomer) and its salts, are agents for the treatment of alopecia, such as male pattern alopecia and alopecia areata. A hair lotion comprised nicorandil 5.03 kg, propylene glyco 51.8 kg and EtOH to 250 L. Nicorandil (0.1 mg/mL) stimulated hair growth from mouse vibrissae follicles, in vitro.

L20 ANSWER 16 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1964:404154 HCAPLUS
DOCUMENT NUMBER: 61:4154
ORIGINAL REFERENCE NO.: 61:633a-b
TITLE: Enzyme-inhibiting activity of 3-(2-aminobutyl)indole derivatives
AUTHOR(S): **Hester, J. B.**; Greig, M. E.; Anthony, W. C.; Heinzelman, R. V.; Szmuszkovicz, J.
CORPORATE SOURCE: Upjohn Co., Kalamazoo, MI
SOURCE: Journal of Medicinal Chemistry (1964), 7(3), 274-9
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB Several analogs (I) of 3-(2-aminobutyl)indole were prepared and tested for monoamine oxidase and 5-hydroxytryptophan decarboxylase inhibitory activity. A rationale for the superior in vivo and in vitro activity of 3-(2-aminobutyl)-7-methylindole is discussed.

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